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 * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * * *

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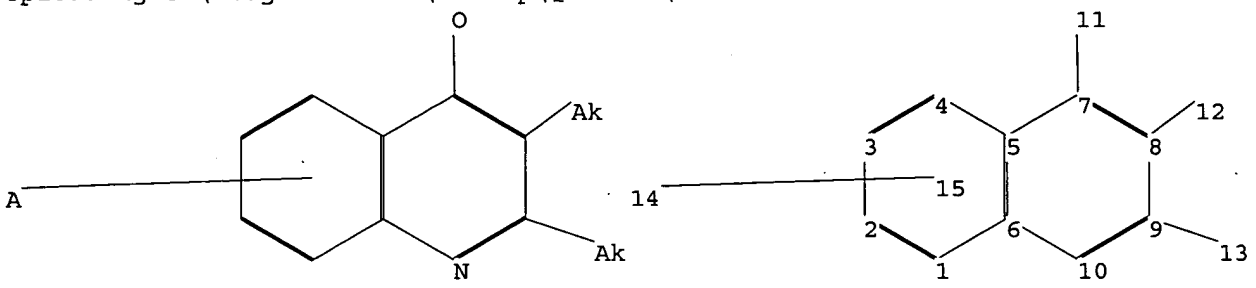


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11  12  13  14
ring nodes :
1  2  3  4  5  6  7  8  9  10
chain bonds :
7-11  8-12  9-13
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-10  7-8  8-9  9-10
exact/norm bonds :
7-11  8-12  9-13
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-10  7-8  8-9  9-10
isolated ring systems :
containing 1 :


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:CLASS  12:CLASS  13:CLASS  14:CLASS  15:CLASS



L1      STRUCTURE UPLOADED

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L1              STR
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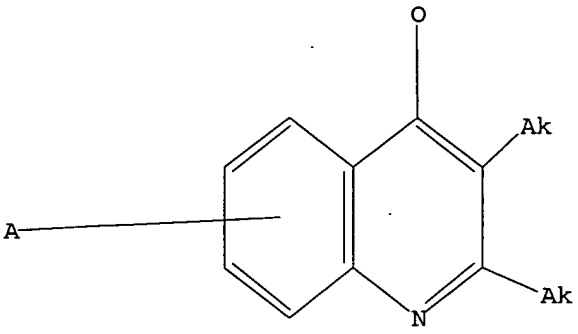

Structure attributes must be viewed using STN Express query preparation.

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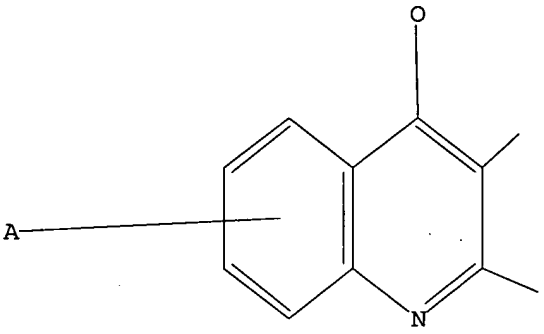
L3 HAS NO ANSWERS
L3 STR



Structure attributes must be viewed using STN Express query preparation.

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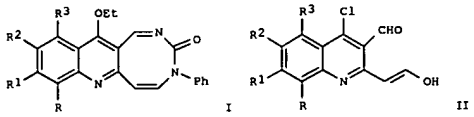
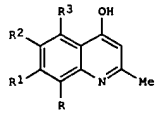
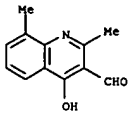
L9 ANSWER 1 OF 25 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:280182 CA
TITLE: Synthesis of 12-ethoxy-3-oxo-4-phenylquino[3,2-c][1,3]diazocines via Vilsmeier-Haack reaction
AUTHOR(S): Kumar, R. Nandha; Suresh, T.; Dhanabal, T.; Mohan, P. S.
CORPORATE SOURCE: Department of Chemistry, Bharathiar University, Coimbatore, 641 046, India
SOURCE: Journal of the Indian Chemical Society (2004), 81(7), 598-601
CODEN: JICSAH; ISSN: 0019-4522
PUBLISHER: Indian Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI





AB The title compds. I (R, R1, R2, R3 = H, H, H, H; Me, H, H, H; H, Me, H, H;
H, H, Cl, H; Me, H, H, Me) were prepared in 55-75% yields by heterocyclization of quinolinecarboxaldehydes II with PhNHCONH2 in EtOH containing KOH. II were prepared by Vilsmeier reactions of methylquinolinols
III.
IT **34550-29-3P**
RL: BYP (Byproduct); PREP (Preparation)
(formation of hydroxyquinolinecarboxaldehydes in preparation of ethoxy(phenyl)quinolinodiazocinones via Vilsmeier-Haack formylation of methylquinolinols and cyclization of (hydroxyethenyl)quinolinecarboxald
ehydes with phenylurea)
RN 34550-29-3 CA
CN 3-Quinolinecarboxaldehyde, 4-hydroxy-2,8-dimethyl- (9CI) (CA INDEX NAME)

L9 ANSWER 1 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)



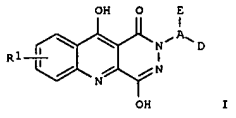
IT **34550-29-3P 503552-65-6P 503552-66-7P**
583861-80-7P
RL: BYP (Byproduct); PREP (Preparation)
(formation of hydroxyquinolinecarboxaldehydes in preparation of ethoxy(phenyl)quinolinodiazocinones via Vilsmeier-Haack formylation of methylquinolinols and cyclization of (hydroxyethenyl)quinolinecarboxald
ehydes with phenylurea)
IT **847233-66-3P 847233-67-4P 847233-68-5P**
847233-69-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of ethoxy(phenyl)quinolinodiazocinones via Vilsmeier-Haack
formylation of methylquinolinols and cyclization of (hydroxyethenyl)quinolinecarboxaldehydes with phenylurea)
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

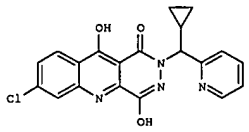
L9 ANSWER 2 OF 25 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 136:294842 CA
TITLE: Synthesis and use of tetrahydropyridazino[4,5-b]quinoline-diones and their use for the treatment of pain
INVENTOR(S): Brown, Dean Gordon; Urbanek, Rebecca Ann; Murphy, Megan; Xiao, Wenhua; McLaren, Frances Marie; Vacek, Edward; Bare, Thomas; Horchler, Carey Lynn; Barlaam, Christine; Steelman, Gary Banks; Alford, Vernon
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026741	A1	20020404	WO 2001-SE2126	20010928
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001092500	A5	20020408	AU 2001-92500	20010928
EP 1325004	A1	20030709	EP 2001-972862	20010928
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004509965	T2	20040402	JP 2002-531125	20010928
US 2004053929	A1	20040318	US 2003-381921	20030908
PRIORITY APPLN. INFO.:			US 2000-236753P	P 20000929
			WO 2001-SE2126	W 20010928

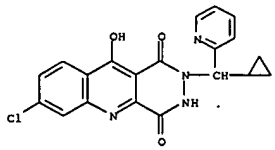
OTHER SOURCE(S): MARPAT 136:294842
GI

L9 ANSWER 2 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)





AB Title compds. I [R1 = halo; A = CH; E = alkyl, Ph, cycloalkyl; D = pyridyl, N-oxide of pyridyl] were prepared Six synthetic examples were provided. For instance, tert-butylcarbazate was condensed with (cyclopropyl)(pyridin-2-yl)ketone, the product reduced and condensed with 7-Chloro-4-hydroxy-2-(pyrrolidinylcarbonyl)quinoline-3-carboxylic acid (preparation given). The resulting amide was treated with methanesulfonic acid
resulting in the formation of II. Example compds. gave a range of Ki = 228 nM to >10 μM for the NMDA glycine receptor; II had Ki = 996 nM. I are useful for the treatment of pain.
IT **406932-96-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; synthesis and use of tetrahydropyridazino[4,5-b]quinoline-diones
and use for treatment of pain)
RN 406932-96-5 CA
CN Pyridazino[4,5-b]quinoline-1,4-dione, 7-chloro-2-(cyclopropyl-2-pyridinylmethyl)-2,3-dihydro-10-hydroxy- (9CI) (CA INDEX NAME)



IT **406932-96-5P 406933-00-4P 406933-04-8P**

L9 ANSWER 2 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)
406933-09-3P 406933-13-9P 406933-15-1P
406933-17-3P 406933-19-5P 406933-20-8P
406933-22-0P 406933-28-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; synthesis and use of tetrahydropyridazino[4,5-b]quinoline-diones and use for treatment of pain)
IT 147494-01-7P, Dimethyl 7-chloro-4-hydroxyquinoline-2,3-dicarboxylate 170143-35-8P, 3-Carbomethoxy-7-chloro-4-hydroxyquinoline-2-carboxylic acid 179543-91-0P, 7-Chloro-4-hydroxy-2-[pyrrolidinylcarbonyl]quinoline-3-carboxylic acid 179543-97-6P, 3-Carbomethoxy-2-((pyrrolidino)carbonyl)-7-chloro-4-hydroxyquinoline 406932-99-8P 406933-03-7P
406933-07-1P 406933-11-7P 406933-25-3P
406933-27-5P 406933-29-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis and use of tetrahydropyridazino[4,5-b]quinoline-diones and use for treatment of pain)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 25 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 136:294841 CA
TITLE: Synthesis of a substituted tetrahydropyridazino[4,5-b]quinoline-dione and the use thereof for the treatment of pain
INVENTOR(S): Brown, Dean Gordon; Urbanek, Rebecca Ann; Murphy, Megan; Xiao, Wenhua; McLaren, Frances Marie; Vacek, Edward; Bare, Thomas; Horchler, Carey Lynn; Barlaam, Christine; Steelman, Gary Banks; Alford, Vernon
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026740	A1	20020404	WO 2001-SE2125	20010928
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001092499	A5	20020408	AU 2001-92499	20010928
EP 1325003	A1	20030709	EP 2001-972861	20010928
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004509964	T2	20040402	JP 2002-531124	20010928
US 2004053930	A1	20040318	US 2003-381922	20030908
PRIORITY APPLN. INFO.:			US 2000-236630P	P 20000929
			WO 2001-SE2125	W 20010928

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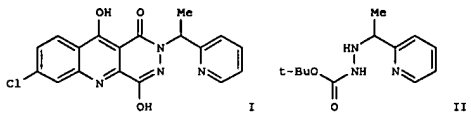
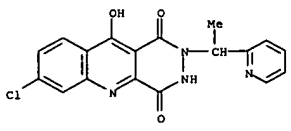


AB Compound I and enantiomers thereof are disclosed. Examples include synthesis of I, anionic and cationic salts thereof and bioassays including

L9 ANSWER 3 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)
binding data for the NMDA glycine site. For instance, tert-butylcarbazate is condensed with 2-acetylpyridine, the product reduced to give II and the enantiomers sepd. (abs. configuration based on comparison to a literature intermediate). (-)-II was coupled to 7-chloro-4-hydroxy-2-(pyrrolidinylcarbonyl)quinoline-3-carboxylic acid (prepn. given) and the product treated with methanesulfonic acid to give (-)-I (III) isolated as the methanesulfonate salt. III had Ki = 194 nM for the NMDA glycine site while (+)-I had Ki = 3400 nM in the same assay. III is useful in the treatment of pain.
IT 406933-27-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; synthesis of a substituted tetrahydropyridazino[4,5-b]quinoline-dione and use thereof for treatment of pain)
RN 406933-27-5 CA
CN Pyridazino[4,5-b]quinoline-1,4-dione, 7-chloro-2,3-dihydro-10-hydroxy-2-[1-(2-pyridinyl)ethyl]-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 406933-26-4
CMF C18 H13 Cl N4 O3



CM 2

CRN 75-75-2
CMF C H4 O3 S

IT 406933-27-5P 406933-60-6P 406933-61-7P
406933-62-8P 406933-63-9P 406933-64-0P
406933-65-1P 406933-66-2P 406933-67-3P
406933-68-4P 406933-69-5P 406933-70-8P
406933-71-9P 406933-72-0P 406933-73-1P
406947-85-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

L9 ANSWER 3 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; synthesis of a substituted tetrahydropyridazino[4,5-b]quinoline-dione and use thereof for treatment of pain)
IT 147494-01-7P, Dimethyl 7-chloro-4-hydroxyquinoline-2,3-dicarboxylate 170143-35-8P, 3-Carbomethoxy-7-chloro-4-hydroxyquinoline-2-carboxylic acid 179543-91-0P
179543-97-6P 406933-25-3P 406933-79-7P
406933-80-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis of a substituted tetrahydropyridazino[4,5-b]quinoline-dione and use thereof for treatment of pain)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 25 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 136:294840 CA
TITLE: Preparation of NMDA receptor glycine site-inhibiting 1,2,5,10-tetrahydropyridazino[4,5-b]quinoline-1,10-diones and their use for the treatment of pain
INVENTOR(S): Murphy, Megan; Xiao, Wenhua; Brown, Dean Gordon; Urbanek, Rebecca Ann; McLaren, Frances Marie; Vacek, Edward; Bare, Thomas; Horchler, Carey Lynn; Barlaam, Christine; Steelman, Gary Banks; Alford, Vernon
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026738	A1	20020404	WO 2001-SE2123	20010928
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001092498	A5	20020408	AU 2001-92498	20010928
EP 1325002	A1	20030709	EP 2001-972860	20010928
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004509962	T2	20040402	JP 2002-531122	20010928
US 2004058927	A1	20040325	US 2003-381915	20031009
US 6833368	B2	20041221		
PRIORITY APPLN. INFO.:			US 2000-236629P	P 20000929
			WO 2001-SE2123	W 20010928

OTHER SOURCE(S): MARPAT 136:294840
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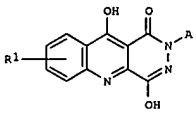
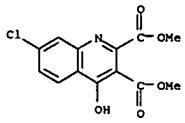


I

AB The title compds. (I; A = heterocyclyl; R1 = halogen), useful as NMDA

L9 ANSWER 4 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)
receptor glycine site-inhibitors for the treatment of pain, are prepd. and analgesic I-contg. formulations claimed. Thus, 7-chloro-4-hydroxy-2-(2H,3H,4H-benzo[e]thian-4-yl)-1,2,5,10-tetrahydropyridazino[4,5-b]quinoline-1,10-dione (m.p. >300°), prepd. from 2H,3H-benzo[e]thian-4-one in 8 steps, demonstrated a Ki of 411 nM in a human brain membrane assay.
IT 147494-01-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in the preparation of NMDA receptor glycine site-inhibiting 1,2,5,10-tetrahydropyridazino[4,5-b]quinoline-1,10-diones and their use for the treatment of pain)
RN 147494-01-7 CA
CN 2,3-Quinolinedicarboxylic acid, 7-chloro-4-hydroxy-, dimethyl ester (9CI) (CA INDEX NAME)



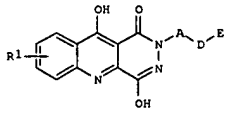
IT 147494-01-7P 170143-35-8P 179543-97-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in the preparation of NMDA receptor glycine site-inhibiting 1,2,5,10-tetrahydropyridazino[4,5-b]quinoline-1,10-diones and their use for the treatment of pain)
IT 406938-62-3P 406938-64-5P 406938-67-8P 406938-69-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of NMDA receptor glycine site-inhibiting 1,2,5,10-tetrahydropyridazino[4,5-b]quinoline-1,10-diones and their use for the treatment of pain)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 25 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 136:279463 CA
TITLE: Synthesis and use of tetrahydropyridazino[4,5-b]quinoline-diones and their use for the treatment of pain
INVENTOR(S): Murphy, Megan; Xiao, Wenhua; Brown, Dean Gordon; Urbanek, Rebecca Ann; McLaren, Frances Marie; Vacek, Edward; Bare, Thomas; Horchler, Carey Lynn; Barlaam, Christine; Steelman, Gary Banks; Alford, Vernon
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026739	A1	20020404	WO 2001-SE2124	20010928
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002014441	A5	20020408	AU 2002-14441	20010928
EP 1325005	A1	20030709	EP 2001-982984	20010928
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004509963	T2	20040402	JP 2002-531123	20010928
US 2005070544	A1	20050331	US 2003-381914	20031009
PRIORITY APPLN. INFO.:			US 2000-236754P	P 20000929
			WO 2001-SE2124	W 20010928

OTHER SOURCE(S): MARPAT 136:279463
GI

L9 ANSWER 5 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)



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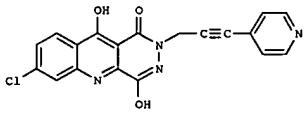


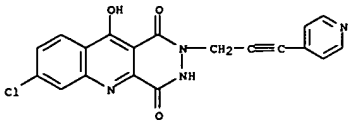
II

AB Title compds. I [R1 = halo; A = (CH2)nC.tplbond.C; n = 1-3; D = (hetero)aryl; E = H, halo, or a tautomer or with one exception] were prepared Six synthetic examples were provided. For instance, propargyl bromide was used to alkylate tert-Bu carbazate and the resulting amide condensed with 7-chloro-4-oxo-2-((pyrrolidinyl)carbonyl)hydroquinoline-3-carboxylic acid to give the corresponding derivative This propargyl sidechain was elaborated by coupling to 4-iodopyridine and the resulting intermediate treated with methanesulfonic acid to give II, isolated as the methanesulfonate salt. Example compds. gave a range of Ki = 14 to 106 nM for the NMDA glycine receptor; II had Ki = 67.6 nM. I are useful for the treatment of pain.
IT 406692-47-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; synthesis and use of tetrahydropyridazino[4,5-b]quinoline-diones and use for treatment of pain)
RN 406692-47-5 CA
CN Pyridazino[4,5-b]quinoline-1,4-dione, 7-chloro-2,3-dihydro-10-hydroxy-2-[3-(4-pyridinyl)-2-propynyl]-, methanesulfonate (10:13) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 406692-46-4
CMF C19 H11 Cl N4 O3

L9 ANSWER 5 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)



CM 2

CRN 75-75-2
CMF C H4 O3 S

IT **406692-47-5P 406692-48-6P 406692-50-0P**
406692-52-2P 406692-54-4P 406692-57-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; synthesis and use of tetrahydropyridazino[4,5-b]quinoline-diones and use for treatment of pain)
IT **147494-01-7P 170143-35-8P 179543-91-0P**
179543-97-6P 406683-20-3P 406683-21-4P
406683-22-5P 406683-24-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis and use of tetrahydropyridazino[4,5-b]quinoline-diones and use for treatment of pain)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

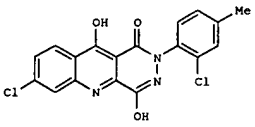
L9 ANSWER 6 OF 25 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 135:92645 CA
TITLE: Preparation of 7-chloro-4-hydroxy-2-(2-chloro-4-methylphenyl)-1,2,5,10-tetrahydropyridazino[4,5-b]quinoline-1,10-dione for the treatment of pain
INVENTOR(S): Bare, Thomas Michael; Brown, Dean Gordon; Murphy, Megan; Urbanek, Rebecca Ann; Xiao, Wenhua
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047927	A1	20010705	WO 2000-SE2611	20001219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2394888	AA	20010705	CA 2000-2394888	20001219
BR 2000016654	A	20020903	BR 2000-16654	20001219
EP 1244662	A1	20021002	EP 2000-987935	20001219
EP 1244662	B1	20050427		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003519149	T2	20030617	JP 2001-549397	20001219
NZ 519390	A	20030725	NZ 2000-519390	20001219
EE 200200350	A	20031015	EE 2002-350	20001219
RU 2234507	C2	20040820	RU 2002-115270	20001219
AU 779703	B2	20050210	AU 2001-24203	20001219
AU 2001024203	A5	20010709		
AT 294177	E	20050515	AT 2000-987935	20001219
ZA 2002004779	A	20030915	ZA 2002-4779	20020613
ZA 2002004781	A	20030915	ZA 2002-4781	20020613
BG 106831	A	20030331	BG 2002-106831	20020618
NO 2002002984	A	20020820	NO 2002-2984	20020620
US 2003149042	A1	20030807	US 2003-168760	20030121
US 6787547	B2	20040907		
US 2005101603	A1	20050512	US 2004-934753	20040903
US 6943165	B2	20050913		

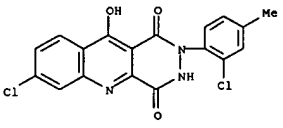
PRIORITY APPLN. INFO.:
US 1999-171906P P 19991223
US 2000-236783P P 20000929
WO 2000-SE2611 W 20001219
US 2003-168760 A1 20030121

L9 ANSWER 6 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)
GI



I

AB A detailed, multi-step synthesis of the title compound I (and its choline salt) which showed Ki of 56 nM and of 50 nM against NMDA glycine site binding in rat brain and in human brain, resp., was given.
IT **348630-10-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 7-chloro-4-hydroxy-2-(2-chloro-4-methylphenyl)-1,2,5,10-tetrahydropyridazino[4,5-b]quinoline-1,10-dione for the treatment of pain)
RN 348630-10-4 CA
CN Pyridazino[4,5-b]quinoline-1,4-dione, 7-chloro-2-(2-chloro-4-methylphenyl)-2,3-dihydro-10-hydroxy- (9CI) (CA INDEX NAME)



IT **348630-10-4P 348630-12-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 7-chloro-4-hydroxy-2-(2-chloro-4-methylphenyl)-1,2,5,10-tetrahydropyridazino[4,5-b]quinoline-1,10-dione for the treatment of pain)
IT **147494-01-7P 170143-35-8P 179543-91-0P**
179543-97-6P 348630-14-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of [illegible]ydroxy-2-(2-chloro-4-methylphenyl)-1,2,5,10-

L9 ANSWER 6 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)
tetrahydropyridazino[4,5-b]quinoline-1,10-dione for the treatment of pain)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 25 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 135:92643 CA
TITLE: Preparation of 1,2,5,10-tetrahydropyridazino[4,5-b]quinoline-1,10-diones for the treatment of pain
INVENTOR(S): Murphy, Megan; Urbanek, Rebecca Ann; Xiao, Wenhua; Steelman, Gary Banks; Brown, Dean Gordon; Bare, Thomas Michael
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047925	A1	20010705	WO 2000-SE2609	20001219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001025662	A5	20010709	AU 2001-25662	20001219
EP 1244664	A1	20021002	EP 2000-989117	20001219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003519147	T2	20030617	JP 2001-549395	20001219
ZA 2002004779	A	20030915	ZA 2002-4779	20020613
ZA 2002004781	A	20030915	ZA 2002-4781	20020613
US 2003153572	A1	20030814	US 2003-168762	20030212
US 6730675	B2	20040504		

PRIORITY APPLN. INFO.:	US 1999-171906P	P 19991223
	US 2000-236786P	P 20000929
	WO 2000-SE2609	W 20001219

OTHER SOURCE(S): MARPAT 135:92643
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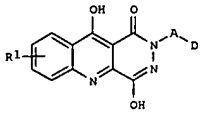


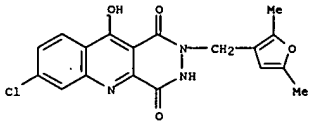
I

L9 ANSWER 7 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)

AB The title compds. [I; R1 = halo; A = (CH2)n (n = 1-4); D = (un)substituted 5-membered heteroaryl or its benz- derivative], useful for treating pain, were prepared E.g., a multi-step synthesis of I [R1 = 7-Cl; A = CH2; D = 2,5-dimethylfuran-3-yl] which showed Ki of 24.8 nM in test for binding to NMDA receptor glycine site, was given.

IT 348088-73-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,2,5,10-tetrahydropyridazino[4,5-b]quinoline-1,10-diones for the treatment of pain)

RN 348088-73-3
CN Pyridazino[4,5-b]quinoline-1,4-dione, 7-chloro-2-[(2,5-dimethyl-3-furanyl)methyl]-2,3-dihydro-10-hydroxy- (9CI) (CA INDEX NAME)



IT 348088-73-3P 348088-74-4P 348088-75-5P
348088-76-6P 348088-77-7P 348088-78-8P
348088-79-9P 348088-80-2P 348088-81-3P
348088-82-4P 348088-83-5P 348088-84-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,2,5,10-tetrahydropyridazino[4,5-b]quinoline-1,10-diones for the treatment of pain)

IT 147494-01-7P 170143-35-8P 179543-91-0P
179543-97-6P 348088-87-9P 348088-89-1P
348088-90-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1,2,5,10-tetrahydropyridazino[4,5-b]quinoline-1,10-diones for the treatment of pain)

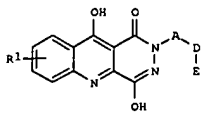
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 25 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 135:92642 CA
TITLE: Preparation of 1,2,5,10-tetrahydropyridazino[4,5-b]quinoline-1,10-diones for the treatment of pain
INVENTOR(S): Urbanek, Rebecca Ann; Bare, Thomas Michael; Brown, Dean Gordon; Xiao, Wenhua; Steelman, Gary Banks; Murphy, Megan; Horchler, Carey Lynn
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047924	A1	20010705	WO 2000-SE2607	20001219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001024200	A5	20010709	AU 2001-24200	20001219
EP 1244660	A1	20021002	EP 2000-987932	20001219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003519146	T2	20030617	JP 2001-549394	20001219
ZA 2002004779	A	20030915	ZA 2002-4779	20020613
ZA 2002004781	A	20030915	ZA 2002-4781	20020613
US 2003181449	A1	20030925	US 2003-168761	20030224

PRIORITY APPLN. INFO.:	US 1999-171906P	P 19991223
	US 2000-236881P	P 20000929
	WO 2000-SE2607	W 20001219

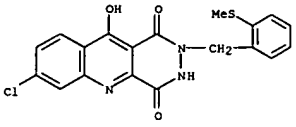
OTHER SOURCE(S): MARPAT 135:92642
GI



I

AB The title compds. [I; R1 = halo; A = (CH2)n (n = 0-4); DE = (un)substituted Ph], useful for the treatment of pain, were prepared E.g., a multi-step synthesis of I [R1 = 7-Cl; A = a bond; n = 0; DE =

L9 ANSWER 8 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)
2,4,6-Me3C6H2] which showed a Ki of 39.9 nM in the test for binding to the
NMDA receptor glycine site, was given.
IT **349104-99-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of
1,2,5,10-tetrahydropyridazino[4,5-b]quinoline-1,10-diones
for the treatment of pain)
RN 349104-99-0 CA
CN Pyridazino[4,5-b]quinoline-1,4-dione, 7-chloro-2,3-dihydro-10-hydroxy-2-[[2-(methylthio)phenyl]methyl]- (9CI) (CA INDEX NAME)

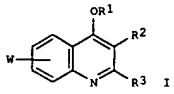


IT **349104-99-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of
1,2,5,10-tetrahydropyridazino[4,5-b]quinoline-1,10-diones
for the treatment of pain)
IT **349106-01-0 349106-02-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of
1,2,5,10-tetrahydropyridazino[4,5-b]quinoline-1,10-diones
for the treatment of pain)
IT **147494-01-7P 170143-35-8P 179543-97-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of
1,2,5,10-tetrahydropyridazino[4,5-b]quinoline-1,10-diones
for the treatment of pain)
IT **349106-04-3P**
RL: BYP (Byproduct); PREP (Preparation)
(sulfoxide byproduct in the preparation of a 1,2,5,10-tetrahydropyridazino[4,5-b]quinoline-1,10-dione derivative for the treatment of pain)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L9 ANSWER 9 OF 25 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 130:52344 CA
TITLE: Preparation of quinolinol derivatives as agrochemical bactericides and fungicides
INVENTOR(S): Yamamoto, Kazumi; Teraoka, Takeshi; Iwata, Michiaki; Imamura, Keiichi; Kurihara, Hiroshi; Sasaki, Norio; Usui, Yoshihiro; Sawai, Nobumitsu
PATENT ASSIGNEE(S): Rhone-Poulenc Yuka Agro Co., Ltd., Japan; Meiji Seika Kaisha Ltd.
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9855460	A1	19981210	WO 1998-JP2434	19980602
W: CN, ID, JP, KR, US, VN				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
TW 521072	B	20030221	TW 1998-87108526	19980601
EP 990648	A1	20000405	EP 1998-923085	19980602
R: CH, DE, FR, GB, IT, LI				
US 2003119863	A1	20030626	US 2000-424257	20000321
US 6680282	B2	20040120		
US 2004152728	A1	20040805	US 2003-715846	20031119
PRIORITY APPLN. INFO.:			JP 1997-144266	A 19970602
			WO 1998-JP2434	W 19980602
			US 2000-424257	A1 20000321

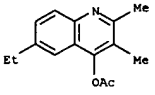
OTHER SOURCE(S): MARPAT 130:52344
GI



I

AB The title compds. I [R1 = H, etc.; R2 = (un)substituted alkyl; R3 = (un)substituted alkyl, alkenyl, etc.; further details on R2 and R3 are given; W indicates one to 4 substituents such as halo, (un)substituted alkyl, etc.] are prepared The title compound I [R1 = MeCO; R2 = R3 = Me; W = 6-Et] at 100 ppm gave ≥ 80% control of Pyricularia oryzae.
IT **133767-08-5P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic

L9 ANSWER 9 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)
preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinolinol derivs. as agrochem. bactericides and fungicides)
RN 133767-08-5 CA
CN 4-Quinolinol, 6-ethyl-2,3-dimethyl-, acetate (ester) (9CI) (CA INDEX NAME)



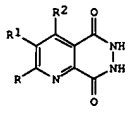
IT **133767-08-5P 133767-10-9P 217074-11-8P**
217074-15-2P 217074-18-5P 217074-20-9P
217074-26-5P 217074-28-7P 217074-30-1P
217074-32-3P 217074-36-7P 217074-39-0P
217074-40-3P 217074-42-5P 217074-43-6P
217074-50-5P 217074-52-7P 217074-54-9P
217074-55-0P 217074-56-1P 217074-59-4P
217074-61-8P 217074-63-0P 217074-64-1P
217074-67-4P 217074-70-9P 217074-73-2P
217074-74-3P 217074-78-7P 217074-81-2P
217074-85-6P 217074-89-0P 217074-93-6P
217075-15-5P 217075-18-8P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolinol derivs. as agrochem. bactericides and fungicides)
IT **217075-05-3 217075-08-6 217075-11-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of quinolinol derivs. as agrochem. bactericides and fungicides)
IT **217074-94-7P 217074-95-8P 217074-97-0P**
217074-99-2P 217075-00-8P 217075-02-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinolinol derivs. as agrochem. bactericides and fungicides)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L9 ANSWER 10 OF 25 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 119:8821 CA
TITLE: Pyridazinediones and their use in the treatment of neurological disorders
INVENTOR(S): Bare, Thomas Michael; Sparks, Richard Bruce
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK
SOURCE: Eur. Pat. Appl., 64 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

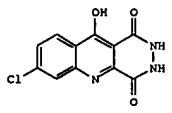
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 516297	A1	19921202	EP 1992-304084	19920506
EP 516297	B1	19961030		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
ZA 9202998	A	19930224	ZA 1992-2998	19920424
AU 9215213	A1	19921112	AU 1992-15213	19920428
AU 642086	B2	19931007		
CA 2067537	AA	19921110	CA 1992-2067537	19920429
HU 61302	A2	19921228	HU 1992-1486	19920504
AT 144707	E	19961115	AT 1992-304084	19920506
ES 2093782	T3	19970101	ES 1992-304084	19920506
SK 280336	B6	19991210	SK 1992-1396	19920507
CZ 286814	B6	20000712	CZ 1992-1396	19920507
NO 9201841	A	19921110	NO 1992-1841	19920508
NO 180619	B	19970210		
NO 180619	C	19970521		
FI 102754	B1	19990215	FI 1992-2099	19920508
KR 231095	B1	19991115	KR 1992-7938	19920508
JP 05140162	A2	19930608	JP 1992-117285	19920511
JP 3279633	B2	20020430		
US 5604227	A	19970218	US 1995-421133	19950413
US 5599814	A	19970204	US 1995-427469	19950424
US 5733910	A	19980331	US 1996-689259	19960805
US 5739133	A	19980414	US 1996-700654	19960815
PRIORITY APPLN. INFO.:			GB 1991-9973	A 19910509
			GB 1992-2991	A 19920213
			CS 1992-1396	A 19920507
			US 1992-880965	B1 19920508
			US 1993-156211	B1 19931122
			US 1993-156659	B1 19931122
			US 1995-421133	A1 19950413
			US 1995-427469	A1 19950424

OTHER SOURCE(S): MARPAT 119:8821
GI

L9 ANSWER 10 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)



I



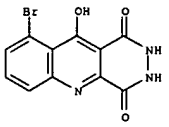
II

AB Title compds. I (RR1 = atoms required to complete an (un)substituted benzene, pyridine, or thiophene ring; R2 = H, NH2, NHNH2, OH, SH) and their mono-, di-, or triacylated derivs. are useful in treating neurodegenerative disorders. 4,2-Cl(H2N)C6H3CO2Me was cyclized with MeO2CC.tplbond.CCO2Me to give 28.9% di-Me 7-chloro-4-hydroxyquinoline-2,3-dicarboxylate which was treated with N2H4 to give 90% pyridiazinoquinolinedione II. II had an ED50 of 2.1 μM for inhibiting glutamate-induced contractions of isolated guineapig ileum.

IT **147493-77-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acetylation of)

RN 147493-77-4 CA

CN Pyridazino[4,5-b]quinoline-1,4-dione, 9-bromo-2,3-dihydro-10-hydroxy-(9CI) (CA INDEX NAME)



IT **147493-77-4P 147493-78-5P 147493-79-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acetylation of)

IT **147494-51-7P 147494-58-4P 148133-10-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

IT **147493-53-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and glycinergic antagonist activity of)

IT **147493-58-1P 147493-60-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

L9 ANSWER 10 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)

IT **147493-64-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and inolacetylation of)

IT **147494-01-7P 147494-02-8P 147494-03-9P**
147494-05-1P 147494-06-2P 147494-07-3P
147494-09-5P 147494-10-8P 147494-11-9P
147494-15-3P 147494-16-4P 147494-17-5P
147494-18-6P 147494-19-7P 147494-21-1P
147494-23-3P 147494-28-8P 147494-33-5P
147494-39-1P 147494-43-7P 147494-48-2P
147494-49-3P 147494-50-6P 147494-55-1P
147494-57-3P 147494-59-5P 147494-60-8P
147494-67-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with hydrazine)

IT **147493-45-6P 147493-47-8P 147493-48-9P**
147493-49-0P 147493-50-3P 147493-51-4P
147493-52-5P 147493-56-9P 147493-57-0P
147493-59-2P 147493-61-6P 147493-62-7P
147493-63-8P 147493-65-0P 147493-66-1P
147493-67-2P 147493-68-3P 147493-69-4P
147493-70-7P 147493-71-8P 147493-72-9P
147493-73-0P 147493-74-1P 147493-75-2P
147493-76-3P 147493-82-1P 147493-83-2P
147493-84-3P 147493-85-4P 147493-86-5P
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147493-93-4P 147493-94-5P 147493-95-6P
147493-96-7P 147493-98-9P 147494-72-2P
147494-73-3P 147494-74-4P 147494-75-5P
148133-01-1P 148133-02-2P 148133-03-3P
148133-04-4P 148133-05-5P 148133-06-6P
148133-07-7P 148133-08-8P 148159-88-0P
148159-90-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT **147493-44-5P 147493-46-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, acylation, and glycinergic antagonist activity of)

L9 ANSWER 11 OF 25 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 112:55850 CA

TITLE: N-thiazolylquinolinecarboxamides and analogs as analgesics and antiinflammatory agents, their preparation, and formulations containing them

INVENTOR(S): Clemence, Francois; Le Martret, Odile; Delevallee, Francoise

PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.

SOURCE: U.S., 14 pp. Cont.-in-part of U.S. Ser. No. 831,356, abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

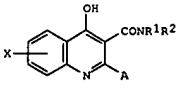
FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 4845105	A	19890704	US 1987-30680		19870324
FR 2572404	A2	19860502	FR 1984-16573		19841030
FR 2572404	B2	19871211			
FR 2585356	A1	19870130	FR 1985-11389		19850725
FR 2585356	B1	19871023			
US 4735951	A	19880405	US 1985-790064		19851022
US 4988708	A	19910129	US 1988-183911		19880420
PRIORITY APPLN. INFO.:			FR 1984-16573	A	19841030
			FR 1985-11389	A	19850725
			US 1985-790064	A2	19851022
			US 1986-831356	A2	19860220
			US 1986-890081	A1	19860724
			FR 1982-9654		19820603
			US 1987-30680	A2	19870324

OTHER SOURCE(S): MARPAT 112:55850

GI



I

AB The title compds. I (X = H, halo, C1-5 alkyl, etc., in the 5-, 6-, 7-, or 8-position; R1 = H, C1-4 alkyl; R2 = thiazolyl, 4,5-dihydrothiazolyl, pyridinyl, oxazolyl, etc.; A = CR3R4OCOR5, etc.; R3, R4 = H, C1-4 alkyl, aryl; R5 = Ph, naphthyl, etc.), useful as analgesics and antiinflammatory agents, were prepared A mixture of 4-hydroxy-2-(1-propenyl)-N-(2-thiazolyl)-8-trifluoromethyl-3-quinolinecarboxamide, methylbenzyl ammonium chloride, and KMnO4 in CH2Cl2 was stirred at 0° for 1 h to give

L9 ANSWER 11 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)

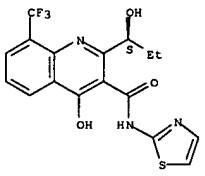
2-(1,2-dihydroxypropyl)-4-hydroxy-N-(2-thiazolyl)-8-trifluoromethyl-3-quinolinecarboxamide (II). In a chronic arthritis test using rats, II exhibited an oral ED50 of 3 mg/kg. Tablet formulations contg I were given.

IT **114419-81-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of analgesic and antiinflammatory)

RN 114419-81-7 CA

CN 3-Quinolinecarboxamide, 4-hydroxy-2-(1-hydroxypropyl)-N-2-thiazolyl-8-(trifluoromethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **114419-81-7P 124823-12-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of analgesic and antiinflammatory)

IT **98009-95-1P 112905-09-6P 112905-10-9P**
112905-13-2P 114351-55-2P 114351-56-3P
114419-80-6P 124823-06-9P 124823-07-0P
124823-08-1P 124823-10-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of analgesic and antiinflammatory agent)

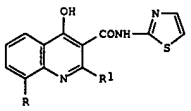
IT **105488-41-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as analgesic and antiinflammatory)

IT **105488-39-9P 105488-40-2P 105504-93-6P**
112905-04-1P 112905-05-2P 112905-06-3P
114351-01-8P 114351-02-9P 124822-90-8P
124822-91-9P 124822-92-0P 124822-93-1P
124822-95-3P 124822-96-4P 124822-97-5P
124822-98-6P 124822-99-7P 124823-00-3P
124823-01-4P 124823-02-5P 124857-48-3P
124857-49-4P 124857-50-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as analgesic and antiinflammatory agent)

IT **89441-23-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of analgesic and antiinflammatory)

L9 ANSWER 11 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)
IT 89441-23-6 89441-40-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of analgesic and antiinflammatory agent)

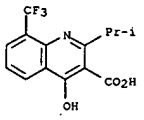
L9 ANSWER 12 OF 25 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 109:22828 CA
TITLE: 4-Hydroxy-3-quinolinecarboxamides with antiarthritic and analgesic activities
AUTHOR(S): Clemence, Francois; Le Martret, Odile; Delevallee, Francoise; Benzoni, Josette; Jouanen, Alain; Jouquey, Simone; Mouren, Michel; Deraedt, Roger
CORPORATE SOURCE: Cent. Rech., ROUSSEL-UCLAF, Romainville, 93230, Fr.
SOURCE: Journal of Medicinal Chemistry (1988), 31(7), 1453-62
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:22828
GI



I

AB A series of 4-hydroxy-3-quinolinecarboxamides were synthesized and evaluated by the oral route as antiinflammatory agents in carrageenin-induced foot edema and adjuvant-induced arthritis and as analgesic agents in the AcOH induced writhing test. Thus, 4-hydroxy-8-methoxy-3-quinolinecarbonyl chloride reacted with 2-aminothiazole to give 64% the title compound I (R = MeO, R1 = H). Some of the most active mols., possessed both analgesic and acute antiinflammatory activity, others, such as I (R = CF3; R1 = H, Me, CHCl2) were only powerful, peripherally acting analgesics. I (R = CF3, R1 = CHCl2), being active at 1 mg/kg (ED50), is the most potent compound in the series. Some analogs, substituted in the 2-position by an alc., ester, or amine function, displayed potent antiarthritic activity in the same range as that of piroxicam and were also active in acute tests of inflammation and nociception. They inhibited the activity of both cyclooxygenase and 5-lipoxygenase at micromolar concns. I (R = CF3, R1 = EtCO2CHEt) (RU 43526) showed potent antiarthritic activity (adjuvant-induced arthritis, ED50 = 0.7 mg/kg, po) and gastrointestinal tolerance (ED100 > 250 mg/kg, po) and thus it is presently undergoing an extensive pharmacol. evaluation.
IT 64321-75-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation of, with aminothiazole)
RN 64321-75-1 CA
CN 3-Quinolinecarboxylic acid, 4-hydroxy-2-(1-methylethyl)-8-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L9 ANSWER 12 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)



IT 64321-75-1 64321-85-3 64321-90-0
64322-02-7 75999-45-0 75999-49-4
75999-51-8 114351-52-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation of, with aminothiazole)
IT 75999-37-0 80777-17-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation of, with heterocyclic amines)
IT 64321-66-0 64321-70-6 64321-80-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation of, with heterocyclic imines)
IT 114351-55-2P 114351-56-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and aminolysis of)
IT 64321-76-2P 64321-81-9P 64321-91-1P
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114350-80-0P 114351-01-8P 114351-02-9P
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124822-99-7P 124823-00-3P 124823-01-4P
124823-02-5P 124857-49-4P 124857-50-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and analgesic activity of)
IT 64321-64-8P 64321-71-7P 64321-86-4P
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114350-94-6P 114350-97-9P 114350-98-0P
114351-14-3P 114351-15-4P 124857-48-3P
RL: BAC (Biological activity or effector, except adverse); BSU

L9 ANSWER 12 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and analgesic and antiinflammatory activity of)
IT 105100-51-4P 105100-53-6P 105100-55-8P
105100-57-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotection of)
IT 89441-18-9P 89441-19-0P 89441-22-5P
98009-94-0P 114351-43-8P 114351-44-9P
124823-12-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis-ring cleavage of)
IT 124822-97-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
IT 89441-23-6P 98009-95-1P 124823-10-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, esterification and hydrolysis-ring cleavage of)

L9 ANSWER 13 OF 25 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 108:94406 CA
TITLE: Preparation of quinolinecarboxamides as inflammation inhibitors
INVENTOR(S): Clemence, Francois; Le Martret, Odile; Delevallee, Francoise
PATENT ASSIGNEE(S): Roussel-UCLAF , Fr.
SOURCE: Fr. Demande, 17 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

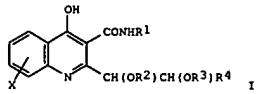
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2585356	A1	19870130	FR 1985-11389	19850725
FR 2585356	B1	19871023		
HU 43598	A2	19871130	HU 1986-2842	19860708
HU 202526	B	19910328		
ZA 8605260	A	19870930	ZA 1986-5260	19860715
JP 62029585	A2	19870207	JP 1986-170961	19860722
JP 07010863	B4	19950208		
SU 1584749	A3	19900807	SU 1986-4027833	19860723
DK 8603518	A	19870126	DK 1986-3518	19860724
DK 172034	B1	19970922		
FI 8603040	A	19870126	FI 1986-3040	19860724
FI 86426	B	19920515		
FI 86426	C	19920825		
AU 8660509	A1	19870129	AU 1986-60509	19860724
AU 609377	B2	19910502		
EP 214004	A2	19870311	EP 1986-401661	19860724
EP 214004	A3	19890405		
EP 214004	B1	19930331		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
ES 2000739	A6	19880316	ES 1986-554	19860724
CA 1262903	A1	19891114	CA 1986-514629	19860724
AT 87625	E	19930415	AT 1986-401661	19860724
US 4845105	A	19890704	US 1987-30680	19870324
US 4988708	A	19910129	US 1988-183911	19880420

PRIORITY APPLN. INFO.:

FR 1984-16573	A	19841030
FR 1985-11389	A	19850725
US 1985-790064	A2	19851022
US 1986-831356	A2	19860220
EP 1986-401661	A	19860724
US 1986-890081	A1	19860724
US 1987-30680	A2	19870324

OTHER SOURCE(S): CASREACT 108:94406
GI

L9 ANSWER 13 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)



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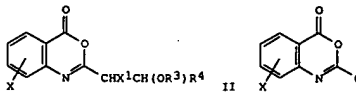
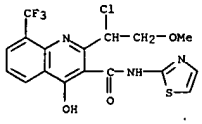


II III

AB The title compds. I [X = H, halo, alkyl, alkoxy, CF3, SCF3, OCF3; R1 = (substituted) thiazolyl, 4,5-dihydrothiazolyl, oxazolyl, isoxazolyl, imidazolyl, tetrazolyl, etc.; R2, R3 = H, alkyl, aryl, COR5 (wherein R5 = alkyl, aryl); R4 = H, alkyl, aryl], useful as inflammation inhibitors, were prepared via reaction of benzoxazinones II (X = as given above; R4 = H, alkyl, aryl; R3 = alkyl, aryl; X1 = halo) with either MeCONHR1 (R1 = as given above) or ROCOCH2CONHR1 (R1 = as given above; R = alkyl) or reaction of benzoxazinones III (X, R4 = as given above) with MeCONHR1. Reaction of 2-acetylaminothiazole with 2-(1-chloro-2-methoxyethyl)-8-trifluoromethyl-4H-3,1-benzoxazin-4-one in the presence of BuLi gave 2-[(2-chloro-3-methoxy-1-oxopropyl)amino]-β-oxo-N-(2-thiazolyl)-3-trifluoromethylbenzenepropanamide (IV). A mixture of 33.35 g IV and 10 g dimethylaminopyridine in 300 mL THF was refluxed for 30 min to give 28.2 g 2-(1-chloro-2-methoxyethyl)-4-hydroxy-N-(2-thiazolyl)-8-trifluoromethyl-3-quinolinecarboxamide (V). Treatment of V with tert-BuOK in dioxane, followed by hydrolysis of the resulting furo[3,4-b]quinoline derivative gave 4-hydroxy-2-(1-hydroxy-2-methoxyethyl)-N-(2-thiazolyl)-8-(trifluoromethyl)-3-quinolinecarboxamide (VI). At 2 mg/kg orally, VI inhibited Freund's adjuvant-induced inflammation in rats by 50%. Tablets containing 2-(1,2-dihydroxyethyl)-4-hydroxy-N-(2-thiazolyl)-8-trifluoromethyl-3-quinolinecarboxamide, lactose, talc, starch, and Mg stearate were prepared

IT **112905-09-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)
RN 112905-09-6 CA

L9 ANSWER 13 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)
CN 3-Quinolinecarboxamide, 2-(1-chloro-2-methoxyethyl)-4-hydroxy-N-2-thiazolyl-8-(trifluoromethyl)- (9CI) (CA INDEX NAME)



IT **112905-09-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)
IT **112905-10-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
IT **112905-13-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydroxylation of)
IT **112905-04-1P 112905-05-2P 112905-06-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as inflammation inhibitor)

L9 ANSWER 14 OF 25 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 105:226393 CA
TITLE: Quinolinecarboxamides
INVENTOR(S): Clemence, Francois; Le Martret, Odile; Delevallee, Francoise
PATENT ASSIGNEE(S): Roussel-UCLAF , Fr.
SOURCE: Fr. Demande, 13 pp. Addn. to Fr. Demande Appl. No. 8209654.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2572404	A2	19860502	FR 1984-16573	19841030
FR 2572404	B2	19871211		
FR 2530633	A1	19840127	FR 1982-9654	19820603
FR 2530633	B1	19841228		
SE 8302474	A	19831204	SE 1983-2474	19830502
SE 461041	B	19891218		
SE 461041	C	19900412		
US 4486438	A	19841204	US 1983-498832	19830527
BE 896941	A1	19831202	BE 1983-210919	19830602
NL 8301963	A	19840102	NL 1983-1963	19830602
GB 2123817	A1	19840208	GB 1983-15132	19830602
GB 2123817	B2	19850904		
CA 1204116	A1	19860506	CA 1983-429562	19830602
CH 659469	A	19870130	CH 1983-3034	19830602
CH 659818	A	19870227	CH 1986-731	19830602
JP 58225066	A2	19831227	JP 1983-98188	19830603
JP 03054658	B4	19910820		
AT 8302040	A	19870715	AT 1983-2040	19830603
AT 385034	B	19880210		
US 4596875	A	19860624	US 1984-623430	19840622
ZA 8507945	A	19861230	ZA 1985-7945	19851016
US 4735951	A	19880405	US 1985-790064	19851022
EP 183584	A1	19860604	EP 1985-402052	19851023
EP 183584	B1	19891206		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 48424	E	19891215	AT 1985-402052	19851023
CA 1259072	A1	19890905	CA 1985-494012	19851028
AU 8549173	A1	19860508	AU 1985-49173	19851029
AU 601942	B2	19900927		
JP 61109770	A2	19860528	JP 1985-240735	19851029
JP 07088379	B4	19950927		
ES 548300	A1	19870101	ES 1985-548300	19851029
HU 40649	A2	19870128	HU 1985-4147	19851029
HU 197327	B	19890328		
ES 556096	A1	19871101	ES 1986-556096	19860616
US 4736033	A	19880405	US 1986-945777	19861223
US 4845105	A	19890704	US 1987-30680	19870324
SE 8703886	A	19871008	SE 1987-3886	19871008
SE 466656	B	19920316		
SE 466656	C	19920716		
US 4988708	A	19910129	US 1988-183911	19880420

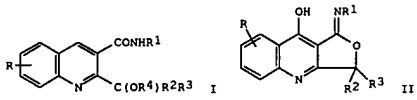
PRIORITY APPLN. INFO.:

FR 1982-9654		19820603
US 1983-498832	A3	19830527

L9 ANSWER 14 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)

CH 1983-3034	A	19830602
US 1984-623430	A3	19840622
FR 1984-16573	A	19841030
US 1985-743792	A2	19850612
FR 1985-11389	A	19850725
US 1985-790064	A2	19851022
EP 1985-402052	A	19851023
US 1986-831356	A2	19860220
US 1986-890081	A1	19860724
US 1987-30680	A2	19870324

OTHER SOURCE(S): CASREACT 105:226393
GI

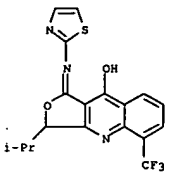


AB The title compds. I [R = H, halo, alkyl, alkoxy, CF3, OCF3, SCF3; R1 = (un)substituted Ph or -heterocyclyl; R2, R3 = H, alkyl, aryl; R4 = R5CO; R5 = alkyl, aryl], useful as antiinflammatories and analgesics, are prepared by ring opening of II with R5CO2H. Thus, 3 g II (R = 5-CF3, R1 = 2-thiazolyl, R2 = H, R3 = Et) was treated with 60 mL EtCO2H at 100-110° for 2.5 h to give 1.7 g I (R = 8-CF3, R1 = 2-thiazolyl, R2 = H, R3 = Et, R4 = EtCO) (III), which had ED50 0.8 mg/kg oral in mice in tests for inhibiting inflammation. Tablets were prepared containing 50 mg III and 350 mg excipients.

IT **98009-95-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with carboxylic acids)

RN 98009-95-1 CA
CN Furo[3,4-b]quinolin-9-ol, 1,3-dihydro-3-(1-methylethyl)-1-(2-thiazolylimino)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L9 ANSWER 14 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)



IT **98009-95-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with carboxylic acids)

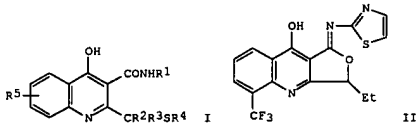
IT **89441-23-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with carboxylic acids, quinolinecarboxamides from)

IT **105488-39-9P 105488-40-2P 105488-41-3P 105504-93-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiinflammatory and analgesic)

L9 ANSWER 15 OF 25 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 105:114928 CA
TITLE: 4-Hydroxy-3-quinolinecarboxamides
INVENTOR(S): Clemence, Francois; Le Martret, Odile; Delevallee, Francoise
PATENT ASSIGNEE(S): Roussel-UCLAF , Fr.
SOURCE: Fr. Demande, 10 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2564835	A1	19851129	FR 1984-8011	19840523
FR 2564835	B1	19861024		
PRIORITY APPLN. INFO.:			FR 1984-8011	19840523

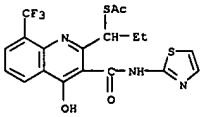
OTHER SOURCE(S): CASREACT 105:114928
GI



AB Amides I (R1 = thiazolyl, pyridyl, oxazolyl, Ph, substituted Ph; R2 = H, alkyl, aryl; R3 = H, alkyl, aryl; R4 = H, acyl; R5 = H, halo, alkyl, alkoxy, CF3, SCF3, OCF3) were prepared, and showed antiinflammatory activity. Furoquinoline II was heated with MeCOSH to give I (R1 = 2-thiazolyl, R2 = H, R3 = Et, R4 = Ac, R5 = 8-CF3) (III). In the Freund rat paw inflammation test III had an ED50 of 5 mg/kg orally.

IT **104118-85-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antiinflammatory agent)

RN 104118-85-6 CA
CN Ethanethioic acid, S-[1-[4-hydroxy-3-[(2-thiazolylamino)carbonyl]-8-(trifluoromethyl)-2-quinolinyl]propyl] ester (9CI) (CA INDEX NAME)



L9 ANSWER 15 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)

IT **104118-85-6P 104118-86-7P 104118-87-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antiinflammatory agent)

IT **89441-23-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with thioacetate)

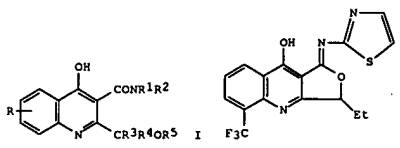
L9 ANSWER 16 OF 25 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 103:104864 CA
TITLE: 2-Substituted 4-hydroxy-3-quinolinecarboxylic acid derivatives and their medical use
INVENTOR(S): Clemence, Francois; Le Martret, Odile; Delevallee, Francoise
PATENT ASSIGNEE(S): Roussel-UCLAF , Fr.
SOURCE: Fr. Demande, 29 pp. Addn. to Fr. Demande Appl. No. 82 09654.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2551437	A2	19850308	FR 1983-13994	19830831
FR 2551437	B2	19851025		
FR 2530633	A1	19840127	FR 1982-9654	19820603
FR 2530633	B1	19841228		
SE 8302474	A	19831204	SE 1983-2474	19830502
SE 461041	B	19891218		
SE 461041	C	19900412		
US 4486438	A	19841204	US 1983-498832	19830527
BE 896941	A1	19831202	BE 1983-210919	19830602
NL 8301963	A	19840102	NL 1983-1963	19830602
GB 2123817	A1	19840208	GB 1983-15132	19830602
GB 2123817	B2	19850904		
CA 1204116	A1	19860506	CA 1983-429562	19830602
CH 659469	A	19870130	CH 1983-3034	19830602
CH 659818	A	19870227	CH 1986-731	19830602
JP 58225066	A2	19831227	JP 1983-98188	19830603
JP 03054658	B4	19910820		
AT 8302040	A	19870715	AT 1983-2040	19830603
AT 385034	B	19880210		
US 4596875	A	19860624	US 1984-623430	19840622
US 4736033	A	19880405	US 1986-945777	19861223
SE 8703886	A	19871008	SE 1987-3886	19871008
SE 466656	B	19920316		
SE 466656	C	19920716		

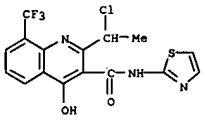
PRIORITY APPLN. INFO.:
FR 1982-9654 19820603
US 1983-498832 A3 19830527
CH 1983-3034 A 19830602
US 1984-623430 A3 19840622
US 1985-743792 A2 19850612

OTHER SOURCE(S): CASREACT 103:104864
GI

L9 ANSWER 16 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)



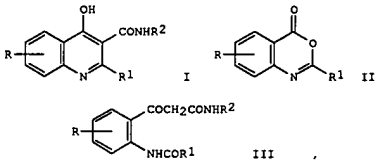
AB Quinolinecarboxamides I [R = H, halo, alkyl, alkoxy, CF3, SCF3, OCF3; R1 = H; R2 = thiazolyl, dihydrothiazolyl, pyridyl, oxazolyl, isoxazolyl, imidazolyl, pyrimidyl, tetrazolyl, Ph, hydroxy-, alkyl-, alkoxy-, (trifluoromethyl)-, nitro-, or halophenyl; R3 = H, alkyl, aryl; R4 = H, alkyl, aryl; R5 = H] were prepared A 2-(1-chloropropyl)-4H-3,1-benzoxazin-4-one derivative was treated with 4-(dimethylamino)pyridine in THF to yield furoquinoline derivative II, and the latter was heated with HCl to give I (R = 8-CF3, R1 = R3 = R5 = H, R2 = 2-thiazolyl, R4 = Et), which exhibited analgesic and antiinflammatory activity.
IT 80777-24-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, furoquinoline derivative from)
RN 80777-24-8 CA
CN 3-Quinolinecarboxamide, 2-(1-chloroethyl)-4-hydroxy-N-2-thiazolyl-8-(trifluoromethyl)- (9CI) (CA INDEX NAME)



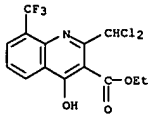
IT 80777-24-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, furoquinoline derivative from)
IT 89441-40-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and analgesic and antiinflammatory activity of)
IT 89441-18-9P 89441-19-0P 89441-21-4P 89441-22-5P 89441-23-6P 89441-24-7P 98009-94-0P 98009-95-1P

L9 ANSWER 16 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and ring cleavage of)
IT 89441-31-6P 89441-33-8P 89441-34-9P 89441-36-1P 89441-37-2P 89441-38-3P 89441-39-4P 98009-96-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L9 ANSWER 17 OF 25 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 102:149080 CA
TITLE: New route to N-aryl and N-heteroaryl derivatives of 4-hydroxy-3-quinolinecarboxamides
AUTHOR(S): Clemence, Francois; Le Martret, Odile; Collard, Jeannine
CORPORATE SOURCE: Cent. Rech. Roussel Uclaf, Romainville, 93230, Fr.
SOURCE: Journal of Heterocyclic Chemistry (1984), 21(5), 1345-53
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 102:149080
GI



AB The N-aryl and N-heteroaryl substituted 4-hydroxy-3-quinolinecarboxamides I (R = H, Cl, F3C, R1 = H, Me, Et F3C, Cl2CH, etc.; R3 = 2-thiazolyl, 2-pyridyl, 2-oxazolyl, 4-MeOC6H4, 4,5-dihydro-2-thiazolyl) were prepared by attack of dianions of N-aryl substituted acetamides on the C-4 carbonyl of 4H-3,1-benzoxazin-4-ones II to give ketoamides III, which smoothly cyclized in the presence of bases to afford I.
IT 80777-17-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with aminothiazole)
RN 80777-17-9 CA
CN 3-Quinolinecarboxylic acid, 2-(dichloromethyl)-4-hydroxy-8-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)



IT 80777-17-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with aminothiazole)

L9 ANSWER 17 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)

IT **64321-64-8P 80777-20-4P 80777-22-6P**
80777-24-8P 80777-32-8P 80777-34-0P
80777-44-2P 80783-80-8P 89441-10-1P
89441-24-7P 91457-69-1P 91457-72-6P
91457-74-8P 91457-77-1P 91533-76-5P
95632-07-8P 95632-08-9P 95632-11-4P
95632-12-5P 95632-13-6P 95632-16-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT **64321-66-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with aminothiazole)

L9 ANSWER 18 OF 25 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 100:138971 CA
TITLE: 4-Hydroxy-3-quinolinecarboxamides and their use as pharmaceuticals.
INVENTOR(S): Clemence, Francois; Le Martret, Odile; Delevallee, Francoise
PATENT ASSIGNEE(S): Roussel-UCLAF , Fr.
SOURCE: Ger. Offen., 41 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3320102	A1	19831208	DE 1983-3320102	19830603
DE 3320102	C2	19920702		
FR 2530633	A1	19840127	FR 1982-9654	19820603
FR 2530633	B1	19841228		
SE 8302474	A	19831204	SE 1983-2474	19830502
SE 461041	B	19891218		
SE 461041	C	19900412		
US 4486438	A	19841204	US 1983-498832	19830527
BE 896941	A1	19831202	BE 1983-210919	19830602
NL 8301963	A	19840102	NL 1983-1963	19830602
GB 2123817	A1	19840208	GB 1983-15132	19830602
GB 2123817	B2	19850904		
CA 1204116	A1	19860506	CA 1983-429562	19830602
CH 659469	A	19870130	CH 1983-3034	19830602
CH 659818	A	19870227	CH 1986-731	19830602
JP 58225066	A2	19831227	JP 1983-98188	19830603
JP 03054658	B4	19910820		
AT 8302040	A	19870715	AT 1983-2040	19830603
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SE 466656	C	19920716		

PRIORITY APPLN. INFO.:
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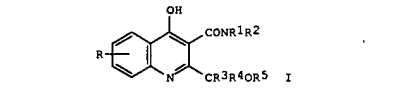
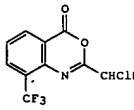
OTHER SOURCE(S): CASREACT 100:138971
GI

L9 ANSWER 18 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)



I



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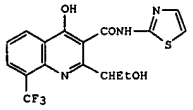
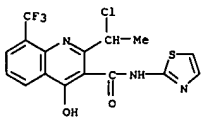


III

AB Title compds. (I) [R = 5-8 H, halo, C1-5 alkyl, C1-4 alkoxy, CF3, etc.; R1 = H, C1-4 alkyl; R2 = (alkyl)heterocyclyl, e.g., thiazolyl, pyridyl, aryl, etc.; R3,R4 = H, C1-4 alkyl, aryl; R5 = H, C1-4 alkyl, COR6;R6 = C1-4 alkyl, aryl] were prepared and in some cases effective as analgesics at 0.3-1.2 mg./kg. and as antiinflammatories at 12-15 mg./kg. Thus, 2,3-H2N(CF3)C6H3CO2H was treated with EtCHClCOCl to give 2-(1-chloropropyl)-8-trifluoromethyl-4H-3,1-benzoxazin-4-one (II), which was treated with N-2-thiazolylacetamide and BuLi, and the resulting propenamide derivative cyclized by refluxing with (dimethylamino)pyridine in THF, and hydrolyzed to give 4-hydroxy-2-(1-hydroxypropyl)-N-2-thiazolyl-8-(trifluoromethyl)-3-quinolinecarboxamide (III).

IT **80777-24-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(acetolysis of)

RN 80777-24-8 CA
CN 3-Quinolinecarboxamide, 2-(1-chloroethyl)-4-hydroxy-N-2-thiazolyl-8-(trifluoromethyl)- (9CI) (CA INDEX NAME)



IT **80777-24-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(acetolysis of)

IT **89441-10-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and demethylation with boron bromide)

IT **89441-17-8P 89441-18-9P 89441-19-0P**
89441-21-4P 89441-22-5P 89441-23-6P
89441-24-7P

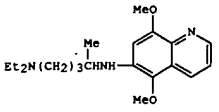
L9 ANSWER 18 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrolysis of)

IT **89441-38-3P 89441-39-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT **89441-32-7P 89441-33-8P 89441-34-9P**
89441-36-1P 89441-37-2P 89441-40-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as analgesic or inflammation inhibitor)

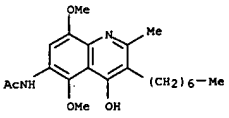
IT **89441-31-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, and analgesic and antiinflammatory activity of)

L9 ANSWER 19 OF 25 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 89:99743 CA
TITLE: Antimalarial 6-aminoquinolines. XI. Some 2-, 3-, and
4-alkyl-, aryl-, and arylalkyl derivatives
AUTHOR(S): Nickel, P.; Zimmerman, R.; Preissinger, L.; Fink, E.
CORPORATE SOURCE: Inst. Pharm. Lebensmittelchem., Univ. Wuerzburg, Wuerzburg, Fed. Rep. Ger.
SOURCE: Arzneimittel-Forschung (1978), 28(5), 723-31
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: German
GI



I

AB Twenty-three derivs. of 6-(4-diethylamino-1-methylbutylamino)-5,8-dimethoxyquinoline (I) were synthesized and all were active against Plasmodium vinckei infections in mice. However, none were more effective than 2,4-dimethyl-5,8-dimethoxyquinoline [52823-95-7]. Flat mols. and bulky hydrogenated derivs. showed comparable activity, indicating that a flat strusture is not essential for the activity of the 6-aminoquinolines.
IT 67188-16-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 67188-16-3 CA
CN Acetamide, N-(3-heptyl-4-hydroxy-5,8-dimethoxy-2-methyl-6-quinolinyl)- (9CI) (CA INDEX NAME)

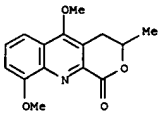


IT 67188-16-3P 67188-24-3P 67188-30-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L9 ANSWER 20 OF 25 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 81:120598 CA
TITLE: Fused pyridines
INVENTOR(S): Blechert, Siegfried; Gericke, Rolf; Winterfeldt, Ekkehard
PATENT ASSIGNEE(S): BASF A.-G.
SOURCE: Ger. Offen., 21 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

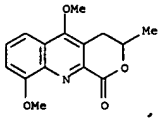
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2301401	A1	19740718	DE 1973-2301401	19730112
PRIORITY APPLN. INFO.:			DE 1973-2301401 A	19730112

GI For diagram(s), see printed CA Issue.
AB About 20 pyridine compds. I-V [X = CH:C(OMe) or S; R = H or Me; R1 = H, CO2Me, or CH2CHMeOH; R2 = allyl, CH2CCl:CH2, or CH2OH; R3 = H, CO2Me, or CHO; R4 = Me or CH2Br; R5 = e.g. allyl or CH2COMe; R6 = Cl or OMe], useful
as bactericides, were prepared by cyclization of di-Me (allylamino)maleates.
Thus, 2 - MeOC6H4N(CH2CH:CH2)C(CO2Me):CH(CO2Me) was autoclaved in C6H6 at 205° to give 22% I [X = CH:C(OMe), R = H, R1 = CO2Me, R2 = allyl], which was treated with concentrated H2SO4 at room temperature to give 66% II [X =
CH:C(OMe)] and 7% III [X = CH:C (OMe), R3 = CO2Me, R4 = Me].
IT 40684-28-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 40684-28-4 CA
CN 1H-Pyrano[3,4-b]quinolin-1-one, 3,4-dihydro-5,9-dimethoxy-3-methyl- (9CI) (CA INDEX NAME)



IT 40684-28-4P 40684-39-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L9 ANSWER 21 OF 25 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 78:84229 CA
TITLE: Additions to the triple bond. XIX. Tricyclic heteroaromatic compounds via sigmatropic rearrangement
AUTHOR(S): Blechert, Siegfried; Gericke, Rolf; Winterfeldt, Ekkehard
CORPORATE SOURCE: Org.-Chem. Inst., Tech. Univ. Hannover, Hanover, Fed. Rep. Ger.
SOURCE: Chemische Berichte (1973), 106(1), 355-67
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB Treatment of the quinolone (I, R = H) with H2SO4 gave the lactone (II). Similarly, the furoquinoline (III) was obtained from I (R = Cl). Reaction
of I (R = Cl) with SOCl2 gave the chloride (IV), which on hydrolysis gave the ketone (V). Storage of V for several days at 0° gave the enol lactone (VI). Treatment of I (R = H) with N-bromosuccinimide gave the furoquinoline (VII). Pfitzner-Moffatt oxidation of the diol VIII gave the
aldehyde (IX).
IT 40684-28-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 40684-28-4 CA
CN 1H-Pyrano[3,4-b]quinolin-1-one, 3,4-dihydro-5,9-dimethoxy-3-methyl- (9CI) (CA INDEX NAME)



IT 40684-28-4P 40684-39-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L9 ANSWER 22 OF 25 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 52:61271 CA
ORIGINAL REFERENCE NO.: 52:11093e-i,11094a-i,11095a-i,11096a-i,11097a-d
TITLE: The alkaloids of Tabernanthe iboga. IV. The structures
of ibogamine, ibogaine, tabernanthine, and voacangine
AUTHOR(S): Bartlett, M. F.; Dickel, D. F.; Taylor, W. I.
CORPORATE SOURCE: C I B A Pharm. Prods., Inc., Summit, NJ
SOURCE: Journal of the American Chemical Society (1958), 80, 126-36
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 52:61271
GI For diagram(s), see printed CA Issue.
AB The structures (X, R, R' = H; R = MeO, R' = H; and R = H, R' = MeO) were elucidated for II, I, and VI, resp., and structure XI for IX. I (0.5 g.) in 7.5 cc. AcOH and 1.5 cc. 49% HBr refluxed 3.5 hrs. under N, diluted with
H2O, basified, filtered, and the amorphous residue treated with HCl gave noribogaine-HCl (XII.HCl), m. 310° (decomposition), [α]D -36.5° (H2O). Powdered I (5 g.) added with stirring to 0.37 g. Na in 100 cc. dry liquid NH3, the mixture treated dropwise after 20 min. with 1.03
cc. MeI in 40 cc. Et2O, the NH3 evaporated, the residue triturated with CH2Cl2, the extract evaporated, and the residue dissolved in C6H6 and passed
through 25 g. Al2O3 gave 4.1 g. N-Me derivative (XIII) of I, m. 104-6° (EtOH), [α]D -33° (CHCl3). XIII (500 mg.) demethylated in
the usual manner in AcOH-HBr and the product purified through its oxalate,
m. 200°, and sublimed at 180° and 0.03 mm. yielded glassy alloibogaine (XIV); XIV.HCl, m. 294-6° (decomposition) (EtOH), [α]D -58° (MeOH). I subjected to a KOH fusion and the crude product purified through its oxalate, m. 200°, gave XIV. Iodine (2.48 g.) in 40 cc. tetrahydrofuran added dropwise with stirring to 2 g. I
in 50 cc. tetrahydrofuran and 40 cc. H2O containing 2.7 g. NaHCO3, diluted with
H2O and CH2Cl2, cooled, and the organic layer worked up gave 2.1 g. lactam
(XV) of I, m. 218-20° (EtOH), [α]D -9° (rotations were measured in EtOH at 26° except where indicated otherwise). XV refluxed with 2N HCl or 25% aqueous KOH, or treated with BzH and NaOMe, remained unaffected. I (1 g.) in 10 cc. pyridine added slowly to 1 g. CrO3 in 17 cc. pyridine with cooling, kept 20 hrs. at room temperature, concentrated
in vacuo, filtered, the filtrate extracted with CH2-Cl2, and the extract worked
up gave 0.44 g. XV, m. 221° (MeOH), [α]D -16°. XV (100 mg.) in 20 cc. tetrahydrofuran refluxed 4 hrs. with 150 mg. LiAlH4, diluted with a few drops of H2O, filtered, and evaporated gave 60 mg. I, m.
146-8°, [α]D -48° (EtOH). I (5.0 g.) oxidized with CrO3 in the usual manner, and the crude product chromatographed on 100 g. Al2O3 with CH2Cl2 gave 1.86 g. XV, m. 220-1°, and 0.21 g. oxoibogaine lactam, m. 318-20° (decomposition) (MeOHEt2O), [α]D -49°. Tetrahydrocarbazole (XVI) (5 g.) in 50 cc. pyridine treated 20 hrs. with 5 g. CrO3 in 85 cc. pyridine, filtered, the solid triturated with CH2Cl2, the extract washed with dilute aqueous NaOH, dilute H2SO4, and H2O, the

L9 ANSWER 22 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)
acidic ext. basified with alkali, extd. with CH2Cl2, the ext. evapd., and the dark brown residue (0.63 g.) sublimed at 200°/0.03 mm. gave the 4-oxo deriv. of XVI, m. 225° (MeOH-Et2O) (sublimed at 145°/0.04 mm.). I (1.0 g.) in 10 cc. pyridine and 5 cc. H2O added to 1.0 g. CrO3 in 17 cc. pyridine, kept 20 hrs. at room temp., filtered, the filtrate basified, extd. with CH2Cl2, the ext. worked up, the residue (1.18 g.) chromatographed on 20 g. Al2O3, and the product (600 mg.) in Et2O kept several weeks at 0° gave a small amt. of hydroperoxyindolenine deriv. of I, m. 228-9° (Me2COEt2O). XV (0.5 g.) refluxed 3.5 hrs. under N with 7.5 cc. AcOH and 1.5 cc. 49% HBr, cooled, and basified gave the lactam (XVII) of XII, m. 184-6° with foaming (EtOH). XVII treated with KOH and Me2SO4 in Me2CO gave XV. XV (1
g.) in 50 cc. CHCl3 ozonized about 40 min. at 0°, refluxed 3 hrs. with 15 cc. HCO2H and 3.5 cc. H2O2, dild. with H2O, the aq. layer evapd., and the residue treated with excess CH2N2 and distd. yielded Me oxamate, m. 118°, and 190 mg. di-Me ester, b0.01 130°, [α]D 14°, of XVIII. II oxidized with iodine and NaHCO3 in the usual manner gave the lactam (XIX) of II. II (1.0 g.) oxidized with CrO3 yielded 0.31 g. XIX, m. 329-31° (decompn.) (MeOH), reduced to II. The lactam of IV, m. 312-15° (decompn.) (Et2O-CH2Cl2), was obtained similarly by both methods; it gave on reduction IV. VIII (3.0 g.) in 30 cc. pyridine added slowly to 3.0 g. CrO3 in 50 cc. pyridine, kept 18 hrs. at room temp., evapd., the residue triturated with CH2Cl2, filtered, the filtrate washed with small portions of satd. aq. NaCl, dried, evapd., and the residue (2.29 g.) chromatographed on Al2O3 with 99.9:0.1 CH2Cl2-MeOH yielded 0.89 g. lactam of VIII, m. 171-2° (MeOH-Et2O). V (290 mg.) in 10 cc. pyridine and 290 mg. CrO3 in 3 cc. pyridine kept 22 hrs. at room
temp. yielded 140 mg. (crude) lactam (XX) of V, m. 334-7° (decompn.) (MeOH-Et2O). XV (2.0 g.) in 150 cc. C6H6 and 20 cc. EtOH aerated with heating during 1 day while illuminated with long-wave ultraviolet irradiation gave 0.8 g. hydroperoxyindolenine deriv. (XXI) of XV, m. 334-7° (decompn.) (MeOH-Et2O). The XXI refluxed 2 hrs. with 2.5 g. NaOH in 40 cc. 87% aq. NaOH, concd., acidified, extd. with CH2Cl2, and the ext. worked up gave 0.32 g. XX, m. 343-6° (MeOH). I (4 g.) and 6 g. Se heated 12 min. at 180-300°, then kept 18 min. at 300-17°, cooled, powdered, extd. with C6H6 overnight, the ext. evapd., and the residue extd. selectively gave a trace of alkali-sol. material, 2% AcOH-sol. material, 0.5N H2SO4 sol. product, and neutral material. The neutral fraction (1.5 g.) chromatographed on 25 g. Al2O3 yielded 35 mg. 4-ethyl-5,6,7,12-tetrahydro-9-methoxy-2-methylindolo[3,2-d][1]benzazepine (XXII), m. 208°. XXII and NaNO2 in AcOH gave the N-NO deriv. (XXIII) of XXII, needles, m. 204-5° (EtOH). XXIII treated with CuCl and concd. HCl yielded XXII. XXII treated 2.5 hrs. with
NaOAc and Ac2O at 110° gave the N-Ac deriv. of XXII, needles, m. 246° (EtOH), which was recovered unchanged after treatment with NaNO2 and AcOH. The 2% AcOH-sol. material (9.68 g. from 79.5 g. I) chromatographed on 70 g. Al2O3 yielded 1.13 g. I and 0.91 g. 4-ethyl-8-methoxy- 2,6-dimethyl-11H-indolo[3,2-c]quinoline (XXIV), plates,
m. 100 and 156°, needles, m. 100 and 176°; the dimorphic forms hand-sepd. and sublimed at 140°/0.01 mm. gave XXIV, m. 178°. XXIV (30 mg.) heated 2.5 hrs. at 130° with excess MeI

L9 ANSWER 22 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)
gave XXIV.MeI, m. above 300° (MeOH). The 0.5N H2SO4-sol. material (2.5 g.) chromatographed over 30 g. Al2O3 and the C6H6 eluate (0.586 g.) treated with 400 mg. picric acid gave 210 mg. picrate of C20H24N2O, m. 165-7° (EtOH). II (4.3 g.) and 6 g. Se heated during 35 min. from 180 to 315° and the product dissolved in C6H6 and extd. selectively yielded a trace of NaOH-sol. material, 280 mg. 2% AcOH-sol. product, 110 mg. 0.5N H2SO4-sol. material, and 2.28 g. neutral portion. The neutral material chromatographed on 30 g. Al2O3 yielded 1.04 g. oily material (XXV) and 150 mg. 4-ethyl-5,6,7,12-tetrahydro-2-methylindolobenzazepine, m. 187° (EtOH). The 2% AcOH-sol. material chromatographed on 10 g. Al2O3 yielded 101 mg. 4-ethyl-2,6-dimethyl-11H-indolo[3,2-c]quinoline (XXVI), m. 196-7°; XXVI.HCl, m. above 320° (EtOH-H2O). The crude H2SO4-sol. material distd. at 0.02 mm. and the oily distillate (78.9
mg.) treated with picric acid yielded 50 mg. picrate of C19H22N2, m. 179° (EtOH); the free base (from 20 mg. picrate) showed absorption max. at 224 and 274, plateaus at 268-72, 290-1, and a shoulder at 285 mμ. XXV (800 mg.) kept 24 hrs. in 50 cc. AcOH, 11 cc. concd. H2O2, and 0.2 cc. 1% NH4 molybdate, basified, extd. with CH2Cl2, the ext. evapd., the residual oil (0.54 g.) heated 40 min. on the steam bath with 5 cc. MeOH and 10 cc. 50% HCl, washed with CH2Cl2, basified, extd. with CH2Cl2, and the residue from the ext. sublimed yielded 26 mg. o-H2NC6H4COEt, m. 44-5°. I (99 g.) in 1.5 l. C6H6 slowly aerated 40 hrs. under an ultraviolet lamp, the C6H6 distd., the dark brown residue refluxed 3 hrs. in 1.75 l. 80% EtOH contg. 280 g. NaOH, kept at room temp.
overnight, and the cryst. deposit (33.3 g.) recrystd. from MeOH yielded 31
g. pure VIII, m. above 140°. VIII (2 g.) and 2 g. NH2OH.HCl in 40 cc. abs. EtOH contg. 1.8 g. Na heated 24 hrs. in a sealed tube at 140° under N, poured onto crushed ice, extd. with CH2Cl2, and the ext. worked up yielded 1.44 g. oxime (XXVII) of VIII, m. 293-4° (95% EtOH), [α]D -151° (pyridine). VII (1.21 g.) yielded similarly 0.6 g. oxime (XXVIII), m. 273-6°, [α]D -183° (pyridine). XXVII (5.0 g.) and 5.0 g. p-MeC6H4SO2Cl (XXIX) in 150 cc. pyridine heated 2 hrs. under N, dild. with H2O, refluxed 1 hr., 2 such mixts. combined, evapd. at 17 mm., the residue dild. with H2O, adjusted with aq. NaOH to pH 10, extd. with CH2Cl2, the dried ext. evapd., and the residue chromatographed on Al2O3 yielded a light yellow oil which, dissolved in 10 cc. Ac2O and kept at room temp. overnight, yielded 1.82 g.
2,5-AcNH(MeO)C6H3CN (XXX), m. 179-80° (pure tetrahydrofuran); the Ac2O mother liquors dild. with CH2Cl2, extd. with 5% H2SO4, the ext. washed with CH2Cl2, basified, extd. with CH2Cl2, and the ext. worked up gave 1.82 g. XXXI, b0.3 92°. XXXI (190 mg.) and 0.44 cc. BzH in 25 cc. 95% EtOH and 1.0 cc. 5N NaOH kept 1 hr. at room temp., dild. with H2O,
and the product isolated with Et2O yielded 110 mg. benzylidene deriv. (XXXII) of XXXI, m. 115°, [α]D -208°. XXVIII (360 mg.) refluxed 2 hrs. with 360 mg. XXIX in 10 cc. pyridine yielded 50 mg. o-AcNHC6H4CN, m. 134-5°; the mother liquors yielded 70 mg. XXXI, converted to XXXII, m. 113-14°, [α]D -204°. IV (500 mg.) in 50 cc. EtOAc oxidized in the presence of 300 mg. prereduced PtO2 with 44 cc. O during 4.5 hrs., filtered, evapd., the residue in 20 cc. EtOH hydrogenated 0.5 hr. over 100 mg. PtO2, filtered, treated with 2

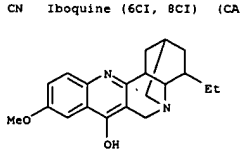
L9 ANSWER 22 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)
cc. 50% aq. NaOH, and refluxed 3 hrs., and the product isolated with CH2Cl2 and chromatographed on Al2O3 gave 50 mg. IV and 280 mg. pseudoindoxyl deriv. (XXXIII) of IV, m. 168-70°. Crude XXXIII (900 mg.) and 900 mg. NH2OH.HCl in 30 cc. pyridine refluxed 40 hrs. under N, evapd. in vacuo, and the residue chromatographed on Al2O3 gave 700 mg. unchanged XXXIII and 100 mg. oxime (XXXIV) of XXXIII, m. 279-81° (MeOH-Et2O), [α]D -149° (pyridine). XXXIV (310 mg.) and 310 mg. XXIX in 10 cc. pyridine refluxed 2 hrs. under N, dild. with 10 cc. H2O, refluxed 1 hr., and worked up gave 20 mg. 2,4-AcNH(MeO)C6H3CN (XXXV),
m. 155-6°, and 70 mg. XXXI, characterized as XXXII (50 mg.), m. 115-16°, [α]D -216°. Further elution of the column (which had yielded XXXIII) with 98:2 CH2Cl2-MeOH gave the oxindole deriv. (with 0.25H2O) (XXXVI) of VIII, m. 191-7° (Me2CO). XXXI (255 mg.) in CH2Cl2 kept overnight with excess ClCN, evapd., and the residue dissolved in C6H6, washed with dil. H2SO4, concd., and dild. with Et2O yielded 3.3 g. XXXVII, m. 96-7° (sublimed), [α]D -76°. XXXVII (380 mg.) and 300 mg. LiAlH4 refluxed 12 hrs. in 10 cc. tetrahydrofuran yielded 280 mg. 8-ethyl-6-methyl-4-hydroxydecahydroquinoline; a 260-mg. portion heated 6 hrs. at 330° in a sealed evacuated tube with 360 mg. Se and the basic material isolated
yielded 63 mg. 8-ethyl-6-methylquinoline (XXXVIII) which with picric acid gave 80 mg. picrate (XXXIX) of XXXVIII, m. 154-5° (EtOH). 2,4-EtMeC6H3NH2 (1.31 g.), 2.4 cc. glycerol, 1.39 g. As2O5, and 1.5 cc. concd. H2SO4 heated 4 hrs. at 140-50°, dild. with H2O, basified, extd. with Et2O, and the crude basic product treated with picric acid gave
XXXIX. I (1.0 g.) and 400 mg. BrCN in 30 cc. dry C6H6 filtered after several hrs. from 600 mg. I.HBr, the filtrate worked up, and the residue crystd. from EtOH yielded 30 mg. N-cyanoapoibogaine (XL), m. 208-9°, [α]D -165° (CHCl3); the mother liquor gave a material which contained 13.32% Br and exhibited an ultraviolet spectrum essentially identical with that of 5-methoxyindole. KMnO4 (840 mg.) in 15
cc. Me2CO added slowly during 4 hrs. at room temp. to 203 mg. XL in 15 cc.
Me2CO, the mixt. filtered, treated with gaseous SO2, filtered, and the solid (100 mg.) crystd. from EtOH contg. a trace of H2O gave XLI.0.5H2O, m. 196°. XLI.0.5H2O and CH2N2 yielded the Me ester (XLII) of XLI, m. 186° (MeOH), [α]D 112° (CHCl3). XLI (50 mg.) and 1 cc. 2N HCl heated 12 hrs. in a sealed tube at 100°, cooled, and the deposit crystd. from 2N HCl or MeOH-EtOAc gave XLIII, m. 201° (decompn.). XLIII refluxed in aq. AcOH contg. maleic acid and Pd gave the
typical spectrum for the tetrahydro analog. Me3COCl in CCl4 (2.33 cc. 0.27M) added slowly to 200 mg. aricine (XLIV) in 10 cc. cold CH2Cl2 contg.
1 drop Et3N, warmed after 2 min. to room temp., washed, dried, evapd., and
the residue treated with a few drops alc. HCl and recrystd. from EtOH-Et2O
yielded dehydroaricine HCl salt, m. 201° (decompn.). XLIV (100 mg.), 30 mg. maleic acid, and 40 mg. Pd black refluxed 2 hrs. in 50% AcOH,
cooled, filtered, concd., treated with a few drops alc. HCl, and recrystd.
from EtOH-EtOAc gave tetrahydroaricine HCl salt, m. 185° (decompn.). CHCl3 (2 cc.) added slowly with stirring to 2 g. II in 100

L9 ANSWER 22 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)
cc. Me3COH contg. 0.55 g. K, the Me3COH distd. after 1 hr., the residue dild. with H2O, and the product isolated with CH2Cl2 gave 1.72 g. material
yielding on recrystn. from EtOH 0.67 g. II; the residue from the mother liquor chromatographed with C6H6 gave 0.64 g. (dichloromethyl)indolenine deriv. of II, m. 140°. CHCl3 (17 cc.) added slowly with stirring to 10 g. XVI and 4.7 g. K in 250 cc. Me3COH and worked up after 2 hrs. in the usual manner gave 3.4 g. 11(dichloromethyl)carbazolenine (XLV), m. 158-9°. XLV (2.04 g.) refluxed 16 hrs. under N in 100 cc. EgOH contg. 20 cc. 5N KOH, the EtOH distd., and the product (1.1 g.) isolated with CH2Cl2 and chromatographed on 23 g. Al2O3 yielded 0.44 g. unchanged XLV and 0.51 g. lactam of o-H2NC6H4C(:CHCl)(CH2)4CO2H (XLVI), m. 194-5° (EtOH-H2O); the alk. concentrate from this run brought to pH 6 and extd. 48 hrs. with Et2O yielded 0.7 g. oily XLVI which, treated with
CH2N2 and distd., gave the Me ester, b0.01 110-20° (bath). The 7-MeO deriv. of XVI (1.5 g.) kept 2.5 hrs. at 40° in 1.5 l. petr. ether and filtered yielded 11-hydroperoxy-7-methoxycarbazolenine (XLVII), m. 104° (decompn.) (EtOAc). XLVII (1 g.) in 15 cc. EtOAc hydrogenated over a Pt catalyst gave 800 mg. 11-HO analog (XLVIII) of XLVII, m. 145° (Me2CO). XLVIII (0.66 g.) in 12 cc. 50% aq. EtOH contg. 0.5 g. KOH refluxed 0.5 hr. and the product isolated with Et2O gave
7-methoxy-2,2-tetramethylenepseudoindoxyl (XLIX), m. 137.5-9° (EtOH-H2O). XLIX refluxed 4 hrs. with excess NH2OH.HCl in excess pyridine
gave the oxime (L) of XLIX, m. 204-5° (EtOH). L (100 mg.) in 3 cc. pyridine refluxed 2 hrs. under N with 100 mg. XXIX, dild. with 3 cc. H2O, refluxed 1 hr., and worked up in the usual manner gave a crude material which, treated 48 hrs. at room temp. with 1 cc. Ac2O and 2 cc. pyridine, yielded XXXV, m. 155-6° (tetrahydrofuran). p-MeOC6H4NHOCCH:NOH (3 g.) added with stirring to 90% H2SO4 at 50-70°, heated 10 min. at 80°, cooled, poured onto 250 cc. crushed ice, and filtered gave 1.9 g. 5-methoxyisatin (LI), m. 201-3° (H2O). LI refluxed in pyridine with excess NH2OH.HCl gave the oxime (LII) of LI, m. 236°. LII (9 g.) and 10 g. PCl5 mixed under 100 cc. Et2O, the Et2O removed, and the residue heated to 90-100° in vacuo gave 3.5 g. sublimed 2,5-H2N(MeO)C6H3CNO (LIII), m. 98°. The LIII dissolved in excess dil. alkali and acidified yielded 2.1 g. 2,5-H2N(MeO)C6H3CN, m. 40°, which with Ac2O gave XXX, m. 179-80°. V, m. 284-8° (decompn.), was isolated from autoxidized I by the method of Goutarel (Dissertation, Paris, 1954). The compds. p-MeOC6H4NH2 and AcCHEtCO2Et yielded by the method of Stephen, et al. (C.A. 42, 1591i), 3-ethyl-6-methoxy-2-methyl-4-quinolinol, m. 293-5° (decompn.).

IT **482-04-2**, Iboquine
(preparation of)

RN 482-04-2 CA

CN Iboquine (6CI, 8CI) (CA INDEX NAME)



L9 ANSWER 22 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)
IT **482-04-2**, Iboquine **1140-40-5**, 4-Quinolinol, 3-ethyl-6-methoxy-2-methyl- **111528-19-9**, Iboquine lactam (preparation of)

L9 ANSWER 23 OF 25 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 43:6477 CA
ORIGINAL REFERENCE NO.: 43:1415c-i,1416a-i,1417a-e
TITLE: A new type of compounds active against avian malaria
AUTHOR(S): Salzer, Walter; Timmler, Helmut; Andersag, Hans
SOURCE: Chemische Berichte (1948), 81, 12-19
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB The chemotherapy of malaria has hitherto been based exclusively on compds. of pronouncedly basic character. The synthetic compds. furthermore have the common property that an amino group on the nucleus of a heterocycle is basically substituted. Under certain conditions some of these compds. (e.g. atebrin) can be cleaved into an aliphatic diamine and an acridone, which can be represented as the desmotropic 9-hydroxyacridine. These cleavage products of the atebrin series had hitherto always been found to be inactive, and the observation, in the course of investigations of quinoline compds., that certain 4-hydroxyquinoline compds. are therapeutically active in canaries infected with Plasmodium praecox was therefore very surprising. The 1st of these compds. was 3-allyl-4-hydroxy-2-methylquinoline (I), and in 1939-42, more than 100, most of them closely related, were studied, using the Roehl test as guide. A further advance was the observation that a 7-MeO substituent markedly improves the therapeutic index; other substituents at C-7 or MeO at other positions on the benzene ring, however, have an unfavorable influence and, in part, lead to completely inactive compds. The activity is already distinctly lower in the 7-EtO compound and has disappeared in the BuO and C6H13O compds.; on the other hand, the 7-MeS compound is again active. Changing the 4-HO group (except replacement by MeS) is accompanied by disappearance of activity; both etherification and introduction of basic or acid groups, CO_2H, SO_3H, halogen, etc., give inactive compds. It had been hoped that the more strongly acid HS group might result in easier resorption of the compds., but the index of the 4-HS compds. was in general poorer than that of the 4-HO compds. Variation of the 3-substituent was more successful. The 3-Me and 3-Et compds. were inactive but the index improved progressively from the Pr to the heptyl derivative, and then (octyl to dodecyl) became poorer. Unsatd. are as effective as saturated alkyl groups but branching greatly decreases and generally completely destroys the activity. The aliphatic chain can, however, be interrupted by an O or S atom without decrease in activity. Thus, a butoxyethyl or ethoxybutyl compound has an activity of the same order of magnitude as a hexyl compound, although the index is poorer because of the greater toxicity. Attempts to impart water solubility by introduction of CO_2H into a 3-alkyl substituent resulted in complete inactivation; the esters and hydrazides of such CO_2H compds. were also almost inactive. Of the 2-substituted derivs., the 2-Me compound is the most potent. The most promising of the compds. prepared was 3-heptyl-4-hydroxy-7-methoxy-2-methylquinoline (endochin) (II), although its activity and that of its

L9 ANSWER 23 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)
O-acyl derivs. seem to be limited to the curing of avian malaria and to have no practical clin. application. Below are the values of x in the chemotherapeutic index (1:x) by the Roehl test (i = inactive) for the compds. of type IIa. R2 = Me, R3 = C4H9, R4 = OH: R1 = H 2, MeO 16, EtO 2, C4H9O i, C6H13 i, HO i, $Et_2NCH_2CH_2O$ i, MeS (R3 = C7H15) 8. R2 = Me, R3 = C7H15, R1 = MeO: R4 = OH 120, SH 16, Cl i, SO_3H i, $NHCHMeCH_2CH_2NEt_2$ i, $SCH_2CH_2NEt_2$ trace of activity. R2 = Me, R4 = OH, R1 = MeO: R3 = Pr (and allyl) 8, Bu (and crotyl) 16, Am 30, hexyl 120, heptyl 120, octyl 30, decyl 2, dodecyl 2. The compds. were in general prepd. by condensation of β-keto esters with arom. amines and ring closure to the 4-hydroxyquinolines by the Konrad-Limpach method (C.A. 25, 3999). Substituents could also be introduced into the 3-position by allyl rearrangement of the ethers of the 4-hydroxyquinolines. The 7-substituted compds. were prepd. from m-substituted anilines by the K.-L. synthesis, which yielded mixts. of the 5- and 7-compds., with the latter predominating; in proving the structure of some of the 7-compds. by degrdn. with $KMnO_4$ to 4,2-R(AcNH)$C_6H_3COCO_2H$, a new method of prepg. substituted isatins, $RC_6H_3.CO.CO.NH$, by ring closure with H_2SO_4 was discovered. 4-Allyloxy-2-methylquinoline, from the 4-HO compd., CH_2:$CHCH_2Br$, and K_2CO_3 refluxed 12 h. in acetone, b0.5 153°, rearranged by heating 1 h. at 215° in 1-C10H7Me in a current of N to I, m. 271° (from acetone). 4-Hydroxy-7-methoxy-2-methylquinoline (III), needles from acetone, m. 249°, was prepd. by refluxing m-anisidine and $AcOCH_2CO_2Et$ 1 h. in benzene and a drop of concd. HCl, removing the water as formed, cautiously evapg. the benzene, dissolving the residue in 50 cc. 1-C10H7Cl, adding it dropwise to 200 cc. 1-C10H7Cl at 250° in such a way that the alc. formed could evap. off, heating 5 min. longer at 250°, cooling, filtering, and washing with ether; the yield of crude product, including that obtained by concg. the mother liquors and which was only partially sol. in alkali, was 47%. The III was isolated as the HCl salt, needles from aq. alc., m. 275° (decompn.), by dissolving 42 g. crude III in 250 cc. hot N HCl, adding 100 cc. 5 N HCl, and letting cool. The HCl filtrate from the III.HCl gave with K_2CO_3 a base, 39 g. of which, after washing with water and drying, was dissolved in 120 cc. hot water and 40 cc. 10 N KOH and slowly treated with 80 cc. more of 10 N KOH; the K salt which sepd. on cooling was filtered, washed with 5 N KOH, dissolved in hot water (in which it partly hydrolyzed), treated with dil. HCl to complete soln. and acid reaction, and finally with K_2CO_3 soln., giving the 5-MeO isomer (IV) of III, m. 292° (from acetone). The crude III obtained from the concd. C10H7Cl mother liquor, repeatedly extd. with 10% NaOH and the insol. portion washed with water and dried, yielded the 4-(m-methoxyanilino) analog (V) of III, m. 208° (from acetone). III refluxed 1.5 h. with $POCl_3$ gave the 4-Cl compd., b5 150°, needles from ligroin, m. 90°, which with m-anisidine at 180° gave V. III and $MgSO_4$ in water at 70° treated dropwise with dil. $KMnO_4$ soln. yielded (2-acetamido-4-methoxyphenyl)glyoxylic acid, m. 182° (decompn.) (from AcOEt-C_6H_6), which, heated in small portions about 10 min. on a water bath with dil. H_2SO_4, gave 6-methoxyisatin, orange cryst. powder from glacial AcOH, m. 230°, degraded by warming in dil. NaOH with H_2O_2 to 2,4-H_2N(MeO)$C_6H_3CO_2H$, m. 176°. 4-Allyl ether of III, from III, CH_2:$CHCH_2Br$, and K_2CO_3 refluxed 15 h. in acetone, b0.5 146° and immediately solidifies; heated in 1-C10H7Me, it rearranges to the 3-allyl deriv. of III, m. 249° (from acetone). 4-Allyl ether of IV, similarly obtained in small yield, rearranges to the 3-allyl deriv. of IV, m. 263° (from acetone). 3-Crotyl deriv. of

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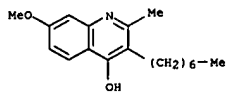
III, m. 233°. 4-(Allyloxy)-2,6-dimethylpyridine, from the 4-HO compd. with CH_2:$CHCH_2Br$-b5-6 98°, rearranges to 3-allyl-4-hydroxy-2,6-dimethylpyridine (VI), m. 158-9° (from acetone); 3-crotyl analog, m. 152° (from acetone), prepd. by rearrangement of 4-(crotyloxy)-2,6-dimethylpyridine, b1.5 94°; 4-(2-diethylaminoethyl) ether of VI, b0.5-0.6 132-4°. 4-Hydroxy-2-methyl-3-propylquinoline, from $AcCHPrCO_2Et$ and $PhNH_2$, m. 253° (from EtOH). The following compds. (m.ps. given) were prepd. by similar methods. 3-Alkyl-4-hydroxy-7-methoxy-2-methylquinolines: Pr (from m-anisidine and $AcCHPrCO_2Et$) 265° (identical with the product obtained from the 3-allyl compd. in NaOH with H and Pt oxide), Bu 242° (from MeOH), iso-Bu 251°, iso-Am 219°, hexyl 215°, heptyl (II) 218°, octyl 207°, benzyl 270°, (3-carbethoxypropyl) 179°, (4-phenoxybutyl) 200°, (2-butoxyethyl) 192°, (3-ethoxybutyl) 204°. 3-Butyl-4-hydroxyquinolines: 6-methoxy-2-Me 247°, 2-Et 185°, 2,7-di-Me 230°, 2,8-di-Me 215°, 7-methoxy-2,6-dimethyl 200°, 7-chloro-2-Me 163°. 7-Ethoxy-4-hydroxy-2-methylquinoline 250°. 3-Heptyl-4-hydroxy-2-methyl-7-methylmercaptoquinoline 178°. 1,2,3,4-Tetrahydro-9-hydroxy-6-methoxyacridine (from m-anisidine and 2-oxocyclohexanecarboxylic ester) 265° (given in the original as the 7-MeO compd.). 3-Heptyl-4,7-dihydroxy-2-methylquinoline, from the 7-Me ether refluxed 4 h. with HBr (d. 1.5), m. 200° (from EtOH); 3-Bu analog, m. 265°, gives with BuBr and K_2CO_3 in acetone 7-butoxy-3-butyl-4-hydroxy-2-methylquinoline, m. 263°. 3-Butyl-2-methyl-4-quinolinecarboxylic acid, from isatin, NaOH, and $MeCOAm$ in aq. alc., m. 136°. 4-Chloro-3-heptyl-7-methoxy-2-methylquinoline, from the 4-HO compd. and $POCl_3$, b8 220°; 4-AcO compd., from the 4-HO compd. and AcCl, m. 94°; 4-(β-carbomethoxypropionyloxy) compd., from the HO compd. heated a short time with $ClCOCH_2CH_2CO_2Me$, m. 75°. 3-Heptyl-7-methoxy-2-methyl-4-quinolinesulfonic acid, from the 4-chloroquinoline boiled 6 h. in aq. MeOH with $NaHSO_3$, m. 280°. 3-Heptyl-4-mercapto-7-methoxy-2-methylquinoline, from the 4-HO compd. heated 12 h. at 100° with P_2S_5 in dioxane, m. 203° (from MeOH); 4-(2-diethylaminoethylmercapto) compd., from the 4-HS compd., $Et_2NCH_2CH_2Cl$, and K_2CO_3 refluxed 12 h. in acetone, oil.

IT **4939-34-8**, 4-Quinolinol, 3-heptyl-7-methoxy-2-methyl- (antimalarial action of)

RN 4939-34-8 CA
CN 4-Quinolinol, 3-heptyl-7-methoxy-2-methyl- (9CI) (CA INDEX NAME)



IT **4939-34-8**, 4-Quinolinol, 3-heptyl-7-methoxy-2-methyl-
857758-85-1, 4-Quinolinol, 3-decyl-7-methoxy-2-methyl-
860230-48-4, 4-Quinolinol, 3-butyl-7-ethoxy-2-methyl-
860234-30-6, 4-Quinolinol, 3-dodecyl-7-methoxy-2-methyl-
860714-68-7, 4-Quinolinol, 7-methoxy-2-methyl-3-pentyl-
860714-95-0, 4-Quinolinol, 3-butyl-7-(hexyloxy)-2-methyl- (antimalarial action of)
IT **3348-34-3**, 4-Quinolinol, 3-butyl-6-methoxy-2-methyl-
330835-56-8, 4-Quinolinol, 3-butyl-2,8-dimethyl-

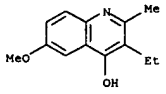
L9 ANSWER 23 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)
857574-54-0, 9-Acridinol, 1,2,3,4-tetrahydro-6-methoxy-
857762-30-2, 4-Quinolinol, 3-(2-butoxyethyl)-7-methoxy-2-methyl-
860205-58-9, 3-Quinolinebutyric acid, 4-hydroxy-7-methoxy-2-methyl-, ethyl ester **860230-56-4**, 4-Quinolinol, 3-butyl-2,7-dimethyl-
860231-21-6, 4-Quinolinol, 3-benzyl-7-methoxy-2-methyl-
860232-26-4, 4-Quinolinol, 3-allyl-5-methoxy-2-methyl-
860233-43-8, 4-Quinolinol, 7-methoxy-2-methyl-3-(4-phenoxybutyl)-
860233-51-8, 4-Quinolinol, 3-isopentyl-7-methoxy-2-methyl-
860233-59-6, 4-Quinolinol, 3-isobutyl-7-methoxy-2-methyl-
860234-22-6, 4-Quinolinol, 3-(4-ethoxybutyl)-7-methoxy-2-methyl-
860426-06-8, Succinic acid, methyl (mono-) ester, ester with 3-heptyl-7-methoxy-2-methyl-4-quinolinol **860714-93-8**, 4-Quinolinol, 3-butyl-7-chloro-2-methyl- **860714-97-2**, 4-Quinolinol, 3-butyl-7-methoxy-2,6-dimethyl-
(prepn. of)

L9 ANSWER 24 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)
following showed no prophylactic action: 2,4-dihydroxyquinoline, 4-hydroxyquinaldine, its 6- and 8-MeO derivs., 2-hydroxy-6-methoxylepidine, 1,2,3,4-tetrahydroacridone, and 2-methoxyacridone. The effect of structure on the activity of the I is discussed.

IT **1140-40-5**, 4-Quinolinol, 3-ethyl-6-methoxy-2-methyl-
(preparation of)

RN 1140-40-5 CA

CN 4-Quinolinol, 3-ethyl-6-methoxy-2-methyl- (6CI, 7CI, 8CI) (CA INDEX NAME)



IT **1140-40-5**, 4-Quinolinol, 3-ethyl-6-methoxy-2-methyl-
4939-34-8, 4-Quinolinol, 3-heptyl-7-methoxy-2-methyl-
854820-73-8, 1H-Cyclopenta[b]quinolin-9-ol, 7-ethoxy-2,3-dihydro-
858421-79-1, 1H-Cyclopenta[b]quinolin-9-ol, 2,3-dihydro-7-methoxy-
859320-23-3, 1H-Cyclopenta[b]quinolin-9-ol, 7-chloro-2,3-dihydro-
(preparation of)

L9 ANSWER 24 OF 25 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 42:7196 CA
ORIGINAL REFERENCE NO.: 42:1591h-i,1592a-f
TITLE: Tetrahydroacridones and related compounds as antimalarials
AUTHOR(S): Stephen, J. M. L.; Tonkin, I. M.; Walker, James
CORPORATE SOURCE: Natl. Inst. Med. Research, London, UK
SOURCE: Journal of the Chemical Society, Abstracts (1947) 1034-9
CODEN: JCSAAZ; ISSN: 0590-9791
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB In the preparation of 1,2,3,4-tetrahydroacridones (I), 0.1 g.-mol. of the appropriate aromatic primary amine and β-keto ester were mixed (with warming, if necessary) and, after addition of 1 drop of concentrated HCl, kept in a partially evacuated desiccator several days at 37°; the crude esters were cyclized by adding them slowly to a weight of boiling Ph2 4 times that of the combined starting materials; the products usually crystallized at about 100° and the Ph2 was removed with ether. The min. effective dose (mg./100 g. chick) is given in brackets. Derivs. of I (C.A. numbering): 7-MeO, m. 313°, 86% [12.5]; 6-MeO, m. 309° [25], results in 5% yield on heating 4,2-MeO(H2NC6H3CO2H and cyclohexanone 1 hr. at 220-30° and 10.7 g. from m-MeOC6H4NH2 and Et cyclohexanone-2-carboxylate, with crystallization of the mixture from AcOH-concentrated HCl; the AcOH-HCl mother liquors yield 1.4 g. of the 8-MeO derivative, m. 326°; 5-MeO, m. 286-8°, 60% [37.5]; 7-EtO, m. 292-3°, 87% [inactive]; 7-Cl, m. above 330°, 74% [100]; 7-Me, m. above 330°, 40% [inactive]; 6,7-di-MeO, m. above 330°, 65% [inactive]; 7-methoxy-2-methyl, m. 346-7°, 40% [inactive]; 6-methoxy-2-methyl, m. 324°, 56% [50]; 5-methoxy-2-methyl, m. 270-3°, 62% [50]; 7-methoxy-4-methyl, m. 280-1°, 41% [62.5]; 6-methoxy-4-methyl, m. 277-8°, 23% [about 25]; 5-methoxy-4-methyl, m. 245-6°, 24% [50]; 7-methoxy-2-ethyl, m. 334°, 72% [inactive]; 6-methoxy-2-ethyl, m. 291°, 64% [100]; 5-methoxy-2-ethyl, m. 218-19°, 64% [inactive]; (Et 2-o-methoxyanilino-5-ethyl-1-hexene-1-carboxylate, m. 60°); 7-methoxy-4-ethyl, m. 252-3°, 40% [100]. p-MeOC6H4NH2 and Et cyclopentanone-2-carboxylate give Et 2-p-methoxyanilino-1-cyclopentene-1-carboxylate (II), m. 54-5°; 9-hydroxy-7-methoxy-2,3-dihydro-β-quinindene (III (C.A. numbering m. above 330°, 59% [37.5]; 7-Cl analog m. about 330°, 60% [31]; p-EtOC6H4NH2 gives the p-ethoxyanilino analog of II, m. 53°; the 7-EtO analog of III m. about 300°, 59% [inactive]. p-MeOC6H4NH2 and AcCHEtCO2Et give 46% 4-hydroxy-6-methoxy-3-ethylquinaldine, m. 290° [inactive]; 3-heptyl analog m. 236-7°, 77% [inactive]. m-H2NC6H4OMe and AcCH(C7H15)CO2Et (7 days at 37°) and the product crystallized from MeOH give 4-hydroxy-7-methoxy-3-heptylquinaldine (endochin), m. 213-14°, and 2.95 g. of the 5-MeO isomer, m. 219-20°; o-MeOC6H4NH2 gives 60% of the 8-MeO derivative, m. 155-6° [about 100]. Methods are given for the preparation of 2,4-O2N(MeO)C6H3CN, 2,4-O2N(MeO)C6H3CO2H, 4,2-MeO(H2N)C6H3CO2H, AcC(:C7H14)CO2H, and AcCH(C7H15)CO2Et. The

L9 ANSWER 25 OF 25 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 41:37334 CA
ORIGINAL REFERENCE NO.: 41:7388d-i,7389a-i
TITLE: Some derivatives of oxanilide
AUTHOR(S): Price, Charles C.; Velzen, Bernard H.
CORPORATE SOURCE: Univ. of Illinois, Urbana
SOURCE: Journal of Organic Chemistry (1947), 12, 386-92
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 41:37334

GI For diagram(s), see printed CA Issue.

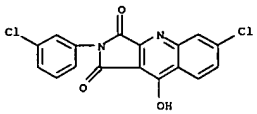
AB Because 7-chloro-4-(4-diethylamino-1-methylbutylamino)quinoline possesses a high antimalarial activity, the synthesis of a biquinoline analog such as I is attempted with oxanilide as intermediate. A mixture of 31.9 g. m-ClC6H4NH2 (II) and 19 g. (CO2Et)2 (III) is heated to 120° and 1 g. Na added in small portions with stirring. After 15 min. the mixture is cooled and 83% m,m'-dichlorooxanilide (IV), m. 201-2°, is isolated. When 63.8 g. II and 365 g. III are refluxed 2 h., 91 g. Et m-chlorooxanilate, needles, m. 113-14°, is obtained. Refluxing a mixture of 10 g. IV in 15 cc. C6H6 2 h. with 20 g. PCl5 gives 91% imido chloride (V), yellow needles, m. 116-16.5°. To 3.1 g. powdered Na in 450 cc. PhMe, 49.5 g. CH2(CO2Et)2 (VI) is slowly added at 90°, the mixture refluxed 0.5 h., and to the CHNa(CO2Et)2 thus formed 24 g. V in 200 cc. PhMe is slowly added at 60-70°. The mixture is heated 4 h. at 85-90° and 1 h. at 100-5°, poured into H2O, filtered, and the PhMe layer separated Concentration of the latter and distillation of the excess VI at 2 mm. leave 21 g. of a mixture (VII), m. 120-65°. Extraction of VII with boiling EtOH leaves a compound, C19H14Cl2N2O4 (VIII), m. 191-2°. From the EtOH filtrate, 7 g. of a compound, C28H30Cl2N2O8 (IX), m. 136-7°, is isolated. Cyclization by refluxing 5 g. IX in Ph2O 1 h. gives a compound, C22H12Cl2N2O5 (X), crystals from AcOH, m. 295-6°. When 2 g. IX is refluxed 1 h. with 25 cc. POCl3, 1 g. VIII, yellow needles, m. 191-2°, is formed. Treatment of 2 g. IX with 15 cc. concentrated H2SO4 at room temperature gives 4,2-Cl(H2N)C6H3SO3H, fine needles, m. 310-30°, which when treated with Br in H2O gives 2,4,6-tribromo-3-chloroaniline, m. 124-5°. Gentle boiling of 5 g. VIII 1 h. with 50 cc. H2O containing 1.99 g. NaOH, extracting the cooled reaction mixture with ether, and boiling the residue of the dried ether extract with 2 cc. Ac2O give m-ClC6H4NHAc, m. 71-2°. Acidification of the alkaline solution with HCl gives m-ClC6H4CONHC(OH):CHCO2H.0.5H2O, m. 120-2°. Cyclization of 2 g. VIII by refluxing it 10 min. with 20 cc. Ph2O gives 1.5 g. of a compound, C17H8Cl2N2O3 (XI), yellow crystals, m. above 350°. Hydrolysis of X with 10% NaOH gives m-ClC6H4NH2 on extraction with ether while from the alkaline solution a compound, C12H8O4NCl (XII) [given as C12H8ONCl by mistake in original], rosettes, m. 306-9°, is isolated. When a mixture of 64 g. VI and 25.5 g. II is heated at 165-75° until 9 g. EtOH is distilled off, 10 g. m,m'-dichloromalonanilide (XIII), plates from EtOH, m. 165-6°, is obtained. From the mother liquor, 66.7% EtO2CCH2CONHC6H4Cl-m (XIV), plates, m. 75-6°, is isolated. Attempts to cyclize 5 g. XIV by heating it 25 min. at 250° give 3.7 g. XIII. III (0.1 mol.), 0.2 mol. p-O2NC6H4NH2 (XV), and 0.5 g. Na are heated with stirring, giving 76%

L9 ANSWER 25 OF 25 CA COPYRIGHT 2005 ACS on STN (Continued)
p,p'-dinitrooxanilide (XVI), m. 359° (cor.). When a mixt. of 12.3 g. XVI and 15.4 g. PCl5 is heated 0.5 h. at 180-200° and 150 cc. dry C6H6 is added to the cooled reaction mixt., 9 g. cryst. material is obtained and extd. with boiling dioxane, leaving 2 g. unchanged XVI. From the filtrate, 6.2 g. imido chloride (XVII) of XVI, m. 239-41°, is isolated. In a 2nd expt., 68% XVII is obtained. In an attempt to prep. p,p'-dinitrodithiooxanilide (XVIII) by heating XVI with P2S5 according to Reissert (Ber. 37, 3708(1904)), a compd., probably p,p'-diaminodithiooxanilide, sintering at 180° and m. 204°, is obtained. When to 2 g. KOH in 25 cc. hot abs. EtOH satd. with H2S, 2 g. XVII is added, the mixt. refluxed 40 min. and allowed to stand overnight after addn. of 100 cc. 5% NaOH, a red ppt., m. 190-200°, seps. upon satn. with CO2 but cannot be recrystd. An attempt to prep. XVIII by stirring a mixt. of 6.07 g. XV in 150 cc. abs. EtOH, 4.88 g. CS2, and 3 g. SnO 24 h. at room temp. failed. Refluxing 29.2 g. III and 27.6 g. XV 5 h. gives 24% Et p-nitrooxanilate (XIX), m. 170-1°. When 10 g. XIX in 5.7 g. p-H2NC6H4NEt2 is heated 0.5 h. at 180°, 67% p-dimethylamino-p'-nitrooxanilide (XX), red needles from PhNH2, m. 309-9.5°, is obtained. When a mixt. of 11.7 g. PCl5 and 9 g. XX is heated 0.5 h. at 140°, a compd., m. 170-200°, is obtained which cannot be recrystd. A similar compd., m. 160-90°, is obtained when 5.8 g. PCl5 and 4.5 g. XX are refluxed 2 h. in 100 cc. C6H6. An attempt to prep. p-dimethylamino-p'-nitrodithiooxanilide by refluxing 10 g. XX with 5 g. P2S5 in 200 cc. xylene failed.

IT **860189-51-1**, 2H-Pyrrolo[3,4-b]quinoline-1,3-dione, 6-chloro-2-(m-chlorophenyl)-9-hydroxy-
(preparation of)

RN 860189-51-1 CA

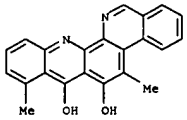
CN 2H-Pyrrolo[3,4-b]quinoline-1,3-dione, 6-chloro-2-(m-chlorophenyl)-9-hydroxy- (5CI) (CA INDEX NAME)



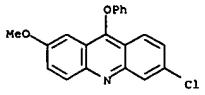
IT **860189-51-1**, 2H-Pyrrolo[3,4-b]quinoline-1,3-dione, 6-chloro-2-(m-chlorophenyl)-9-hydroxy- **860206-08-2**, 3-Quinolinecarboxylic acid, 2-acetyl-7-chloro-4-hydroxy-
(preparation of)

=> d l13 ibib abs fhitstr 1-50

L13 ANSWER 1 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 126:220001 CA
TITLE: Complexation of iron(III) and manganese(II) with some ligands and the analysis of their salts and alloys
AUTHOR(S): Ali, Abd-Elhafeez E.
CORPORATE SOURCE: Chemistry Department, Faculty of Science at Sohag, Egypt
SOURCE: Aswan Science & Technology Bulletin (1996), 17, 117-134
CODEN: ASTBEQ; ISSN: 1110-0184
PUBLISHER: Faculty of Science
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Some intensely colored Mn(II)-L1-tetraiodofluorescein and Fe(III)-L1-2',7'-dichlorofluorescein ternary complexes were studied, where L1 is o-phenanthroline or bathophenanthroline. The molar ratios for these complexes are Mn(II):L1:L2 = 1:2:1 and Fe(III):L1:L2 = 1:2:2, where L2 is fluorescein derivative The formula [Mn(L1)2]2+ [I4FlCOO-] and {Fe(L1)2}3+{Cl2FlCOO-} are proposed. The effect of substituent groups of the L1 and L2 ligands on the complexing activity with Mn(II) and Fe(III) was studied. The selectivity of the proposed methods for the anal. of salts and alloys of the applied metals was also examined The interferences of the iron(III) and copper(II) during the anal. of their corresponding alloys were eliminated. High sensitive and accurate methods for the spectrophotometric anal. of salts and alloys of the iron and the manganese were developed.
IT 183429-05-2, 6,7-Dihydroxy-5,8-dimethyldibenzo[b,i][1,10]phenanthroline
RL: RCT (Reactant); RACT (Reactant or reagent)
(complexation of iron(III) and manganese(II) with phenanthroline derivs. and fluorescein derivs.)
RN 183429-05-2 CA
CN Dibenzo[b,i][1,10]phenanthroline-6,7-diol, 5,8-dimethyl- (9CI) (CA INDEX NAME)



L13 ANSWER 2 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 126:171885 CA
TITLE: Synthesis of a 9-acridinyl nonapeptide containing the DNA recognizing region of 434 phage repressor protein
AUTHOR(S): Takenaka, Shigeori; Iwamasa, Kenji; Takagi, Makoto; Nishino, Norikazu; Mihara, Hisakazu; Fujimoto, Tutomu
CORPORATE SOURCE: Dep. Biochem. Eng. Sci., Kyushu Inst. Technol., Iizuka, 820, Japan
SOURCE: Journal of Heterocyclic Chemistry (1996), 33(6), 2043-2046
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A peptide-intercalator conjugate was synthesized by connecting 6-chloro-2-methoxyacridine (Acr) with a Gln-Gln-Ser-Ile-Glu-Gln-Leu-Glu-Asn (9mer) sequence representing the DNA recognizing region of 434 phage repressor protein. This conjugate, H-9mer-NH(CH2)3NH-9-Acr, binds to DNA in spite of its anionic character with the aid of the intercalator.
IT 7478-26-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of acridinyl nonapeptide containing DNA recognizing region of 434 phage repressor protein)
RN 7478-26-4 CA
CN Acridine, 3-chloro-7-methoxy-9-phenoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

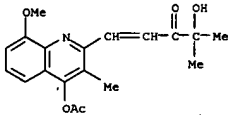
L13 ANSWER 3 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 126:59875 CA
TITLE: Preparation of beta-heterocyclyl-alpha, beta-unsaturated ketone derivatives as inhibitors of interleukin 1 production
INVENTOR(S): Tanaka, Masayuki; Okita, Makoto; Miyamoto, Mitsuaki; Kaneko, Toshihiko; Kawahara, Tetsuya; Akamatsu, Keishi; Chiba, Kenichi; Obaishi, Hiroshi; Sakurai, Hideki; Abe, Shinya; Kobayashi, Seiichi; Yamanaka, Takashi
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 254 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9636608 <--	A1	19961121	WO 1996-JP1330	19960520
JP 08311032 <--	A2	19961126	JP 1995-142394	19950518
PRIORITY APPLN. INFO.:			JP 1995-142394	A 19950518

W: CA, US
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

OTHER SOURCE(S): MARPAT 126:59875
GI For diagram(s), see printed CA Issue.
AB α,β-Unsatd. ketone derivs. represented by general formula RCH:CHCOR1 [R = Q, Q1; wherein Z = NH, O, S; ring B = an optionally substituted aromatic ring; R2 = H, halo, optionally halogenated lower alkyl, etc.; R3 = H, optionally halogenated lower alkyl, cycloalkyl optionally having heteroatom(s), alkoxyalkyl, optionally substituted aryl, optionally substituted heteroaryl, etc.; R1 = CR4R5R6; wherein R4, R5 = H, optionally halogenated lower alkyl, etc.; R6 = H, optionally halogenated lower alkyl, cycloalkyl optionally having heteroatom(s), optionally substituted aryl, optionally substituted heteroaryl, etc.] or pharmacol. acceptable salts thereof, which are useful for the prevention and treatment of interleukin 1 production-related diseases, e.g. inflammation, are prepared Thus, 1.68 g 7-ethyl-4-methoxymethoxy-3,5,8-trimethoxy-2-quinolinecarboxaldehyde and 1.0 g 3-hydroxy-3-methyl-2-butanone were dissolved in MeOH, treated with 0.21 g LiOH.H2O and heated at 50-60° for 1 h to give, after treatment of the product with 1 N aqueous HCl in EtOAc, the title quinolinylbutenone derivative (I; R7 = R10 = OMe, R8 = H, R9 = Et, R11 = CMe2OH). The latter compound and I (R7 = R9 = R10 = H, R8 = Cl, R2 = R11 = Me) showed IC50 of 1.08 and <0.1 nM, resp., for inhibiting the production of interleukin 1α in human peripheral monocyte and 0.92 and <0.1 nM, resp., for inhibiting the production of interleukin 1β in human peripheral monocyte.
IT 185206-50-2P

L13 ANSWER 3 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of β-heterocyclyl-α, β-unsatd. ketone derivs. as inhibitors of interleukin 1 prodn.)
RN 185206-50-2 CA
CN 1-Penten-3-one, 1-[4-(acetyloxy)-8-methoxy-3-methyl-2-quinolinyl]-4-hydroxy-4-methyl- (9CI) (CA INDEX NAME)

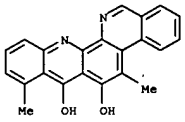


L13 ANSWER 4 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 125:346396 CA
TITLE: Iron(III) and manganese(II) complexes of orthophenanthroline and fluorescein derivatives and their use in the analysis of their salts and alloys
AUTHOR(S): Ali, A. E.
CORPORATE SOURCE: Chemistry Department, Faculty Science, Sohag, Egypt
SOURCE: Egyptian Journal of Chemistry (1996), 39(5), 491-499
CODEN: EGJCA3; ISSN: 0367-0422
PUBLISHER: National Information and Documentation Centre
DOCUMENT TYPE: Journal
LANGUAGE: English

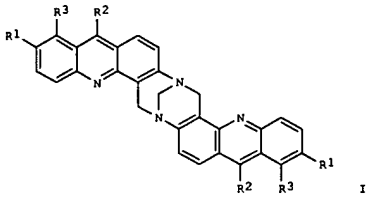
AB The reactions between Fe(III) and Mn(II) with o-phenanthroline (phen), bathophenanthroline (bathophen), neocuproine (I), bathocuproine (II), or 6,7-dihydroxy-5,8-dimethyldibenzo[b,i]phenanthroline (III) as primary ligands (L1) and fluorescein (FlCOOH), 2',7'-dichlorofluorescein (Cl2FlCOOH) or tetraiodofluorescein (I4FlCOOH) as secondary ligand (L2) were studied. No color Fe(III)L1L2 ternary complexes formed in case of o-phenanthroline derivs. I, II, and III. No reaction took place between Fe3+, the primary ligands and fluorescein, while 2',7'-dichlorofluorescein formed an intensely color ternary complex with Fe3+ and o-phenanthroline or bathophenanthroline (L1). Mn(II) reacts with phen or bathophen and I4FlCOOH to form orange color ternary complexes in water-ethanol medium. Some spectral properties of Fe and Mn complexes are shown. Determination of Fe and Mn in alloys by using the systems is demonstrated.

IT **183429-05-2**
RL: ARG (Analytical reagent use); RCT (Reactant); ANST (Analytical study); RACT (Reactant or reagent); USES (Uses)
(determination of iron(III) and manganese(II) by extraction-spectrophotometry using phenanthroline and fluorescein derivs.)

RN 183429-05-2 CA
CN Dibenzo[b,i][1,10]phenanthroline-6,7-diol, 5,8-dimethyl- (9CI) (CA INDEX NAME)



L13 ANSWER 5 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 125:328682 CA
TITLE: Synthesis of polyfunctionalized Troeger's base analogs derived from ethacridine (6,9-diamino-2-ethoxyacridine)
AUTHOR(S): Tatibouet, A.; Demeunynck, M.; Lhomme, J.
CORPORATE SOURCE: LEDSS, Univ. J. Fourier, Grenoble, 38041, Fr.
SOURCE: Synthetic Communications (1996), 26(23), 4375-4395
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Dekker
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:328682
GI



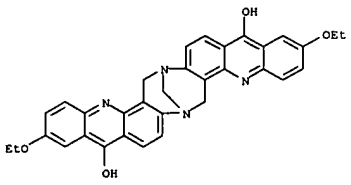
I

AB In the search for chemical probes of DNA conformations, an efficient synthesis is reported of new Troeger's base analogs I [R1 = OH, EtO; R2 = NH2, OH, Cl, n-PrNH, HO(CH2)2NH, H2N(CH2)3NH, and R2,R3 = OCH2OCH2] derived from polyfunctionalized aminoacridines treated with a stoichiometric amount of formaldehyde in trifluoroacetic acid. For the more sensitive aminoacridines, the Troeger's bases were obtained by nucleophilic substitution of the chloro group of the "pre-formed" corresponding Troeger's base.

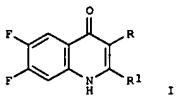
IT **183484-83-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of polyfunctionalized Troeger's base analogs derived from ethacridine)

RN 183484-83-5 CA
CN 6H,16H-7,17-Methano[1,5]diazocino[2,3-c:6,7-c']diacridine-10,20-diol, 2,12-diethoxy- (9CI) (CA INDEX NAME)

L13 ANSWER 5 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)



L13 ANSWER 6 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 125:328482 CA
TITLE: Synthesis of 2-(fluoroalkyl)-6,7-difluoro-4-oxoquinoline-3-carboxylic acid derivatives
AUTHOR(S): Aizikovich, A. Ya.; Charushin, V. N.; Chupakhin, O. N.
CORPORATE SOURCE: Ural'skii Gosudarstvennyi Universitet, Russia
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1996), 30(8), 43-45
CODEN: KHFZAN; ISSN: 0023-1134
PUBLISHER: Izdatel'stvo Folium
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI

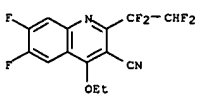


I

AB The title compds., key intermediates in the synthesis of bactericidal fluoroquinolones, were prepared Thus, acylation of 3,4-difluoroaniline with polyfluoroalkanecarboxylic acid anhydrides, followed by transformation of the resulting anilides into imidoyl chlorides, subsequent reaction with malonic ester, and thermal ring closure yielded I (R = COOEt; R1 = CF3, CF2CHF2). Also prepared was I (R = CN; R1 = CF2CHF2).

IT **183274-18-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of difluorooxo(polyfluoroalkyl)quinolinecarboxylic acid derivs.)

RN 183274-18-2 CA
CN 3-Quinolinecarbonitrile, 4-ethoxy-6,7-difluoro-2-(1,1,2,2-tetrafluoroethyl)- (9CI) (CA INDEX NAME)



L13 ANSWER 7 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 125:196381 CA
TITLE: Preparation of pseudopeptides containing trifluoromethyl substituted 2-azabicyclooctanes for treatment of retroviral infections.
INVENTOR(S): Raddatz, Siegfried; Wild, Hanno; Haeblich, Dieter; Roeben, Wolfgang; Hansen, Jutta; Paessens, Arnold
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 720988	A1	19960710	EP 1995-120371	19951222
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 19500122	A1	19960711	DE 1995-19500122	19950104
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JP 08259534	A2	19961008	JP 1995-351260	19951227
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CA 2166372	AA	19960705	CA 1995-2166372	19951229
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HU 74806	A2	19970228	HU 1995-3928	19951229
FI 9600014	A	19960705	FI 1996-14	19960102
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NO 9600017	A	19960705	NO 1996-17	19960103
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ZA 9600026	A	19960710	ZA 1996-26	19960103
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CN 1134941	A	19961106	CN 1996-100928	19960104
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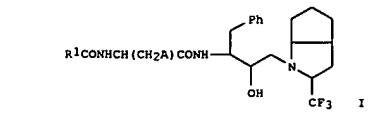
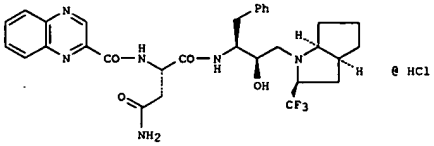
PRIORITY APPLN. INFO.:			DE 1995-19500122 A	19950104

OTHER SOURCE(S): MARPAT 125:196381
GI

L13 ANSWER 7 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)

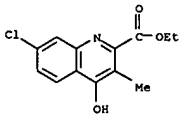


I



II

AB Title compds. [I; A = cyano, CONH2; R1 = (substituted) quinolin-2-yl, quinoxalin-2-yl, 3-pyridylmethoxy, Ph(OCH2)n; n = 0, 1], were prepared Thus, title compound (II), prepared via solution phase coupling reactions, inhibited HIV-1 protease with IC50 = 1.8 + 10-11 M.
IT **180605-61-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pseudopeptides containing trifluoromethyl substituted 2-azabicyclooctanes for treatment of retroviral infections)
RN 180605-61-2 CA
CN 2-Quinolinecarboxylic acid, 7-chloro-4-hydroxy-3-methyl-, ethyl ester (9CI) (CA INDEX NAME)



L13 ANSWER 8 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 125:142755 CA
TITLE: Pyridazinoquinoline compounds
INVENTOR(S): Bare, Thomas Michael; Chapdelaine, Marc Jerome; Davenport, Timothy Wayne; Empfield, James Roy; Garcia-Davenport, Laura Enid; Jackson, Paul Francis; McKinney, Jeffrey Alan; McLaren, Charles David; Sparks, Richard Bruce
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 214 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9615127	A1	19960523	WO 1995-GB2613	19951108
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W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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AU 9538132	A1	19960606	AU 1995-38132	19951108
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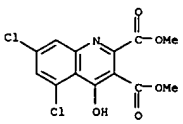
AU 705938	B2	19990603		
EP 790996	A1	19970827	EP 1995-936046	19951108
EP 790996	B1	20020327		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1171787	A	19980128	CN 1995-197220	19951108
CN 1067685	B	20010627		
JP 10508617	T2	19980825	JP 1995-515817	19951108
AT 215085	E	20020415	AT 1995-936046	19951108
FI 9701971	A	19970512	FI 1997-1971	19970507
NO 9702153	A	19970709	NO 1997-2153	19970509
NO 308899	B1	20001113		
US 6214826	B1	20010410	US 1999-365562	19990802
PRIORITY APPLN. INFO.:			GB 1994-22894 A	19941112
			WO 1995-GB2613 W	19951108
			US 1997-836082 B1	19970502
			US 1998-128038 B1	19980803

OTHER SOURCE(S): MARPAT 125:142755
GI For diagram(s), see printed CA Issue.
AB Pyridazinoquinolines and related compds. I [ring A is an orthofused aromatic or heteroarom. five- or six-membered ring; R = halo, C1-C4 alkyl, NO2, CN, etc.; R1 = H, C1-C6 alkyl, (CH2)nL, where n = 0-6, L = (un)substituted aryl or heteroaryl or n > 0, L = OH, OAc, halo, CF3, etc.; R2 = H,

L13 ANSWER 8 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)
(CH2)nL; R3 = H, acyl, alkyl, etc.; R4n = bond or H2; R5 = H, C1-C6-alkyl or alkylaryl] or pharmaceutical compns. contg. them were prepd. for the treatment of neurol. disorders. Thus, di-Me 7-chloro-4-hydroxy-2,3-quinolinedicarboxylate was reacted with 2-hydroxyethylhydrazine and the mixt. treated with N-methylglucamine to afford 37% 7-chloro-1-hydroxy-3-(2-hydroxyethyl)-3,4,5,10-tetrahydropyridazino[4,5-b]quinoline-4,10-dione. The quinolinedicarboxylate substrate was prepd. from Me 2-amino-4-chlorobenzoate and di-Me acetylenedicarboxylate. Compds. I reduced ischemic damage, e.g., 7-chloro-4-hydroxy-5,10-dihydro-2-p-tolylpyridazino[4,5-b]quinolin-1-one at an i.v. dose of 10 mg/kg/h caused an infarct % vol. change of -42% while a 5.0 mg/kg/h i.v. dosage caused a -8% redn.
IT **147494-03-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyridazinoquinolines)
RN 147494-03-9 CA
CN 2,3-Quinolinedicarboxylic acid, 5,7-dichloro-4-hydroxy-, dimethyl ester (9CI) (CA INDEX NAME)



L13 ANSWER 9 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 125:142578 CA
TITLE: Pyridopyrimidones, quinolines and fused N-heterocycles as bradykinin antagonists.
INVENTOR(S): Oku, Teruo; Kayakiri, Hiroshi; Satoh, Shigeki; Abe, Yoshito; Sawada, Yuki; Inoue, Takayuki; Tanaka, Hirokazu
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 263 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9613485	A1	19960509	WO 1995-JP2192	19951025
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W: AU, CA, CN, HU, JP, KR, MX, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2203659	AA	19960509	CA 1995-2203659	19951025
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AU 9537536	A1	19960523	AU 1995-37536	19951025
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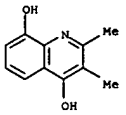
AU 705883	B2	19990603		
EP 807105	A1	19971119	EP 1995-935563	19951025
EP 807105	B1	20040616		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
CN 1168667	A	19971224	CN 1995-196602	19951025
JP 10507764	T2	19980728	JP 1995-514166	19951025
AT 269310	E	20040715	AT 1995-935563	19951025
ES 2218554	T3	20041116	ES 1995-935563	19951025
US 5994368	A	19991130	US 1997-809416	19970425

PRIORITY APPLN. INFO.: GB 1994-21684 A 19941027
GB 1995-12339 A 19950616
WO 1995-JP2192 W 19951025

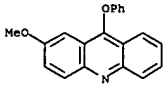
OTHER SOURCE(S): MARPAT 125:142578
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to title compds. I [Z = group Q1 or Q2; X1 = N or CR1; X2 = N or CR9; X3 = N or CR2; R1 = alkyl; R2 = H, (un)substituted alkyl, alkoxy, halo, aryl, amino, etc.; R3 = H, alkyl, alkoxy, halo; R4 = alkyl, alkoxy, halo; R5 = OH, nitro, (un)substituted alkoxy, substituted piperazinyl, NR6R7; R6 = H, alkyl; R7 = H, alkoxycarbonyl, (un)substituted aroyl, carbamoyl, -(AA)COQR8, -(AA)R10; R8 = (un)substituted arylthio, aryloxy, arylamino, heterocyclylthio, heterocyclylamino, etc.; R9 = H, alkyl; R10 = H, acylbiphenyl; A = alkylene; (AA) = amino acid; Y = O, NR11; R11 = H, N-protective group], and pharmaceutically acceptable salts thereof, processes for their prepn., pharmaceutical compns., and therapeutic use in the prevention and/or the treatment of bradykinin-mediated diseases. Such diseases include allergy, inflammation, autoimmune disease, shock, and pain. For instance, amidation of 8-[3-(N-glycyl-N-methylamino)-2,6-dichlorobenzyloxy]-2-methylquinoline with (E)-3-[6-(ethoxycarbonyl)-3-pyridyl]acrylic acid [prepns. given] using EDC and HOBt in DMF gave title compd. II. The similarly prepd. title compd. III.HCl gave 100% inhibition of [3H]-bradykinin binding to rat ileum receptors in vitro at 10-6 M.
IT 179626-81-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyridopyrimidones, quinolines, and fused N-heterocycles as bradykinin antagonists)
RN 179626-81-4 CA
CN 4,8-Quinolinediol, 2,3-dimethyl- (9CI) (CA INDEX NAME)



L13 ANSWER 10 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 125:3431 CA
TITLE: Frameshift mutagenicity and DNA intercalation of 9-amino-2-hydroxyacridine, a rat liver S9 metabolite of 9-aminoacridine
AUTHOR(S): Tomosaka, Hideyuki; Anzai, Kentaro; Hasegawa, Eietsu; Horigome, Tsuneyoshi; Omata, Saburo
CORPORATE SOURCE: Dep. Chem., Fac. Sci., Sci. Univ. Tokyo, Tokyo, 162, Japan
SOURCE: Bioscience, Biotechnology, and Biochemistry (1996), 60(4), 714-16
CODEN: BBBIEJ; ISSN: 0916-8451
PUBLISHER: Japan Society for Bioscience, Biotechnology, and Agrochemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 9-Amino-2-hydroxyacridine, a rat liver S9 metabolite of 9-aminoacridine (9-AA), was synthesized, and found to have lower frameshift mutagenicity and stronger DNA binding affinity than 9-AA.
IT 61078-20-4P
RL: BPN (Biosynthetic preparation); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(frameshift mutagenicity and DNA intercalation of 9-amino-2-hydroxyacridi ne, a rat liver S9 metabolite of 9-aminoacridine)
RN 61078-20-4 CA
CN Acridine, 2-methoxy-9-phenoxy- (6CI, 7CI, 9CI) (CA INDEX NAME)



L13 ANSWER 11 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 124:261561 CA
TITLE: Novel Phosphoramidite Monomer for the Site-Selective Incorporation of a Diastereochemically Pure Phosphoramidate to Oligonucleotide
AUTHOR(S): Endo, Masayuki; Komiyama, Makoto
CORPORATE SOURCE: Graduate School of Engineering, University of Tokyo, Tokyo, 113, Japan
SOURCE: Journal of Organic Chemistry (1996), 61(6), 1994-2000
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
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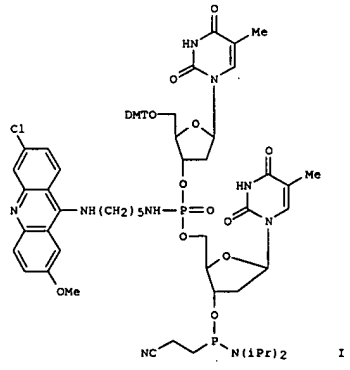
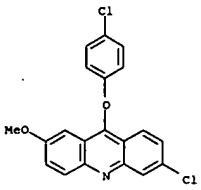


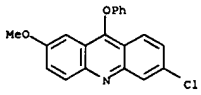
I

AB Diastereochem. pure dithymidine phosphoramidates, e.g. I, were prepared and selectively incorporated into synthetic oligodeoxyribonucleotides by a phosphoramidite technique. By using the terminal amino residues bound to the chiral phosphoramidates, various functional residues have been attached to the oligonucleotides in stereospecific ways. No racemization takes place during these procedures. The dependence of the duplex- and triplex-forming activities of these tethered and functionalized oligodeoxyribonucleotides on the diastereochem. of the phosphoramidate is shown.
IT 115960-63-9
RL: RCT (Reactant); RACT (Reactant or reagent)

L13 ANSWER 11 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)
(prepn. of oligodeoxyribonucleotide aminopentylphosphoramidate duplexes
and triplexes)
RN 115960-63-9 CA
CN Acridine, 6-chloro-9-(4-chlorophenoxy)-2-methoxy- (9CI) (CA INDEX NAME)



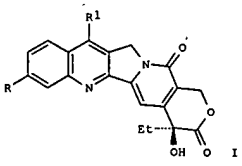
L13 ANSWER 12 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 124:232403 CA
TITLE: Synthesis and DNA photo-cleaving activity of novel heterocyclic N-oxide-acridine hybrid molecules
AUTHOR(S): Sako, Magoichi; Takeda, Yoshifumi; Hirota, Kosaku; Maki, Yoshifumi
CORPORATE SOURCE: Gifu Pharmaceutical University, Gifu, 602, Japan
SOURCE: Heterocycles (1996), 42(1), 31-4
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The novel DNA photo-cleaver consisting of the heterocyclic N-oxide, which is an efficient photochem. generator of hydroxyl radicals, an acridine intercalator, and an amide-type methylene linker was designed and synthesized. The preliminary DNA strand-breakage study of the hybrid compds. demonstrated that the DNA photo-cleaving activity of the parent heterocyclic N-oxide increased by linking with the acridine intercalator. The target compds. were derivs. of pyrimido[5,4-g]pteridine-2,4,6,8(1H,3H,7H,9H)-tetrone 5-oxide.
IT **7478-26-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and DNA cleavage properties of pyrimidopteridinetetrone oxide-acridine hybrid via hydroxyl radicals)
RN 7478-26-4 CA
CN Acridine, 3-chloro-7-methoxy-9-phenoxy- (9CI) (CA INDEX NAME)



L13 ANSWER 13 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 124:87460 CA
TITLE: Preparation and formulations of 7,11-disubstituted camptothecin derivatives
INVENTOR(S): Hausheer, Frederick Herman; Haridas, Kochat
PATENT ASSIGNEE(S): Bionumerik Pharmaceuticals, Inc., USA; Lucas, Brian, Ronald
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9528404 <--	A1	19951026	WO 1995-EP1220	19950331
W: CA, JP, MX RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5468754 <--	A	19951121	US 1994-229527	19940419
CA 2188043 <--	AA	19951026	CA 1995-2188043	19950331
US 5633260	A	19970527	US 1995-518644	19950824
PRIORITY APPLN. INFO.:			US 1994-229527 A	19940419
			WO 1995-EP1220 W	19950331

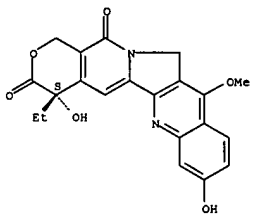
OTHER SOURCE(S): CASREACT 124:87460; MARPAT 124:87460
GI



AB The title compds. I (R = alkoxy, alkoxyaryloxy, HO, acyloxy, aryloxy; R1 = alkyl, substituted alkylarom. group, benzyl, alkylhydroxyl, alkylaroxyl, acyloxy derivative) were prepared Thus, 11-hydroxycamptothecin in water was treated with FeSO4 and propionaldehyde followed by treatment with concentrated sulfuric acid in trifluoroacetic acid and then adding potassium persulfate to give 11-hydroxy-7-ethylcamptothecin (II). 10-Hydroxy-7-ethylcamptothecin was similarly prepared Novel dosages, schedules, and routes of administration for both the II and 11-hydroxy-7-methylcamptothecin formulations to humans with various forms of cancer.

L13 ANSWER 13 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)
Other embodiments of this invention include isolation methods and methods of synthesis of certain camptothecin derivs.
IT **172360-46-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(synthesis and formulation of 7,11-disubstituted camptothecin derivs.)
RN 172360-46-2 CA
CN 1H-Pyrano[3',4':6,7]indolizino[1,2-b]quinoline-3,14(4H,12H)-dione, 4-ethyl-4,8-dihydroxy-11-methoxy-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 14 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 124:29486 CA
TITLE: Preparation and characterization of porphyrin-acridine conjugates as bifunctional antitumor agents
AUTHOR(S): Karagianis, Gloria; Reiss, James A.
CORPORATE SOURCE: Dep. Chem., La Trobe Univ., Bundoora, 3083, Australia
SOURCE: Australian Journal of Chemistry (1995), 48(10), 1693-705
CODEN: AJCHAS; ISSN: 0004-9425
PUBLISHER: Commonwealth Scientific and Industrial Research Organization
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:29486
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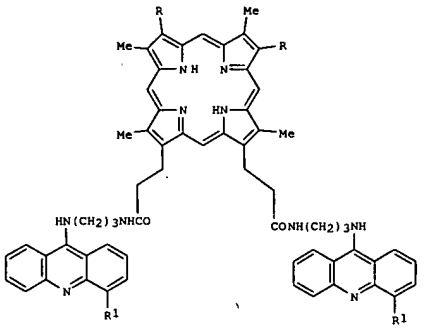
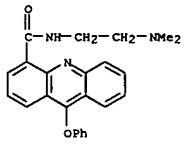


AB The porphyrin-acridine conjugates I [R = CHMeSCH2CH2NMe2, R1 = H, CONHCH2CH2NMe2 (Q)] were prepared by condensation of porphyrin acid with the 9-aminoacridine derivative The conjugate drugs were isolated by size-exclusion chromatog. in yields of up to 35% and further purified by semipreparative HPLC to 98% purity and showed cytotoxic activity in screening tests. Characterization of the conjugates was effected by 1H NMR and UV/vis. spectroscopy, FAB mass spectrometry and UV/vis. diode array HPLC. The conjugates I [R = vinyl, R1 = H, Q; R = CHMeSCH2CH(NHAc)CO2H, CHMeSCH2CH2CONH(CH2)3NHQ1CONHCH2CH2NMe2, R1 = Q; Q1

L13 ANSWER 14 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)
= 4,9-acridinediyl] in low yields (S25%), but the products could not be obtained in a pure state. However, their presence was identified by several phys. measurements.
IT 89459-24-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and characterization of porphyrin-acridine conjugates as bifunctional antitumor agents)
RN 89459-24-5 CA
CN 4-Acridinecarboxamide, N-[2-(dimethylamino)ethyl]-9-phenoxy- (9CI) (CA INDEX NAME)



L13 ANSWER 15 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 123:313994 CA
TITLE: Preparation of pyridazinoquinolines as NMDA receptor antagonists
INVENTOR(S): Bare, Thomas Michael; Sparks, Richard Bruce; Empfield, James Roy; Davenport, Timothy Wayne; McKinney, Jeffrey Alan
PATENT ASSIGNEE(S): Zeneca Ltd., UK
SOURCE: PCT Int. Appl., 185 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9511244	A1	19950427	WO 1994-GB2295	19941020
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, NL, NO, NZ, PL, PT, RO, RU, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
IL 111266	A1	20020310	IL 1994-111266	19941012
ZA 9408178	A	19950424	ZA 1994-8178	19941018
CA 2171332	AA	19950427	CA 1994-2171332	19941020
AU 9479440	A1	19950508	AU 1994-79440	19941020
AU 688393	B2	19980312		
EP 724583	A1	19960807	EP 1994-930275	19941020
EP 724583	B1	20001213		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
HU 74161	A2	19961128	HU 1996-889	19941020
CN 1138332	A	19961218	CN 1994-194578	19941020
CN 1053189	B	20000607		
JP 09504519	T2	19970506	JP 1995-511521	19941020
JP 3583132	B2	20041027		
NZ 329303	A	20000128	NZ 1994-329303	19941020
EP 1004582	A2	20000531	EP 2000-101917	19941020
EP 1004582	A3	20000830		
EP 1004582	B1	20050518		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT				
AT 198072	E	20001215	AT 1994-930275	19941020
PL 180679	B1	20010330	PL 1994-314041	19941020
PT 724583	T	20010330	PT 1994-930275	19941020
ES 2154686	T3	20010416	ES 1994-930275	19941020
RU 2168511	C2	20010610	RU 1996-109470	19941020
SK 282491	B6	20020205	SK 1996-504	19941020
SG 92630	A1	20021119	SG 1999-943	19941020

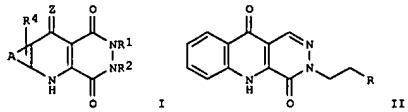
L13 ANSWER 15 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)

CZ 292311	B6	20030917	CZ 1996-1138	19941020
AT 295846	E	20050615	AT 2000-101917	19941020
TW 406082	B	20000921	TW 1994-83110721	19941118
US 5744471	A	19980428	US 1996-637641	19960417
FI 9601696	A	19960418	FI 1996-1696	19960418
FI 113865	B1	20040630		
NO 9601584	A	19960419	NO 1996-1584	19960419
NO 306995	B1	20000124		
FI 9700907	A	19970303	FI 1997-907	19970303
FI 114916	B1	20050131		
US 6232313	B1	20010515	US 1998-44109	19980319
AU 9868999	A1	19980730	AU 1998-68999	19980527
AU 721139	B2	20000622		
HK 1013997	A1	20020215	HK 1998-115415	19981224
US 6103721	A	20000815	US 1999-455096	19991206
GR 3035080	T3	20010330	GR 2000-402690	20001214

PRIORITY APPLN. INFO.:

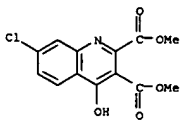
GB 1993-21854	A	19931022
GB 1994-17171	A	19940825
AU 1994-79440	A	19941020
EP 1994-930275	A3	19941020
WO 1994-GB2295	W	19941020
US 1996-637641	A3	19960417
FI 1996-1696	A	19960418
US 1998-44109	A3	19980319

OTHER SOURCE(S): MARPAT 123:313994
GI



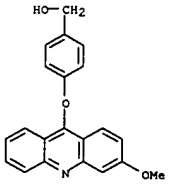
AB Title compds. [(tautomeric) I; A = atoms to complete an (un)substituted benzene, pyridine, furan, pyrrole, or thiophene ring; R1,R2 = H, (CH2)nR; R = (un)substituted Ph, -heterocyclyl, -heteroaryl, OH, alkoxy, acyloxy, (di)alkylamino, etc.; R4 = 1 or more halo, alkyl, cyano, alkoxy, etc.; Z = O, S, NH] were prepared Thus, Me 4-chloroanthranilate was cyclocondensed with MeO2CC.tplbond.CCO2Me and the product cyclocondensed in 2 steps with H2NNHCH2CH2OH to give title compound II (R = OH) which was converted in 2 steps to II (R = phthalimido). II [R = C6H4(OMe)-4] gave 80% protection from brain ischemic damage in carotid artery clip-occluded gerbils at

L13 ANSWER 15 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)
10mg/kg i.p.
IT 147494-01-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyridazinoquinolines as NMDA receptor antagonists)
RN 147494-01-7 CA
CN 2,3-Quinolinedicarboxylic acid, 7-chloro-4-hydroxy-, dimethyl ester (9CI) (CA INDEX NAME)



L13 ANSWER 16 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 123:227967 CA
TITLE: 9-Substituted acridine derivatives with long half-life
and potent antitumor activity: synthesis and structure-activity relationships
AUTHOR(S): Su, Tsann-Long; Chou, Ting-Chao; Kim, Joong Young; Huang, Jai-Tung; Ciszewska, Grazyna; Ren, Wu-Yun; Otter, Grenys M.; Sirotnak, Francis M.; Watanabe, Kyoichi A.
CORPORATE SOURCE: Memorial Sloan-Kettering Cancer Center, Sloan-Kettering Institute for Cancer Research, New York, NY, 10021, USA
SOURCE: Journal of Medicinal Chemistry (1995), 38(17), 3226-35
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:227967
AB A series of DNA-intercalating 9-anilinoacridines, namely 9-phenoxyacridines, 9-(phenylthio)acridines, and 9-(3',5'-disubstituted anilino)acridines, were synthesized as potential antitumor agents with inhibitory effects on DNA topoisomerase II. Unlike amsacrine (m-AMSA), these agents were designed to avoid the oxidative metabolic pathway. These acridine derivs. were, therefore, expected to have long half-life in plasma. Both 9-phenoxyacridines and 9-(phenylthio)acridines were found to have moderate cytotoxicity against mouse leukemia L1210 and human leukemic HL-60 cell growth in culture. Among 9-(3',5'-disubstituted anilino)acridines, 3-(9-acridinylamino)-5-(hydroxymethyl)aniline (AHMA) was found to be a potent topoisomerase II inhibitor and exhibited significant antitumor efficacy both in vitro and in vivo. Chemotherapy of solid-tumor-bearing mice with 10, 10, and 5 mg/kg (QD + 4, i.p.) AHMA, VP-16, and m-AMSA, resp., resulted in more tumor volume reduction by AHMA than by VP-16 or m-AMSA for E0771 mammary adenocarcinoma and B-16 melanoma. For Lewis lung carcinoma, AHMA was as potent as VP-16 but more active than m-AMSA. Structure-activity relationships of AHMA derivs. are discussed. 4-Hydroxybenzyl alc. in EtOH was added to KOH followed by 9-chloroacridine to give 4-(9-acridinyloxy)benzyl alc.
IT 168556-40-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and structure-activity relationship of antitumor 9-substituted acridine derivs.)
RN 168556-40-9 CA
CN Benzenemethanol, 4-[(3-methoxy-9-acridinyl)oxy]- (9CI) (CA INDEX NAME)

L13 ANSWER 16 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)



L13 ANSWER 17 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 123:83218 CA
TITLE: Memory enhancing 9-aminotetrahydroacridines and related compounds
INVENTOR(S): Shutske, Gregory M.; Helsley, Grover C.; Kapples, Kevin J.
PATENT ASSIGNEE(S): Hoechst-Roussel Pharmaceuticals Inc., USA
SOURCE: U.S., 10 pp. Cont.-in-part of U.S. Ser. No. 26,730, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5391553	A	19950221	US 1988-244212	19880914
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FI 8801223	A	19880918	FI 1988-1223	19880315
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FI 91401	B	19940315		
FI 91401	C	19940627		
IL 85741	A1	19960514	IL 1988-85741	19880315
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AU 8813141	A1	19880915	AU 1988-13141	19880316
<--				
AU 608300	B2	19910328		
DK 8801435	A	19880918	DK 1988-1435	19880316
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DK 172864	B1	19990823		
NO 8801164	A	19880919	NO 1988-1164	19880316
<--				
NO 173498	B	19930913		
NO 173498	C	19931222		
JP 63238063	A2	19881004	JP 1988-60665	19880316
<--				
JP 2888485	B2	19990510		
HU 46672	A2	19881128	HU 1988-1254	19880316
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HU 201018	B	19900928		
ZA 8801865	A	19881130	ZA 1988-1865	19880316
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CA 1318675	A1	19930601	CA 1988-561561	19880316
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AU 9068239	A1	19910314	AU 1990-68239	19901219
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AU 634004	B2	19930211		
AU 9068241	A1	19910314	AU 1990-68241	19901219
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AU 635370	B2	19930318		
AU 9068240	A1	19910502	AU 1990-68240	19901219
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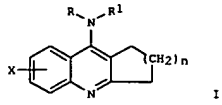
AU 633668	B2	19930204		
PRIORITY APPLN. INFO.:			US 1987-26730	B2 19870317

OTHER SOURCE(S): MARPAT 123:83218
GI

L13 ANSWER 17 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)



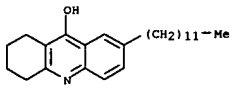
I

AB There are disclosed compds. having the formula I wherein n is 1-4; X is alkyl of 3-18 carbon atoms, cycloalkyl of 3-7 carbon atoms or cycloalkylloweralkyl; R is hydrogen, loweralkyl or loweralkylcarbonyl; R1 is hydrogen, loweralkyl, loweralkylcarbonyl, aryl, diloweralkylaminoloweralkyl, arylloweralkyl, diarylloweralkyl, oxygen-bridged arylloweralkyl or oxygen-bridged diarylloweralkyl; stereo isomers thereof and pharmaceutically acceptable acid addition salts thereof, which are useful for enhancing memory, methods for synthesizing them, and pharmaceutical compns. comprising an effective memory enhancing amount of such a compound Thus, e.g., reaction of 9-chloro-7-cyclohexyl-1,2,3,4-tetrahydroacridine (preparation given) with NH3 followed by salt formation afforded 9-amino-7-cyclohexyl-1,2,3,4-tetrahydroacridine hydrochloride which at 0.63 mg/kg s.c. reversed scopolamine-induced memory deficit in 20% of mice tested.

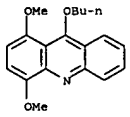
IT **120594-47-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(memory enhancing 9-aminotetrahydroacridines and related compds.)

RN 120594-47-0 CA

CN 9-Acridinol, 7-dodecyl-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



L13 ANSWER 18 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)



L13 ANSWER 18 OF 400 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 123:79401 CA

TITLE: Activity and structure relationship of acridine derivatives against African trypanosomes

AUTHOR(S): Obexer, W.; Schmid, C.; Barbe, J.; Galy, J. P.; Brun, R.

CORPORATE SOURCE: Swiss Tropical Institute, Basel, Switz.

SOURCE: Tropical Medicine and Parasitology (1995), 46(1), 49-53
CODEN: TMPAEY; ISSN: 0177-2392

PUBLISHER: Thieme

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Some 48 newly synthesized acridine derivs. of different classes were screened for antitrypanosomal activity. They showed a dose dependent effect on Trypanosoma rhodesiense and T. brucei bloodstream forms measured by the inhibition of esterase activity in a fluorescence based in vitro assay. After anal. of the IC50 and MIC values of the investigated acridines, it was obvious that no new compound reached the level of the trypanocidal drugs in use (50 ng/mL). Most of the derivs. had IC50 values in the range of 1 to 10 μg/mL. Nine derivs. from different classes of acridines were active in vitro below 1 μg/mL. Correlations between structure and effect on trypanosomes have been elucidated by comparing the IC50 and MIC values of these compds., in the course of which no significant differences in the drug susceptibility between T. brucei und T. rhodesiense was noticed. The dialkylaminoalkyl derivs. among the group of the 9-thioacridines were slightly more potent than the mono-alkylated ones. 1,2,3,4-Tetrahydro-9-thioacridines showed the influence of higher substituted side chains on the trypanocidal activity in the same way as 9-thioacridines. The corresponding ketones of 9-thioacridines confirmed the tendency of increasing toxicity due to the derivatization of the dialkylaminoalkyl side chain. Within the series of the 9-aminoacridines the elongation of the side chain did not markedly change the activity, however the IC50 values are generally low between 0.13 and 1.2μg/mL. Two compds. belonging to monoalkylated-9-thioacridines showed to be 560 to 1000-fold less toxic for mammalian cells than for trypanosomes in vitro whereas the other compds. have been similarly active against human adenoma carcinoma cells and trypanosomes. Screening of the most active compds. in mice could not confirm the activity of these compds. expressed in vitro.

IT **140844-53-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(activity and structure relationship of acridine derivs. against African trypanosomes)

RN 140844-53-7 CA

CN Acridine, 9-butoxy-1,4-dimethoxy- (9CI) (CA INDEX NAME)

L13 ANSWER 19 OF 400 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 122:81090 CA

TITLE: Synthesis and biological evaluation of some potential antimalarials

AUTHOR(S): Tsai, Chang Swei; Shen, Ai Yu

CORPORATE SOURCE: Dept. Biomed. Sci., Foo Yin Junior College Nursing and Med. Tech., Kaohsiung, 831, Taiwan

SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1994), 327(10), 677-9
CODEN: ARPMAS; ISSN: 0365-6233

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

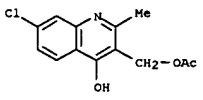
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Quinoline derivs. I and II (R = H, R1 = 7-Cl; R = Ac, R1 = 6-MeO) and pyridazine derivs. III and IV were prepared and tested for activity against Plasmodium berghei in mice. IV showed the highest activity.

IT **160315-75-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of potential antimalarials)

RN 160315-75-3 CA

CN 3-Quinolinemethanol, 7-chloro-4-hydroxy-2-methyl-, α-acetate (9CI) (CA INDEX NAME)



L13 ANSWER 20 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 122:55819 CA
TITLE: Heterocyclic hydrazide derivatives of monocyclic β-lactam antibiotics
INVENTOR(S): Ermann, Peter H.; Straub, Henner
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
SOURCE: U.S., 20 pp. Cont. of U.S. Ser. No. 410,217, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5318963	A	19940607	US 1990-620170	19901130
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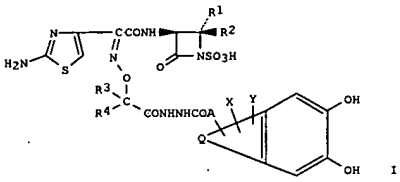
CA 2024282	AA	19910322	CA 1990-2024282	19900830
<--				
JP 03120276	A2	19910522	JP 1990-254057	19900921
<--				
PRIORITY APPLN. INFO.:			US 1989-410217	B2 19890921

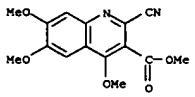
OTHER SOURCE(S): MARPAT 122:55819
GI



I

AB Antibacterial (no data) compds. (I) and pharmaceutically acceptable salts thereof, wherein: A is a bond or alkylene; Q completes a 5- or 6-membered saturated or unsatd. (including aromatic) heterocyclic ring having one or two heteroatoms in the ring selected from nitrogen, NR5 .tplbond.N+R6, sulfur or oxygen; X is attached to an available carbon atom in the heterocyclic ring and is hydrogen, amino, hydroxyl, halogen, carboxamide, nitrile, or carboxyl, except that Y is not carboxyl when the bicyclic ring completed by Q is 2-quinolyl, 3-quinolyl, or quinoxalyl; and the remaining symbols are as defined in the specification.
IT **135215-16-6P**, 2-Cyano-4,6,7-trimethoxy-3-quinolinecarboxylic acid, methyl ester

L13 ANSWER 20 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of heterocyclic hydrazide derivs. of monocyclic β-lactam antibiotics)
RN 135215-16-6 CA
CN 3-Quinolinecarboxylic acid, 2-cyano-4,6,7-trimethoxy-, methyl ester (9CI) (CA INDEX NAME)



L13 ANSWER 21 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 121:108763 CA
TITLE: Preparation of condensed pyridine derivatives as inhibitors of the biological effects of oxygen free radicals
INVENTOR(S): Bachy, Andre; Fraisse, Laurent; Keane, Peter; Mendes, Etienne; Vernieres, Jean Claude; Simiand, Jacques
PATENT ASSIGNEE(S): Elf Sanofi SA, Fr.
SOURCE: Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 587473	A1	19940316	EP 1993-402095	19930825
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EP 587473	B1	19981111		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
FR 2695126	A1	19940304	FR 1992-10329	19920827
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FR 2695126	B1	19941110		
US 5360799	A	19941101	US 1993-109073	19930819
<--				
AU 9344747	A1	19940303	AU 1993-44747	19930820
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AU 659027	B2	19950504		
AT 173258	E	19981115	AT 1993-402095	19930825
ES 2125315	T3	19990301	ES 1993-402095	19930825
CA 2104883	AA	19940228	CA 1993-2104883	19930826
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NO 9303051	A	19940228	NO 1993-3051	19930826
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HU 64957	A2	19940328	HU 1993-2425	19930826
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HU 217623	B	20000328		
JP 06184145	A2	19940705	JP 1993-211451	19930826
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FI 103889	B1	19991015	FI 1993-3756	19930826
US 5468750	A	19951121	US 1994-273943	19940712
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FI 9602714	A	19960701	FI 1996-2714	19960701
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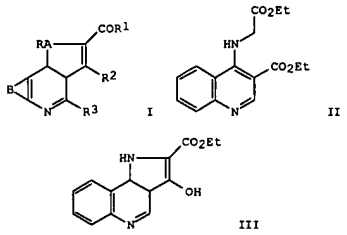
FI 103277	B1	19990531		
PRIORITY APPLN. INFO.:			FR 1992-10329	A 19920827
			US 1993-109073	A3 19930819
			FI 1993-3756	A 19930826

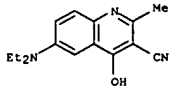
OTHER SOURCE(S): MARPAT 121:108763
GI

L13 ANSWER 21 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)

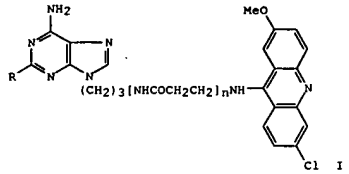


I II III

AB Title compds. [I; R1 = OH, alkyl, alkoxy, Ph, PhCH2, PhCH2O, (substituted) amino, aminoalkyl; R2 = OH, SH, alkoxy, alkylthio, (substituted) amino; R3 = H, alkyl, alkylthio, alkoxy, Ph, PhCH2; A = S, N; R = null, H, (substituted) alkyl; B = (substituted) Ph, pyridyl, or thienyl nucleus], were prepared Thus, aminoacetate II was stirred 10 h with KOCMe3 in PhMe/HOCMe3 to give title compound III. I inhibited the toxic effects of KCN in mice with IC50 = 2-30 mg/kg i.v.
IT **156566-40-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for inhibitor of biol. effects of free radicals).
RN 156566-40-4 CA
CN 3-Quinolinecarbonitrile, 6-(diethylamino)-4-hydroxy-2-methyl- (9CI) (CA INDEX NAME)



L13 ANSWER 22 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 120:323064 CA
TITLE: Synthesis of purine-acridine hybrid molecules related to artificial endonucleases
AUTHOR(S): Fkyerat, Abdellatif; Demeunynck, Martine; Constant, Jean Francois; Lhomme, Jean
CORPORATE SOURCE: Univ. J. Fourier, Grenoble, 38041, Fr.
SOURCE: Tetrahedron (1993), 49(48), 11237-52
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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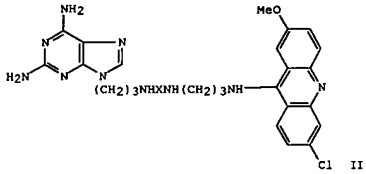
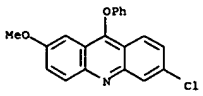


II

AB In the course of a program devoted to the synthesis of artificial endonucleases, the hybrid mols. I (R = H, NH2, n = 1, 2) and II (X = COCH2CH2, CH2CH2CO) in which a purine is linked to an aminoacridine by an aliphatic chain containing amido or/and amino groups have been prepared. The key intermediates are α-halo-ω-amino polyaza chains which may be of general use as linkers in bioconjugate chemical I and II recognize and cleave selectively abasic sites in DNA with very high efficiency.
IT 7478-26-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant, in preparation of purine acridine hybrid endonuclease model)

L13 ANSWER 22 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)
RN 7478-26-4 CA
CN Acridine, 3-chloro-7-methoxy-9-phenoxy- (9CI) (CA INDEX NAME)

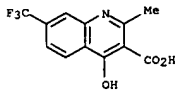


L13 ANSWER 23 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 120:293590 CA
TITLE: Separation method with auxiliary ligand-binder pairs in immunological detection of multiple analytes
INVENTOR(S): Abuknesha, Ramadan Arbi
PATENT ASSIGNEE(S): GEC-Marconi Ltd., UK
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9403807	A1	19940217	WO 1993-GB1627	19930802
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
GB 2270976	A1	19940330	GB 1992-19743	19920918
GB 2261948	A1	19930602	GB 1992-24897	19921127
GB 2261949	A1	19930602	GB 1992-24898	19921127
EP 653065	A1	19950517	EP 1993-917967	19930802
EP 653065	B1	20021030		
R: DE, FR				
PRIORITY APPLN. INFO.:			GB 1992-16450	A 19920803
			GB 1992-16683	A 19920806
			GB 1992-19743	A 19920918
			GB 1992-20722	A 19921001
			GB 1992-24897	A 19921127
			GB 1992-24898	A 19921127
			GB 1991-25204	A 19911127
			GB 1991-25218	A 19911127
			WO 1993-GB1627	W 19930802

AB A separation method which finds application in immunol. detection, a method suitable for use in detection, a sensor, and a test kit are disclosed. The invention provides a separation method suitable for use in an immunol. method for the detection of >1 species, which includes the use of >1 auxiliary ligand-binder pairs, the auxiliary ligand of each of the plurality of auxiliary ligand-binder pairs being provided on a support material. The invention also provides a separation method which includes the use of a plurality of auxiliary ligand-binder pairs, an auxiliary ligand of one auxiliary ligand-binder pair being provided on a support material and a binder of another auxiliary ligand-binder pair, which pair

L13 ANSWER 23 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)
an auxiliary ligand-auxiliary binder pair, being provided on a support material. The invention is useful for detection of multiple analytes. 17β-Estradiol, progesterone and L-thyroxine were selected as analytes to illustrate the use of >1 auxiliary ligand-auxiliary binder pairs in sepns. of multiple analytes for immunol. detection. The auxiliary ligands used were 7-hydroxy-4-methylcoumarin-3propionic acid, 2-(4-aminophenyl)-6-methylthiazole hemiglutarate, and 2-phenyl-4-quinoline carboxylic acid; auxiliary binders were antibodies to these ligands.
IT 154821-27-9, 4-Hydroxy-7-trifluoromethyl-3-quinaldinecarboxylic acid
RL: ANST (Analytical study)
(as auxiliary ligand, antibody as auxiliary binder to, in separation in multiple analyte immunol. detection)
RN 154821-27-9 CA
CN 3-Quinolinecarboxylic acid, 4-hydroxy-2-methyl-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)

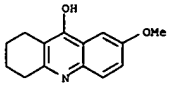


L13 ANSWER 24 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 120:23018 CA
TITLE: Bioactivation and irreversible binding of the cognition activator tacrine using human and rat liver microsomal preparations. Species difference
AUTHOR(S): Woolf, Thomas F.; Pool, William F.; Bjorge, Susan M.; Chang, Tsun; Goel, O. P.; Purchase, Claude F., II; Schroeder, Mel C.; Kunze, Kent L.; Trager, William F.
CORPORATE SOURCE: Dep. Pharmacokinetic. Drug Metab., Parke-Davis Pharm. Res., Ann Arbor, MI, 48106, USA
SOURCE: Drug Metabolism and Disposition (1993), 21(5), 874-82
CODEN: DMDSAI; ISSN: 0090-9556
DOCUMENT TYPE: Journal
LANGUAGE: English

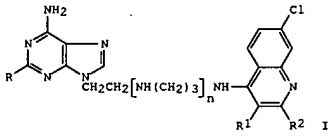
AB Tacrine's [1,2,3,4-tetrahydro-9-acridinamine monohydrochloride monohydrate, (THA)] metabolic fate was examined using human and rat liver microsomal prepns. Following 1-h incubations with human microsomes, [14C]THA (0.4 μM) was extensively metabolized to 1-hydroxy THA with trace amts. of 2-, 4-, and 7-hydroxy THA also produced. Poor recovery of radioactivity in the postreaction incubates suggested association of THA-derived radioactivity with precipitated microsomal protein. After exhaustive extraction, 0.034, 0.145, 0.126, and 0.012 nmol eq bound/mg protein/60 min of THA-derived radioactivity was bound to human liver prepns. H109, H111, H116, and H118, resp. Prepns. H109 and H118 were lower in P 4501A2 content and catalytic activity as compared with prepns. H111 and H116. Incubations of equimolar [14C]1-hydroxy THA with human liver microsomes also resulted in binding to protein, although to a lesser extent than observed with THA. [14C]THA (0.4 μM) was incubated for 1 h with rat liver microsomes (1 μM P 450) prepared from noninduced (N), phenobarbital (PB), isoniazid (I), and 3-methylcholanthrene (3-MC)-pretreated animals. In all incubations, 1-hydroxy THA was the major biotransformation product detected. After exhaustive extraction, 0.048, 0.054, 0.049, and 0.153 nmol eq/mg protein/60 min of THA-derived radioactivity was bound to microsomal protein from N, PB, I, and 3-MC pretreated rats. Increased binding with 3-MC induced rat liver prepns. suggests the involvement of the P 450 1A subfamily in THA bioactivation. Glutathione (5 mM) coincubation inhibited the irreversible binding of THA-derived radioactivity in both human and 3-MC-induced rat liver prepns., whereas human epoxide hydrase (100 μg/incubate) had a relative minor effect. A mechanism is proposed involving a putative quinone methide(s) intermediate in the bioactivation and irreversible binding of THA. A species difference in THA-derived irreversible binding exists between human and noninduced rat liver microsomes, suggesting that the rat is a poor model for studying the underlying mechanism(s) of THA-induced elevations in liver marker enzymes found in clin. investigations.

IT **151921-07-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

L13 ANSWER 24 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)
(prepn. and chlorination of)
RN 151921-07-2 CA
CN 9-Acridinol, 1,2,3,4-tetrahydro-7-methoxy- (9CI) (CA INDEX NAME)



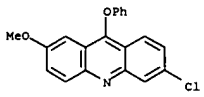
L13 ANSWER 25 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 119:270900 CA
TITLE: A new class of artificial nucleases that recognize and cleave apurinic sites in DNA with great selectivity and efficiency
AUTHOR(S): Fkyerat, Abdellatif; Demeunynck, Martine; Constant, Jean Francois; Michon, Pierre; Lhomme, Jean
CORPORATE SOURCE: LEDSS, Univ. Joseph Fourier, Grenoble, 38041, Fr.
SOURCE: Journal of the American Chemical Society (1993), 115(22), 9952-9
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



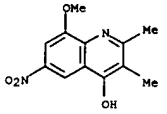
AB A series of tailor-made mols., I [n = 1, 2; R = H, NH2; R1 = R2 = H; R1R2 = CH:C(OMe)CH:CH] have been prepared to recognize and cleave DNA at apurinic sites. I incorporate in their structure different units designed for specific functions, i.e., an intercalator for DNA binding, a nucleic base for abasic site recognition, and a linker endowed with both a binding function and a cleavage function. The constituent units were varied successively in the series of mols. to get insight into their mode of action and prepare more active compds. 1H NMR spectroscopy reveals the absence of intramol. ring-ring stacking interactions in water between the base and the intercalator in all I. All bind to calf thymus DNA with binding consts. ranging from 104 to 106 M-1. Their nuclease activity was estimated by measuring their ability to induce single strand breaks in depurinated pBR 322 plasmid DNA. The most efficient mol., I [n = 2, R = NH2, R1R2 = CH:C(OMe)CH:CH, II], exhibits high recognition selectivity and cleavage efficiency: at nanomolar concns., II recognizes and cleaves the abasic lesion present in a DNA mol. containing an average of 1.8 apurinic sites in its 4,362 base pairs sequence. II exhibits higher cleaving efficiency than the reported tripeptide Lys-Trp-Lys: 10-8 M concns. of II lead to cleavage ratios comparable to those observed for the latter used as 10-3 M concentration This enzyme mimic II can be used advantageously as a substitute to the natural nuclease for in vitro cleavage of depurinated DNA.

IT **7478-26-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(reactant in preparation of azalkylpurine artificial nucleases)

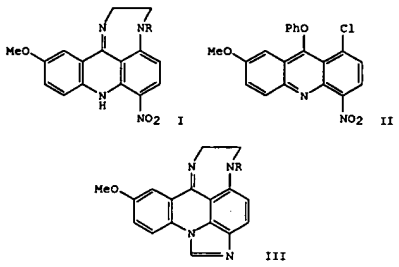
L13 ANSWER 25 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)
RN 7478-26-4 CA
CN Acridine, 3-chloro-7-methoxy-9-phenoxy- (9CI) (CA INDEX NAME)



L13 ANSWER 26 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 119:207130 CA
TITLE: Identification of metamict minerals by x-ray diffraction and thermoanalytical techniques
AUTHOR(S): Kresten, Peter
CORPORATE SOURCE: Kresten GeoData, Uppsala, S-754 31, Swed.
SOURCE: Geologiska Foereningens i Stockholm Foerhandlingar (1993), 115(1), 77-91
CODEN: GFSFA4; ISSN: 0016-786X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Twenty species of metamict (and allegedly metamict) minerals have been investigated using electron microprobe anal., high-temperature X-ray anal., DTA, and thermogravimetry. The results are combined with relevant data from the literature as an aid for the identification of metamict minerals.
IT **1217-71-6**
RL: OCCU (Occurrence)
(metamict, composition and thermal anal. curves and x-ray diffraction pattern of)
RN 1217-71-6 CA
CN 4-Quinolinol, 8-methoxy-2,3-dimethyl-6-nitro- (7CI, 8CI, 9CI) (CA INDEX NAME)

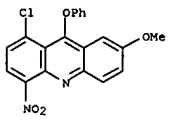


L13 ANSWER 27 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 118:191695 CA
TITLE: Synthesis of substituted 1,4-diazepino[5,6,7-kl]acridines and imidazo[4,5,1-de][1,4]diazepino[5,6,7-mn]acridines
AUTHOR(S): Cholody, Wieslaw M.; Konopa, Jerzy; Martelli, Sante
CORPORATE SOURCE: Dep. Pharm. Technol. Biochem., Tech. Univ. Gdansk, Gdansk, 80952, Pol.
SOURCE: Journal of Heterocyclic Chemistry (1992), 29(7), 1749-52
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 118:191695
GI

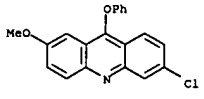


AB The synthesis of some substituted methoxynitrodiazepinoacridines I (R = H, Me, Et, n-Pr, CH2CH2OH, CH2CH2NMe2, CH2CH2NEt2, CH2CH2Cl) with more diversified chains at position 4 is described. Thus, reacting H2N(CH2)2NHR with chlorophenoxyacridine II in DMF gave I, except for I (R = CH2CH2Cl) which was prepared by chlorination of I (R = CH2CH2OH). I were conveniently transformed into methoxyimidazodiazepinoacridines III by treatment with Al-Ni in HCO2H.
IT **134039-84-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation of, with ethylenediamines)
RN 134039-84-2 CA
CN Acridine, 1-chloro-7-methoxy-4-nitro-9-phenoxy- (9CI) (CA INDEX NAME)

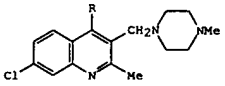
L13 ANSWER 27 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)



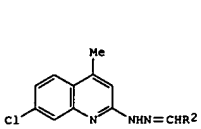
L13 ANSWER 28 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 118:141970 CA
TITLE: Enantioselectivity in the interaction of calf thymus DNA with acridine derivatives having an amino ester or amino alcohol substituent
AUTHOR(S): Asakawa, Masumi; Endo, Ken; Kobayashi, Kenji; Toi, Hiroo; Aoyama, Yasuhiro
CORPORATE SOURCE: Dep. Chem., Nagaoka Univ. Technol., Nagaoka, 940-21, Japan
SOURCE: Bulletin of the Chemical Society of Japan (1992), 65(8), 2050-5
CODEN: BCSJA8; ISSN: 0009-2673
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Unless a bulky aromatic side chain is involved, acridine derivs. having an amino ester or amino alc. substituent bind to calf thymus DNA via intercalation. The binding consts. evaluated by the Scatchard anal. of the hypochromicity data indicate that (1) the substituents introduced are rather inhibitory of the binding and (2) L-enantiomers are preferred over the D-enantiomers by a factor of KL/KD = 1.1-1.4, except for the case of aspartic and glutamic esters where the optical selectivity is very low or even reversed. The present enantioselectivity is discussed in terms of a local C2 chirality of the interaction site, steric effects of the amino ester or amino alc. substituents, and a possible conformation-controlling effect of the CO2CH3 or CH2OH group.
IT **7478-26-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with leucine Me ester or leucinol)
RN 7478-26-4 CA
CN Acridine, 3-chloro-7-methoxy-9-phenoxy- (9CI) (CA INDEX NAME)



L13 ANSWER 29 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 118:59673 CA
TITLE: Synthesis of some new quinoline-Mannich bases and other related products of possible antimicrobial activity
AUTHOR(S): Ebeid, M. Y.; El-Said, M. K.; Kamel, M. M.; Gadalla, K. Z.; Faddah, L. M.
CORPORATE SOURCE: Fac. Pharm., Cairo Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Pharmaceutical Sciences (1991), 32(3-4), 653-62
CODEN: EJPSBZ; ISSN: 0301-5068
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 118:59673
GI



I



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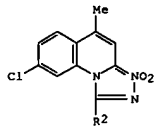
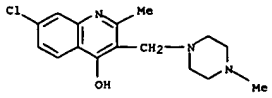


III

AB The synthesis of thirteen new quinoline-Mannich bases I (R = OH, Cl, NHC6H4SO2NHR1-4, R1 = H, 2-pyrimidinyl, 2-thiazolyl) and II (R2 = C6H4OMe-4, C6H4NMe2-4, 2-thienyl) and triazoloquinolines III (same R2) is reported. The chemical structures of these compds. were confirmed by elemental and spectral analyses. Two representative compds. were tested for antimicrobial and antifungal activities.
IT **145326-85-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and chlorination of)
RN 145326-85-8 CA
CN 4-Quinolinol, 7-chloro-2-methyl-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)

L13 ANSWER 29 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)



L13 ANSWER 30 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 118:22154 CA
TITLE: Preparation of (quinolylmethyl)biphenylcarboxylates and analogs as drugs
INVENTOR(S): Clemence, Francois; Fortin, Michel; Haesslein, Jean Luc
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 110 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 498723	A1	19920812	EP 1992-400296	19920205
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EP 498723	B1	20010919		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
FR 2672596	A1	19920814	FR 1991-1374	19910207
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FR 2672596	B1	19950713		
FR 2680510	A1	19930226	FR 1991-10435	19910820
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FR 2680510	B1	19950623		
AU 9210709	A1	19920820	AU 1992-10709	19920205
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AU 658163	B2	19950406		
JP 04346974	A2	19921202	JP 1992-47750	19920205
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JP 3599351	B2	20041208		
AT 205832	E	20011015	AT 1992-400296	19920205
ES 2161688	T3	20011216	ES 1992-400296	19920205
PT 498723	T	20020228	PT 1992-400296	19920205
CA 2060750	AA	19920808	CA 1992-2060750	19920206
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HU 64522	A2	19940128	HU 1992-366	19920206
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BR 9200424	A	19921013	BR 1992-424	19920207
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ZA 9200895	A	19930428	ZA 1992-895	19920207
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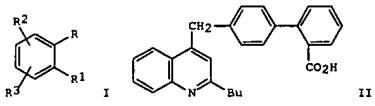
US 5817674	A	19981006	US 1996-583637	19960105
US 6004979	A	19991221	US 1998-71586	19980501
GR 3036805	T3	20020131	GR 2001-401668	20011004

PRIORITY APPLN. INFO.:
FR 1991-1374 A 19910207
FR 1991-10435 A 19910820
US 1992-832749 B1 19920207
US 1994-191862 B1 19940204
US 1996-583637 A1 19960105

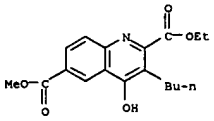
OTHER SOURCE(S): MARPAT 118:22154

L13 ANSWER 30 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)
GI

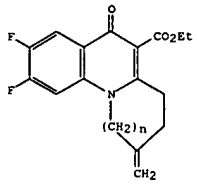


I II

AB Title compds. [I; RR1 = Z1:Z2:Z3:Z4; R2,R3 = H, halo, alkyl, aryl, CONH2, etc.; Z1-Z4 = N, CR4; R4 = H, alkyl, aryl, Z5R5, etc.; R5 = Y1BY2; B = bond, O, CO, CONH, etc.; Y1 = (substituted) aryl; when B = bond Y2 may = H, halo, OH, CO2H, etc.; Y2 may = Y1; Z5 = alkylene] were prepared Thus, MeCOBu was condensed with (EtO)2CO and the product condensed with PhNH2 to give PhNHCBu:CHCO2Et which was cyclized and the product chlorinated to give 4-chloro-2-butylquinoline. The latter as condensed with 4-(BrH2C)C6H4C6H4(CO2Me)-2 in the presence of Zn and (Ph3P)4Pd to give, after saponification, title compound II which had IC50 of 131 nM against angiotensin II effect on isolated rat portal vein.
IT **144624-67-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of drugs)
RN 144624-67-9 CA
CN 2,6-Quinolinedicarboxylic acid, 3-butyl-4-hydroxy-, 2-ethyl 6-methyl ester (9CI) (CA INDEX NAME)



L13 ANSWER 31 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 118:22129 CA
TITLE: Novel [3+2] and [3+3] 4-quinolone annulations by tandem Claisen-Cope amidoalkylation reaction
AUTHOR(S): Newhouse, Bradley J.; Bordner, Jon; Augeri, David J.; Litts, Christopher S.; Kleinman, Edward F.
CORPORATE SOURCE: Cent. Res. Div., Pfizer Inc., Groton, CT, 06340, USA
SOURCE: Journal of Organic Chemistry (1992), 57(25), 6991-5
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 118:22129
GI



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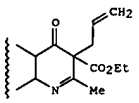

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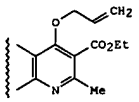
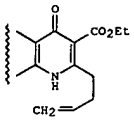


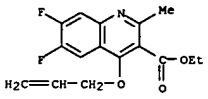
III



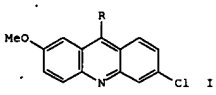
IV

AB Thermolysis of 4-(propargyloxy)quinoline and 4-[(2-chloromethyl)allyloxy]quinoline leads to the formation of pyrrolo[1,2-a]quinolone and 1H-benzo[c]quinolizine I (n = 0, 1), resp., by an annulation process involving a tandem Claisen-Cope-amidoalkylation reaction. These compds. are useful as intermediates in the synthesis of novel tricyclic quinolone antibacterials. Extension of this reaction by incorporation of an initial in situ O-alkylation step results in the formation of 1H-benzo[c]quinolizine I (n = 1) directly from Et 6,7-difluoro-1,4,dihydro-2-methyl-4-oxoquinolinecarboxylate by thermolysis of the latter in the presence of 3 equivs. of (2-chloromethyl)allyl chloride and excess K2CO3. The mechanism of the Claisen-Cope pathway, as first postulated by Makisumi (1965) in earlier studies, was confirmed by the isolation of the Claisen intermediate, dienone II, in the thermolysis of allyloxyquinoline III to produce 2-(3-butenyl)-4-quinolone IV.

L13 ANSWER 31 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)
IT 144744-02-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and Claisen-Cope rearrangement of)
RN 144744-02-5 CA
CN 3-Quinolinecarboxylic acid, 6,7-difluoro-2-methyl-4-(2-propenyloxy)-, ethyl ester (9CI) (CA INDEX NAME)



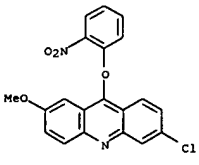
L13 ANSWER 32 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 117:131041 CA
TITLE: Synthesis of 3-chloro-7-methoxy-9-substituted acridine derivatives as potential antimicrobial agents
AUTHOR(S): El-Badry, Ossama M.
CORPORATE SOURCE: Fac. Pharm., Cairo Univ., Cairo, Egypt
SOURCE: Alexandria Journal of Pharmaceutical Sciences (1992), 6(1), 11-14
CODEN: AJPSES; ISSN: 1110-1792
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Reaction of dichloromethoxyacridine I (R = Cl) with anilines, phenol, and heterocyclic thiols afforded the corresponding acridines I (e.g., R = NHC6H4Me-2, OC6H4Me-2, S-2-benzimidazolyl). The bactericidal and fungicidal activity of I (R = aniline- or heterocyclic thiol- derived) exceeded that of I (R = phenol-derived).

IT 143251-43-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antimicrobial)
RN 143251-43-8 CA
CN Acridine, 6-chloro-2-methoxy-9-(2-nitrophenoxy)- (9CI) (CA INDEX NAME)

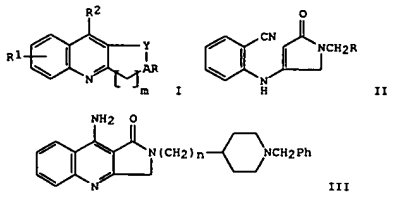


L13 ANSWER 33 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 117:69851 CA
TITLE: Preparation of 2-[(1-benzyl-4-piperidinyl)alkyl]dihydropyrroloquinolinones and -tetrahydroacridinones and analogs as acetylcholinesterase inhibitors
INVENTOR(S): Hasegawa, Hiroshi; Isomae, Kazuo; Kotsugai, Takeshi; Shioiri, Noriaki; Sekine, Kumiko; Taido, Naokata; Sato, Susumu; Kuraishi, Tadayuki
PATENT ASSIGNEE(S): SS Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 37 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 481429	A2	19920422	EP 1991-117581	19911015
<--				
EP 481429	A3	19920819		
EP 481429	B1	20010124		
R: BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
US 5190951	A	19930302	US 1991-773432	19911009
<--				
EP 987262	A1	20000322	EP 1999-124146	19911015
R: BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
ES 2156853	T3	20010801	ES 1991-117581	19911015
JP 05009188	A2	19930119	JP 1991-267741	19911016
<--				
JP 06076399	B4	19940928		
KR 206200	B1	19990701	KR 1991-18237	19911016
CA 2053640	AA	19920420	CA 1991-2053640	19911017
<--				
CA 2053640	C	20011225		
JP 05279355	A2	19931026	JP 1991-271408	19911018
<--				
JP 06076401	B4	19940928		
US 5240934	A	19930831	US 1992-946620	19920918
<--				
US 5300517	A	19940405	US 1993-53202	19930428
<--				
HK 1011351	A1	20010928	HK 1998-112039	19981116
PRIORITY APPLN. INFO.:			JP 1990-281093	A 19901019
			JP 1990-281094	A 19901019
			US 1991-773432	A3 19911009
			EP 1991-117581	A3 19911015
			US 1992-946620	A3 19920918

OTHER SOURCE(S): MARPAT 117:69851
GI

L13 ANSWER 33 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)



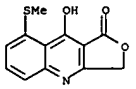
I II III

AB Title compds. [I; A = N(CH2)n, C:CH(CH2)n, or CH(CH2)n when R = 1-(substituted)benzyl-4-piperidinyl; A = C when R = 1-(substituted)benzyl-4-piperidinylidene; R1 = H, halo, alkyl, alkoxy, alkylthio; R2 = H, halo, alkyl, alkoxy, OH, Ph, etc.; Y = CO, CHOH; m = 1-3; n = 0-7] were prepared
Thus, N-(1-benzyl-4-piperidinylmethyl)-4-chloro-3,3-ethylenedioxybutanamide (preparation given) was cyclized and the deprotected
product condensed with 2-(H2N)C6H4CN to give oxopyrrolinylaminobenzonitril
e II (R = 1-benzyl-4-piperidinyl) which was cyclized to give title pyrroloquinolinone III (n = 1). III (n = 2) gave 52.0% improvement of scopolamine-induced amnesia in mice at 0.03 mg/kg orally.

IT **142471-91-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of acetylcholinesterase inhibitors)

RN 142471-91-8 CA

CN Furo[3,4-b]quinolin-1(3H)-one, 9-hydroxy-8-(methylthio)- (9CI) (CA INDEX NAME)



L13 ANSWER 34 OF 400 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 117:48513 CA

TITLE: Substituted 1,4-diazepino[5,6,7-kl]acridines as unexpected side products in reaction of 2-(dialkylamino)ethylamine with 1-chloro-7-methoxy-4-nitro-9-phenoxyacridine

AUTHOR(S): Cholody, Wieslaw M.; Martelli, Sante; Gariboldi, Pierluigi V.

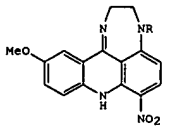
CORPORATE SOURCE: Dep. Pharm. Technol. Biochem., Tech. Univ. Gdansk, Gdansk, 80952, Pol.

SOURCE: Journal of Heterocyclic Chemistry (1992), 29(1), 161-5
CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



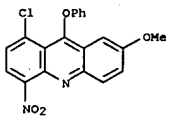
I

AB Substituted 1,4-diazepino[5,6,7-kl]acridines I (R = Me, Et) were obtained in reaction of R2NCH2CH2NH2 with 1-chloro-7-methoxy-4-nitro-9-phenoxyacridine. The mechanism of their formation was studied. The correct structure of these compds. was established on the basis of their 1H NMR studies.

IT **134039-84-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with dialkylethylenediamines)

RN 134039-84-2 CA

CN Acridine, 1-chloro-7-methoxy-4-nitro-9-phenoxy- (9CI) (CA INDEX NAME)



L13 ANSWER 35 OF 400 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 116:193425 CA

TITLE: Separation, identification and conformational studies of functional isomers in the 1,4-dimethoxy-9(10H)-acridinone series

AUTHOR(S): Berny, Hassan; Charbit, Jean Jacques; Brouant, Pierre;
Galy, Anne Marie; Galy, Jean Pierre; Barbe, Jacques

CORPORATE SOURCE: Groupe Etud. Rech. Chim. Ther., Org. Phys., Fac. Pharm., Marseille, 13385, Fr.

SOURCE: Polish Journal of Chemistry (1991), 65(11), 2005-13
CODEN: PJCHDQ; ISSN: 0137-5083

DOCUMENT TYPE: Journal

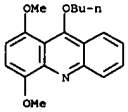
LANGUAGE: English

AB Alkylation of 1,4-dimethoxy-9(10H)-acridinone under phase-transfer catalysis conditions led to a mixture of functional isomers, which were separated by column chromatog. The O-R and N-R isomers were identified by 1H
and 13C NMR. The structure of these derivs. was investigated by CNDO/2 as
well as by mol. mechanics. Mol. conformations were portrayed by comparing
the exptl. dipole moments with those calculated for some selected geometries.
The results support a planar structure for the O-substituted compound while
the N-substituted compound would be folded with a quasi-axial orientation of
the alkyl substituent.

IT **140844-53-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation of, under phase transfer catalysis conditions)

RN 140844-53-7 CA

CN Acridine, 9-butoxy-1,4-dimethoxy- (9CI) (CA INDEX NAME)



L13 ANSWER 36 OF 400 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 116:151306 CA

TITLE: Fluorine-containing imino compounds

INVENTOR(S): Uneyama, Kenji

PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03264557 <--	A2	19911125	JP 1990-62114	19900313

PRIORITY APPLN. INFO.: JP 1990-62114 19900313

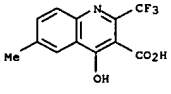
OTHER SOURCE(S): MARPAT 116:151306

AB Title compds. F3CCR1:NR [I; R = (substituted) Ph, benzyl, alkoxycarbonyl, alkylcarbonyl; R1 = C2-10 alkyl, nonhalogen-substituted C1-6 alkyl, (substituted) C2-6 alkenyl, (substituted) C2-6 alkynyl, hydrazino, R2NHNH,
R3NH, R4ONH, cyano, imidazolyl, triazolyl, azido, R2O2CNH, R2N(CO2R3)NH; R2, R3 = (substituted) alkyl, Ph, benzyl; R4 = (substituted) alkyl], useful as intermediates for agrochems. and pharmaceuticals, are prepared
Thus, treating F3CCCl:NC6H4OMe-p with an Et Grignard reagent gave 83% I (R
= p-methoxyphenyl, R1 = Et).

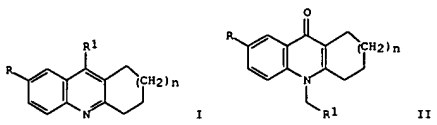
IT **126855-84-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 126855-84-3 CA

CN 3-Quinolinecarboxylic acid, 4-hydroxy-6-methyl-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



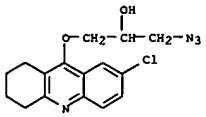
L13 ANSWER 37 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 115:279784 CA
TITLE: Synthesis of O- and N-(epoxypropyl and 3-substituted-amino-2-hydroxypropyl) derivatives of 11-hydroxy-6H-tetrahydrocyclohepta[b]quinoline and 7-chloro-9-hydroxytetrahydroacridine as potential antiamebic agents
AUTHOR(S): Asthana, Pratibha; Rastogi, Shri Nivas; Ghosal, Sheela; Das, S. R.
CORPORATE SOURCE: Div. Med. Chem., Cent. Drug Res. Inst., Lucknow, 226 001, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1991), 30B(9), 893-7
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



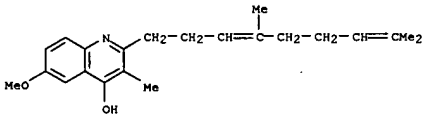
I II

AB Cycloheptaquinolines I [R = H, R1 = 2,3-epoxypropoxy, OCH2CH(OH)CH2R2; R2 = morpholino, N3; n = 2] and cycloheptaquinolinones II [R = H, R1 = CH(OH)CH2R2; R2 = morpholino, N3; n = 2] and acridines I [R = Cl; R1 = 2,3-epoxypropoxy, OCH2CH(OH)CH2R2, NHBu; R2 as above, n = 1] and acridinones II (R = Cl, R1 as above, n = 1] were prepared starting from anthranilic acid and cycloheptanone or 5-chloroanthranilic acid and cyclohexanone resp. I (R = H, R1 = 2,3-epoxypropoxy, n = 2; R = Cl, R1 = NHBu, n = 1) showed antiamebic activity against E. histolytica in vitro, but were not effective in vivo.
IT **137438-08-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antiamebic activity of)
RN 137438-08-5 CA
CN 2-Propanol, 1-azido-3-[(7-chloro-1,2,3,4-tetrahydro-9-acridinyl)oxy]- (9CI) (CA INDEX NAME)

L13 ANSWER 37 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)



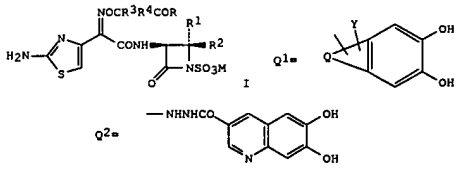
L13 ANSWER 38 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 115:226843 CA
TITLE: Biological activity of quinoline derivatives as inhibitors of NADH-ubiquinone oxidoreductase in the respiratory chain
AUTHOR(S): Chung, Kun Hoe; Cho, Kwang Yun; Takahashi, Nobutaka; Yoshida, Shigeo
CORPORATE SOURCE: Org. Div. I, Korea Res. Inst. Chem. Technol., Daejeon, S. Korea
SOURCE: Han'guk Nonghwa Hakhoechi (1991), 34(1), 43-8
CODEN: JKACA7; ISSN: 0368-2897
DOCUMENT TYPE: Journal
LANGUAGE: English
AB New quinoline compds. were designed, synthesized, and examined with submitochondrial particles. Most compds. showed high activity against NADH-ubiquinone oxidoreductase. Inhibition activity was mainly affected by the length of the lipophilic part, regardless of bulkiness or location of a Ph group in the side chain. Functionally optimal localization of the β-Me group was demonstrated to be on the nuclei of the quinoline derivs. so that either deletion or insertion of a methylene on the group eliminated its activity.
IT **137078-83-2**
RL: BIOL (Biological study)
(NADH-ubiquinone oxidoreductase of mitochondria inhibition by)
RN 137078-83-2 CA
CN 4-Quinolinol, 2-(4,8-dimethyl-3,7-nonadienyl)-6-methoxy-3-methyl- (9CI) (CA INDEX NAME)



L13 ANSWER 39 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 115:158831 CA
TITLE: Preparation of aztreonam 2-(quinolinylcarbonyl)hydrazides and analogs as antibiotics
INVENTOR(S): Ermann, Peter Hans; Straub, Henner
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
SOURCE: Eur. Pat. Appl., 40 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 420069	A2	19910403	EP 1990-118218	19900921
<--				
EP 420069	A3	19910605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2024282	AA	19910322	CA 1990-2024282	19900830
<--				
JP 03120276	A2	19910522	JP 1990-254057	19900921
<--				
PRIORITY APPLN. INFO.:			US 1989-410217 A	19890921

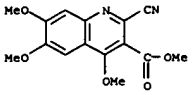
OTHER SOURCE(S): MARPAT 115:158831
GI



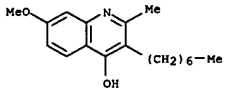
I

AB The title compds. [I; M = H, cation; R = NHNHCOAR5; A = bond, alkylene; R1,R2 = H, (cyclo)alkyl, alkenyl, (un)substituted Ph, etc., or 1 of R1, R2 = H and the other = N3, halomethyl, alkoxycarbonyl, styryl, CO2H, etc.; R3, R4 = H, alkyl; CR3R4 = cycloalkylidene; R5 = heterocyclic group Q1; Q = atoms to complete a 5- or 6-membered (aromatic) heterocyclic ring; Y = H, NH2, OH, CO2H, halo, etc.] were prepared as antibiotics (no data). Thus, 6,7-dihydroxy-3-quinolinecarboxylic acid hydrazide (preparation given) was condensed with aztreonam to give I (M = K, R = quinolinylcarbonylhydrazo group Q2, R1 = Me, R2 = H, R3 = R4 = Me).
IT **135215-16-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

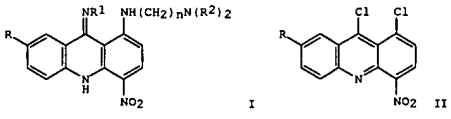
L13 ANSWER 39 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)
(prepn. and reaction of, in prepn. of antibiotics)
RN 135215-16-6 CA
CN 3-Quinolinecarboxylic acid, 2-cyano-4,6,7-trimethoxy-, methyl ester (9CI) (CA INDEX NAME)



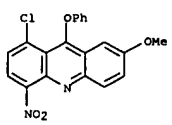
L13 ANSWER 40 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 115:105486 CA
TITLE: Quinoline esters as potential antimalarial drugs: effect on relapses of Plasmodium cynomolgi infections in monkeys
AUTHOR(S): Puri, S. K.; Dutta, G. P.
CORPORATE SOURCE: Div. Microbiol., Cent. Drug Res. Inst., Lucknow, 226001, India
SOURCE: Transactions of the Royal Society of Tropical Medicine and Hygiene (1990), 84(6), 759-60
CODEN: TRSTAZ; ISSN: 0035-9203
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Two compds. of the quinoline ester series, WR 197236 (6-butyl-4-hydroxy-3-methoxycarbonyl-7-β-phenoxyethoxyquinoline) and WR 194905 (4-acetoxy-6-decyloxy-7-isopropoxy-3-methoxycarbonyl-quinoline), exhibit anti-relapse activity against sporozoite-induced P. cynomolgi B infections in rhesus monkeys. Both the compds. have been found to be curative when given i.m. in 7 daily doses of 15 mg/kg, and no relapses were observed during the observation period of 120 d.
IT 4939-34-8, WR 7295
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(antimalarial activity of, against Plasmodium cynomolgi)
RN 4939-34-8 CA
CN 4-Quinolinol, 3-heptyl-7-methoxy-2-methyl- (9CI) (CA INDEX NAME)



L13 ANSWER 41 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 114:247106 CA
TITLE: Synthesis and proton NMR characterization of substituted 1-amino-9-imino-4-nitro-9,10-dihydroacridines as potential antitumor agents
AUTHOR(S): Cholody, Wieslaw M.; Konopa, Jerzy; Antonini, Ippolito; Martelli, Sante
CORPORATE SOURCE: Dep. Pharm. Technol. Biochem., Tech. Univ. Gdansk, Gdansk, 80952, Pol.
SOURCE: Journal of Heterocyclic Chemistry (1991), 28(2), 209-14
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:247106
GI



AB A convenient method for the synthesis of 1-amino-9-imino-4-nitro-9,10-dihydroacridines I [R = H, OMe; R1 = H, (CH2)nNR22, R2 = Me, Et; n = 2, 3] starting from dichloroacridines II is reported. Their 1H NMR data are reported and discussed in order to confirm the imino tautomeric structure.
IT 134039-84-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and substitution reaction of, with dimethylaminoalkylamines)
RN 134039-84-2 CA
CN Acridine, 1-chloro-7-methoxy-4-nitro-9-phenoxy- (9CI) (CA INDEX NAME)



L13 ANSWER 42 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 114:228763 CA
TITLE: Preparation of insecticidal and acaricidal 4-acyloxyquinolines
INVENTOR(S): Minowa, Nobuto; Machinami, Tomoya; Shibahara, Seiji; Imamura, Keiichi; Iwata, Michiaki; Shimura, Masaru; Inouye, Shigeharu
PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan
SOURCE: Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 407192	A2	19910109	EP 1990-307357	19900705
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EP 407192	A3	19911002		
EP 407192	B1	19970305		
R: CH, DE, FR, GB, IT, LI				
US 5190952	A	19930302	US 1990-549136	19900705
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EP 669321	A1	19950830	EP 1995-200798	19900705
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EP 669321	B1	20000927		
R: CH, DE, FR, GB, IT, LI				
CA 2020667	AA	19910108	CA 1990-2020667	19900706
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CA 2020667	C	20020604		
JP 03128355	A2	19910531	JP 1990-179085	19900706
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JP 2633377	B2	19970723		
KR 134084	B1	19980422	KR 1990-10230	19900706
PRIORITY APPLN. INFO.:			JP 1989-176187	A 19890707
			EP 1990-307357	A3 19900705

OTHER SOURCE(S): MARPAT 114:228763
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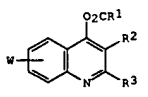
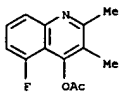
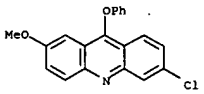


AB 4-Acyloxyquinolines I [R1 = H, C1-18 alkyl, C2-18 alkenyl, (substituted) C3-10 cycloalkyl, aryl, phenoxyalkyl, phenylalkyl, OR4, 2-furyl, 2-thienyl; R2 = H, C1-4 alkyl, CO2R5; R3 = H, C1-10 alkyl, C2-10 alkenyl such that R1 = OR4 when R2 = H and R3 = Me; R2R3 = (CH2)m, m = 3,4; R4 = C1-4 alkyl, aryl; R5 = H, C1-4 alkyl; W = H, 1-4 halo atoms, C1-4 alkyl or alkoxy, excluding the compound where R1 = Me, R2 = R3 = W = H] were prepared via O-acylation of the corresponding quinolone with R1COCl or

L13 ANSWER 42 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)
(R1CO)2O. Thus, a soln. of 2,3-dimethyl-4-quinolone in Ac2O was stirred 8
h at 13° to give title compd. I (R1, R2 = Me, R1 = Ac, W = H) (II). II at 100 ppm showed 1200% control of Myzus persicae aphids on cabbage leaves. Formulations of I are described.
IT **133766-70-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as insecticide and acaricide)
RN 133766-70-8 CA
CN 4-Quinolinol, 5-fluoro-2,3-dimethyl-, acetate (ester) (9CI) (CA INDEX NAME)



L13 ANSWER 43 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 114:202716 CA
TITLE: Cleavage of double helical DNA by copper ion in the presence of bisintercalator containing penta(ethylene glycol) connector chain
AUTHOR(S): Takenaka, Shigeori; Ihara, Toshihiro; Takagi, Makoto
CORPORATE SOURCE: Fac. Eng., Kyushu Univ., Fukuoka, 812, Japan
SOURCE: Journal of Molecular Recognition (1990), 3(4), 156-62
CODEN: JMORE4; ISSN: 0952-3499
DOCUMENT TYPE: Journal
LANGUAGE: English
AB In designing new DNA recognizing and cleaving reagents, here is introduced
a bisacridine derivative (referred to as bisacridine) in which two acridine
heterocycles are connected by a penta(ethylene glycol) bridging chain. This compound offers two possible functions: (1) stabilization of DNA bisacridine intercalator complex by metal ion in which the penta(ethylene glycol) chain stabilizes metal ions binding to the phosphate site of DNA, where the penta(ethylene glycol) chain constitutes a part of a pseudomacrocyclic ligand for metal binding; and (2) enhancement of metal-assisted hydrolytic cleavage of DNA by means of a metal concentration
effect by the pseudomacrocyclic ethereal chain. The binding isotherms of bisacridine with DNA in the presence of metal ions showed that the binding
was mainly governed by the cation exchange reaction on the anionic DNA polymer chain, i.e., the exchange between metal ions and the cationic bisacridine. The bisacridine showed an increased DNA binding ability compared to quinacrine, the monoacridine counterpart, and caused an enhancement of DNA cleavage in the presence of Cu2+ ions. Addnl. expts. which included DNase I footprinting in the presence of bisacridine and the
DNA cleavage by Cu2+/bisacridine using a 32P end-labeled DNA fragment, suggested that the Cu2+-assisted DNA cleavage sites in the presence of bisacridine were in reasonable overlap with the DNA binding sites of bisacridine.
IT **7478-26-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with diaminotetraoxatetradecane dihydrochloride)
RN 7478-26-4 CA
CN Acridine, 3-chloro-7-methoxy-9-phenoxy- (9CI) (CA INDEX NAME)



L13 ANSWER 44 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 114:121709 CA
TITLE: Preparation of (aromatic) fluoroimines as drugs and agrochemicals
INVENTOR(S): Uneyama, Kenji
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02229147	A2	19900911	JP 1989-51080	19890303

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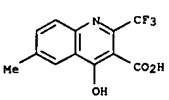
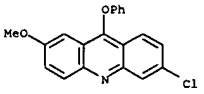
PRIORITY APPLN. INFO.: JP 1989-51080 19890303

OTHER SOURCE(S): MARPAT 114:121709
AB CF3CR1:NR [I: R = (substituted) Ph, CH2Ph, alkoxy- or alkylcarbonyl; R1 = (substituted) alkyl where substituent is not halogen, (substituted) alkenyl, alkynyl, amino, or hydrazino, cyano, imidazolyl, triazolyl] are prepared as drugs, agrochems. (no data), or their intermediates. Thus, treating CF3CONHC6H4(OMe)-4 with PCl5 gave 33% I (R = C6H4OMe-4, R1 = Cl),
which was treated with MeCOCH2CO2Et and NaH in THF at room temperature for 3 h
to give 65% I [R = C6H4(OMe)-4, R1 = CH(COMe)CO2Et]. Cyclization of I [R = C6H4Me-4, R1 = CH(COMe)CO2Et] by reflux gave 70% 2-trifuoromethyl-4-hydroxy-6-methyl-3-methylcarbonylquinoline, which has herbicidal activity at 50-100 g/a.
IT **126855-84-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 126855-84-3 CA
CN 3-Quinolinecarboxylic acid, 4-hydroxy-6-methyl-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L13 ANSWER 45 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 114:97656 CA
TITLE: Bis-9-acridinyl derivative containing a viologen linker chain: electrochemically active intercalator for reversible labeling of DNA
AUTHOR(S): Takenaka, Shigeori; Ihara, Toshihiro; Takagi, Makoto
CORPORATE SOURCE: Fac. Eng., Kyushu Univ., Fukuoka, 812, Japan
SOURCE: Journal of the Chemical Society, Chemical Communications (1990), (21), 1485-7
CODEN: JCCCAT; ISSN: 0022-4936
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A new synthetic bis-9-acridinyl derivative containing a viologen linker chain
binds strongly to DNA and shows a typical cyclic voltammogram, indicating a potential for use as a reversible electrochem. labeling agent for DNA.
IT **7478-26-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with bromopropylamine hydrobromide)
RN 7478-26-4 CA
CN Acridine, 3-chloro-7-methoxy-9-phenoxy- (9CI) (CA INDEX NAME)



L13 ANSWER 46 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 114:75150 CA
TITLE: Inhibitor of cyclooxygenase and 5-lipoxygenase
AUTHOR(S): Anon.
CORPORATE SOURCE: UK
SOURCE: Research Disclosure (1990), 317, 719 (No. 31727)
CODEN: RSDSBB; ISSN: 0374-4353
DOCUMENT TYPE: Journal; Patent
LANGUAGE: English
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RD 317027		19900910		
PRIORITY APPLN. INFO.:			RD 1990-317027	19900910

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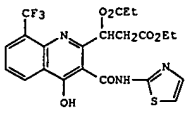
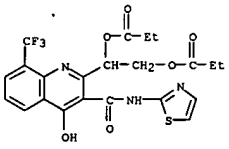


I

AB I is claimed as an inhibitor of cyclooxygenase and 5-lipoxygenase and to be useful in the treatment of psoriasis.
IT **124822-90-8**
RL: BIOL (Biological study)
(cyclooxygenase and lipoxygenase inhibitor, for psoriasis treatment)
RN 124822-90-8 CA.
CN 3-Quinolinecarboxamide, 2-[1,2-bis(1-oxopropoxy)ethyl]-4-hydroxy-N-2-thiazolyl-8-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L13 ANSWER 47 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 114:61904 CA
TITLE: Synthesis and evaluation of DNA-targeted spatially separated bis(aniline mustards) as potential alkylating agents with enhanced DNA cross-linking capability
AUTHOR(S): Gourdie, Trudi A.; Prakash, A. S.; Wakelin, Laurence P. G.; Woodgate, Paul D.; Denny, William A.
CORPORATE SOURCE: Sch. Med., Univ. Auckland, Auckland, N. Z.
SOURCE: Journal of Medicinal Chemistry (1991), 34(1), 240-8
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:61904
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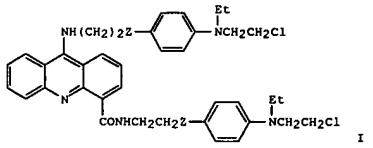
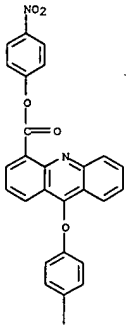


I

AB DNA-targeted separated bis-mustards I (Z = CH2, S) were synthesized by attaching aniline mono-mustards at the 4- and 9-positions of the DNA-intercalating ligand 9-aminoacridine-4-carboxamide, with the intention of improving the low cross-link to monoadduct ratio found with most alkylating agents. The geometry of these compds. requires that, when the acridine binds to DNA by intercalation, one alkylating moiety is delivered to each DNA groove. Gel electrophoretic studies show that only one arm of these compds. (probably that attached to the 9-position) alkylates DNA, such alkylation occurring specifically in the major groove at the N7 of guanines. Cell-line studies confirm that the mode of cytotoxicity of these compds. (unlike that of untargeted aniline bis-mustards of comparable reactivity) is due to bulky DNA monoadduct formation. It is concluded that more information is required about the exact orientation of the initial monoadducts before ligands with specific DNA crosslinking ability can be designed.
IT **131042-29-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amidation of)
RN 131042-29-0 CA
CN 4-Acridinecarboxylic acid, 9-(4-nitrophenoxy)-, 4-nitrophenyl ester (9CI)

L13 ANSWER 47 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)
(CA INDEX NAME)

PAGE 1-A



PAGE 2-A

L13 ANSWER 48 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 114:6306 CA
TITLE: Preparation of 2,3-disubstituted-4-hydroxyquinolines as leukotriene D4 antagonists
INVENTOR(S): Minowa, Nobuto; Machinami, Tomoya; Shomura, Takashi; Sezaki, Masaji; Sasaki, Toru; Shibahara, Seiji; Inouye, Shigeharu
PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 374765	A1	19900627	EP 1989-123280	19891215
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EP 374765	B1	19940622		
R: DE, FR, GB, IT				
JP 02256665	A2	19901017	JP 1989-324967	19891214
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JP 2574489	B2	19970122		
US 5194617	A	19930316	US 1991-807946	19911210
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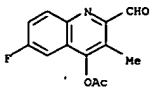
PRIORITY APPLN. INFO.:			JP 1988-319231	A 19881217
			US 1989-449012	B1 19891211

OTHER SOURCE(S): MARPAT 114:6306
GI For diagram(s), see printed CA Issue.
AB The title compds. (I; R = H, 1-4 halogen atoms or alkyl groups; R1 = H, R3CO; R2 = H, Me, Et; R3 = alkyl; Z = CH2CH:CH, (HO)CHCH:CH, (HO)CHC.tplbond.C, CH:CHCH2, CH2C.tplbond.C), LTD4 antagonists useful for treating allergic diseases and asthma, were prepared A solution of 2-formyl-3-methyl-4-acetoxyquinoline in THF was added to a pre-stirred solution of Me(CH2)7PPh3Br and BuLi in THF/HMPA at -78° and the mixture was stirred 15 min at that temperature and 30 min at -42°. The product (20%) in MeOH was stirred 10 min with aqueous Na2CO3 to give 87% I (R = R1 = H, R2 = Me, Z = trans-CH:CHCH2) (II). The latter at 2 μg/mL in vitro gave 100% inhibition of LTD4-induced contraction of guinea pig ileum. II in an anoxia test in mice gave a surviving time of 293.5 s vs. 122.2 s for a control.
IT **130772-19-9**, 2-Formyl-3-methyl-4-acetoxy-6-fluoroquinoline
RL: RCT (Reactant); RACT (Reactant or reagent)
(Wittig reaction of, with octyltriphenylphosphonium bromide, in preparation of LTD4 antagonist)
RN 130772-19-9 CA
CN 2-Quinolinecarboxaldehyde, 4-(acetyloxy)-6-fluoro-3-methyl- (9CI) (CA INDEX NAME)

L13 ANSWER 48 OF 400 CA COPYRIGHT 2005 ACS on STN (Continued)



L13 ANSWER 49 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 113:207477 CA
TITLE: Chromogenic substrates for peroxidase-linked immunoassays
INVENTOR(S): Vermeulen, Nicolaas Marthinus Johannes; Petrie, Charles Robert
PATENT ASSIGNEE(S): Microprobe Corp., USA
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9006372	A1	19900614	WO 1989-US5407	19891130

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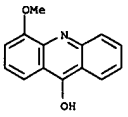
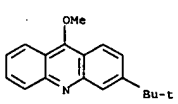
W: JP
RW: AT, BE, CH, DE, ES, FR, GB, IT, LU, NL, SE
PRIORITY APPLN. INFO.: US 1988-278633 A 19881201

OTHER SOURCE(S): MARPAT 113:207477
AB Substituted naphthalenes or indoles in combination with substituted hydrazones are used as chromogenic systems for the detection of peroxidase, particularly in peroxidase-dependent ELISA. The products formed are intensely colored and insol., making them useful for filter-hybridization. assays. Aliquots 10 μL of dilns. of biotinylated anti-goat IgG were blotted onto Pall Immunodyne membranes and detected with streptavidin-coupled horseradish peroxidase using a number of the novel naphthols (e.g., 4-chloronaphthol, 6-bromo-2-naphthol, 5-hydroxyindole, etc.) and indoles in combination with 3-methyl-2-benzothiazolinone hydrazone. Antibodies ranged from 0.01 to 2 ng were readily detectable. The synthesis of some of these substrates and their use in the detection of human papilloma virus in tissue samples by ELISA are described.
IT **73663-88-4**
RL: BIOL (Biological study)
(chromogenic assays for peroxidase using hydrazones and)
RN 73663-88-4 CA
CN 9-Acridinol, 4-methoxy- (9CI) (CA INDEX NAME)



L13 ANSWER 50 OF 400 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 113:184219 CA
TITLE: DNA-directed alkylating ligands as potential antitumor agents: sequence specificity of alkylation by intercalating aniline mustards
AUTHOR(S): Prakash, A. S.; Denny, William A.; Gourdie, Trudi A.; Valu, Kisione K.; Woodgate, Paul D.; Wakelin, Laurence P. G.
CORPORATE SOURCE: Peter MacCallum Cancer Inst., Melbourne, Australia
SOURCE: Biochemistry (1990), 29(42), 9799-807
CODEN: BICHAW; ISSN: 0006-2960
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The sequence preferences for alkylation by a series of novel para-substituted aniline mustards linked to the DNA-intercalating chromophore 9-aminoacridine by an alkyl chain of variable length were studied by using procedures analogs to Maxam-Gilbert reactions. The compds. alkylate DNA at both guanine and adenine sites. For mustards linked to the acridine by a short alkyl chain through a para O- or S-link group, 5'-GT sequences are the most preferred sites at which N7-guamine alkylation occurs. For analogs with longer chain lengths, the preference for 5'-GT sequences diminishes in favor of N7-adenine alkylation at the complementary 5'-AC sequence. Mg ions are shown to selectively inhibit alkylation at the N7 of adenine (in a major groove) by these compds. but not the alkylation at the N3 of adenine (in the minor groove) by the antitumor antibiotic CC-1065. Effects of chromophore variation were also studied by using aniline mustards linked to quinazoline and sterically hindered tert-butyl-9-aminoacridine chromophores. The results demonstrate that in this series of DNA-directed mustards the noncovalent interactions of the carrier chromophores with DNA significantly modify the sequence selectivity of alkylation by the mustard. Relationships between the DNA alkylation patterns of these compds. and their biol. activities are discussed.
IT **129787-15-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with [[bis(chloroethyl)amino]phenyl]propanedia mine)
RN 129787-15-1 CA
CN Acridine, 3-(1,1-dimethylethyl)-9-methoxy- (9CI) (CA INDEX NAME)



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L3              STRUCTURE UPLOADED
L4           35 S L3 SAM
L5         2070 S L1 FULL
L6          718 S L3 FULL

     FILE 'CA' ENTERED AT 10:26:09 ON 20 SEP 2005
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L8          324 S L6
L9           25 S L7 AND L8
L10         517 S L7 OR L8
L11         492 S L10 NOT L9
L12         408 S L11 AND PY<1998
L13         400 S L11 AND PY<1997

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